

**ACCESS DB#: 341347**

Name: JAISLE, CECILIA M  
Organization: TC 1600  
Art Unit: 1624  
Employee Number:  
Office Location:  
Phone Number:  
Email:

**Request Detail -----**

Attachment: **No**

Case/Application number: **10595734** PALM  
Priority App. Filing Date:  
Format for Search Results: **SCORE**

Meaning of unusual acronyms or initialisms:

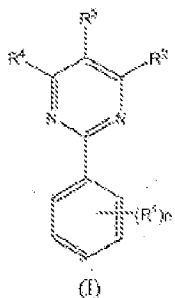
Identify the novelty:

Additional Comments:

**Search compounds of formula (I) as filed on 07-27-2010.**

**Listing of Claims:**

- 1 (Currently amended) A pharmaceutical composition comprising a compound of formula (I)



**=> FILE REG**

FILE 'REGISTRY' ENTERED AT 15:31:55 ON 01 SEP 2010  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2010 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 31 AUG 2010 HIGHEST RN 1239647-39-2  
DICTIONARY FILE UPDATES: 31 AUG 2010 HIGHEST RN 1239647-39-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2010.

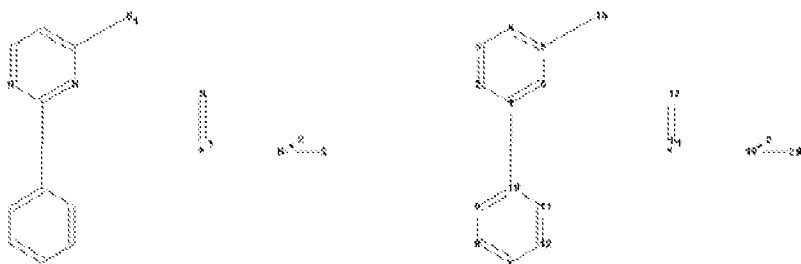
Please note that search-term pricing does apply when conducting SmartSELECT searches.

### Serial#: 10/595,734

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

#### Uploading L8.str



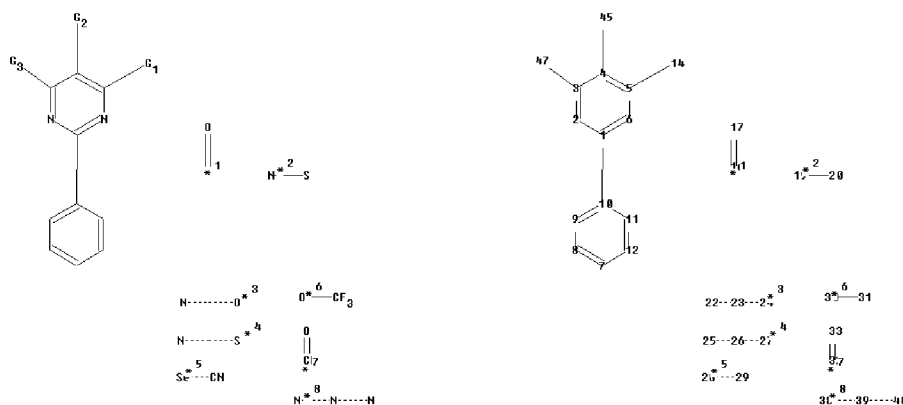
```
chain nodes :
14 16 17 19 20
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
1-10 5-14 16-17 19-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
5-14 16-17 19-20
exact bonds :
1-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 :
```

G1:O,Cb,S, [\*1], [\*2]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 14:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS

#### Uploading L19.str



```

chain nodes :
14 16 17 19 20 22 23 24 25 26 27 28 29 30 31 32 33 38 39 40 45
47
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
1-10 3-47 4-45 5-14 16-17 19-20 22-23 23-24 25-26 26-27 28-29 30-31 32-33
38-39 39-40
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
3-47 4-45 5-14 16-17 19-20 22-23 23-24 25-26 26-27 28-29 32-33 38-39
39-40
exact bonds :
1-10 30-31
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 :

```

G1:O,Cb,S, [\*1], [\*2]

G2:OH,SH,CN,NO2,X,H,Ak, [\*3], [\*4], [\*5], [\*6], [\*7], [\*8]

G3:CN,NO2,OH,SH, [\*3], [\*4], [\*5], [\*6], [\*7], [\*8]

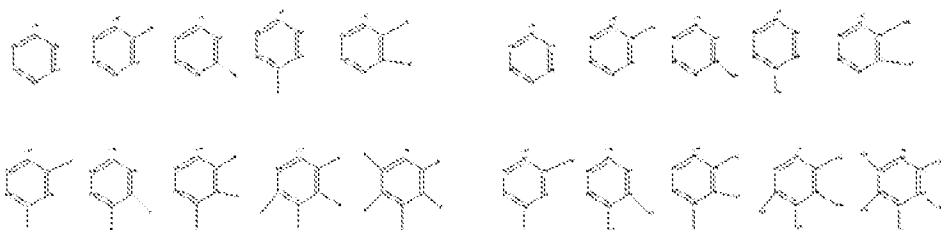
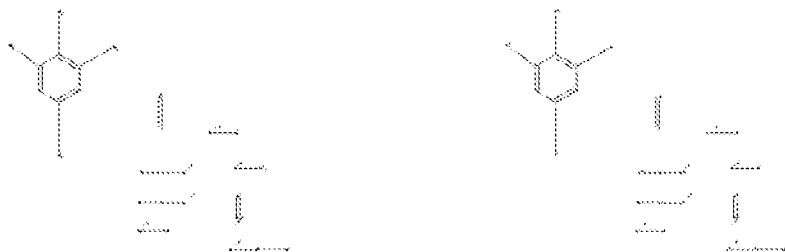
Match level :

```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 14:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS 22:CLASS 23:CLASS
24:CLASS 25:CLASS
26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 38:CLASS
39:CLASS 40:CLASS 45:CLASS 47:CLASS

```

Uploading L25.str



chain nodes :

7 9 11 12 14 15 17 18 19 20 21 22 23 24 25 26 27 28 33 34 35  
40 42

ring nodes :

1 2 3 4 5 6 43 44 45 46 47 48 49 50 51 52 53 54 55 56 57 58  
59 60 61 62 63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79 80  
81 82 83  
84 85 86 87 88 89 90 91 92 93 94 95 96 97 98 99 100 101 102

ring/chain nodes :

103 104 105 106 107 108 109 110 111 112 113 114 115 116 117 118 119  
120 121 122 123

chain bonds :

1-7 3-42 4-40 5-9 11-12 14-15 17-18 18-19 20-21 21-22 23-24 25-26 27-28  
33-34 34-35 53-103 60-104 61-105 71-106 72-107 73-109 77-108 79-111 84-110 85-  
114 89-112  
90-113 91-117 92-118 95-115 96-116 97-121 98-122 99-123 101-119 102-120

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 43-44 43-48 44-45 45-46 46-47 47-48 49-50 49-54  
50-51 51-52 52-53 53-54 55-56 55-60 56-57 57-58 58-59 59-60 61-62 61-66 62-63  
63-64  
64-65 65-66 67-68 67-72 68-69 69-70 70-71 71-72 73-74 73-78 74-75 75-76 76-77  
77-78  
79-80 79-84 80-81 81-82 82-83 83-84 85-86 85-90 86-87 87-88 88-89 89-90 91-92  
91-96  
92-93 93-94 94-95 95-96 97-98 97-102 98-99 99-100 100-101 101-102

exact/norm bonds :

1-7 3-42 4-40 5-9 11-12 14-15 17-18 18-19 20-21 21-22 23-24 27-28 33-34  
34-35 53-103 60-104 61-105 71-106 72-107 73-109 77-108 79-111 84-110 85-114  
89-112  
90-113 91-117 92-118 95-115 96-116 97-121 98-122 99-123 101-119 102-120

exact bonds :

25-26

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 43-44 43-48 44-45 45-46 46-47 47-48 49-50 49-54  
50-51 51-52 52-53 53-54 55-56 55-60 56-57 57-58 58-59 59-60 61-62 61-66 62-63  
63-64  
64-65 65-66 67-68 67-72 68-69 69-70 70-71 71-72 73-74 73-78 74-75 75-76 76-77  
77-78



### Serial#: 10/595,734

79-80 79-84 80-81 81-82 82-83 83-84 85-86 85-90 86-87 87-88 88-89 89-90 91-92  
91-96  
92-93 93-94 94-95 95-96 97-98 97-102 98-99 99-100 100-101 101-102  
isolated ring systems :  
containing 1 :

G1:O,Cb,S, [\*1], [\*2]

G2:OH,SH,CN,NO2,X,H,Ak, [\*3], [\*4], [\*5], [\*6], [\*7], [\*8]

G3:CN,NO2,OH,SH, [\*3], [\*4], [\*5], [\*6], [\*7], [\*8]

G4:[\*9], [\*10], [\*11], [\*12], [\*13], [\*14], [\*15], [\*16], [\*17], [\*18]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 9:CLASS 11:CLASS 12:CLASS  
14:CLASS 15:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS  
24:CLASS  
25:CLASS 26:CLASS 27:CLASS 28:CLASS 33:CLASS 34:CLASS 35:CLASS 40:CLASS 42:CLASS  
43:Atom  
44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom  
54:Atom  
55:Atom 56:Atom 57:Atom 58:Atom 59:Atom 60:Atom 61:Atom 62:Atom 63:Atom 64:Atom  
65:Atom  
66:Atom 67:Atom 68:Atom 69:Atom 70:Atom 71:Atom 72:Atom 73:Atom 74:Atom 75:Atom  
76:Atom  
77:Atom 78:Atom 79:Atom 80:Atom 81:Atom 82:Atom 83:Atom 84:Atom 85:Atom 86:Atom  
87:Atom  
88:Atom 89:Atom 90:Atom 91:Atom 92:Atom 93:Atom 94:Atom 95:Atom 96:Atom 97:Atom  
98:Atom  
99:Atom 100:Atom 101:Atom 102:Atom 103:CLASS 104:CLASS 105:CLASS 106:CLASS  
107:CLASS  
108:CLASS 109:CLASS 110:CLASS 111:CLASS 112:CLASS 113:CLASS 114:CLASS 115:CLASS  
116:CLASS  
117:CLASS 118:CLASS 119:CLASS 120:CLASS 121:CLASS 122:CLASS 123:CLASS

### INVENTOR SEARCH

=> FILE HCAPLUS

FILE 'HCAPLUS' ENTERED AT 15:31:57 ON 01 SEP 2010  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 1 Sep 2010 VOL 153 ISS 10  
FILE LAST UPDATED: 31 Aug 2010 (20100831/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2010

**Serial#: 10/595,734**

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2010

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

**=> D STAT QUE L56**

L49 7676 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON MARTIN R?/AU  
L50 855 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON MOHAN R?/AU  
L51 36 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON ORDENTLICH P?/AU  
L53 5 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L49 AND L50 AND L51  
L54 21 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L49 AND ((L50 OR L51))  
L55 5 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L50 AND L51  
**L56 21 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L53 OR L54 OR L55)**

**L56 ANSWER 1 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN**

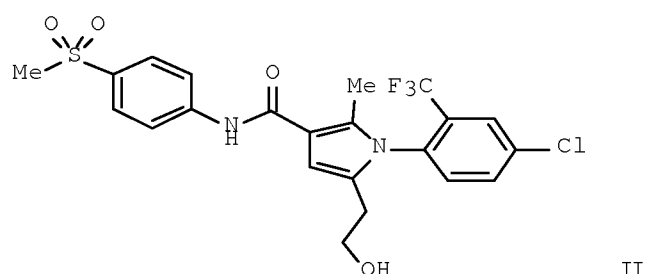
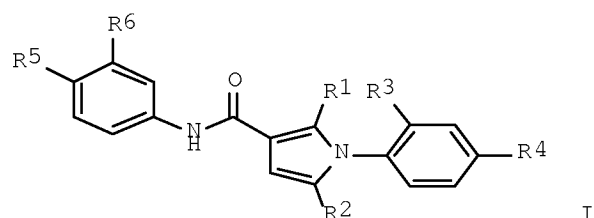
ACCESSION NUMBER: 2010:474402 HCAPLUS Full-text  
DOCUMENT NUMBER: 152:476951  
TITLE: 1-Phenylpyrrole compounds as mineralocorticoid receptor antagonists and their preparation and use in the treatment of cardiovascular diseases  
INVENTOR(S): Nuss, John; Williams, Matthew; Mohan, Raju; Martin, Richard; Wang, Tie-Lin; Tsuruoka, Hiroyuki; Aoki, Kazumasa; Honzumi, Masatoshi; Asoh, Yusuke; Saito, Keiji; Homma, Tsuyoshi  
PATENT ASSIGNEE(S): Exelixis, Inc., USA; Daiichi Sankyo Co. , Ltd.  
SOURCE: PCT Int. Appl., 168pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010042626	A1	20100415	WO 2009-US59852	20091007
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2008-103804P P 20081008

OTHER SOURCE(S): MARPAT 152:476951

GI



AB The invention comprises a compound of formula I N-oxide, atropisomer of the foregoing, or pharmaceutically acceptable salt, for the prevention and/or treatment of cardiovascular diseases, nephropathy, fibrosis, primary aldosteronism or edema. Comps. of formula I wherein R1 is H and C1-3 alkyl; R2 is C1-4 hydroxyalkyl, C1-4 fluoroalkyl, C1-2 carbamoylalkyl, etc.; R3 is halo, C1-3 alkyl, C1-3 alkoxy, C1-3 haloalkyl, C1-3 haloalkoxy, etc.; R4 is H, halo and C1-3 alkyl; R5 is sulfamoyl and C1-3 alkylsulfonyl; R6 is H, halo, C1-3 alkyl and C1-3 alkoxy; and N-oxides, diastereoisomers, racemates, enriched in a diastereoisomer, atropisomers, equal mixts. of atropisomers and enriched in one atropisomer thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given) and the atropisomers were separated by chiral HPLC (absolute stereo not determined). All the invention compds. were evaluated for their mineralocorticoid receptor antagonistic activity. From the assay, it was determined that compound II exhibited an IC<sub>max50</sub> value of 11 nM and I<sub>max</sub> of 110 %. Isomer A of II exhibited an IC<sub>max50</sub> value of 3.7 nM and I<sub>max</sub> of 87 %, while isomer B showed an IC<sub>max50</sub> value of > 1000 nM. IPCI C07D0207-335 [I,A]; C07D0207-00 [I,C\*]; A61K0031-405 [I,A]; A61K0031-403

[I,C\*]; A61P0009-12 [I,A]; A61P0009-10 [I,A]; A61P0009-00 [I,C\*];  
A61P0013-12 [I,A]; A61P0013-00 [I,C\*]; A61P0005-42 [I,A]; A61P0005-00  
[I,C\*]; A61P0007-10 [I,A]; A61P0007-00 [I,C\*]

IPCR C07D0207-00 [I,C]; C07D0207-335 [I,A]; A61K0031-403 [I,C]; A61K0031-405  
[I,A]; A61P0005-00 [I,C]; A61P0005-42 [I,A]; A61P0007-00 [I,C];  
A61P0007-10 [I,A]; A61P0009-00 [I,C]; A61P0009-10 [I,A]; A61P0009-12  
[I,A]; A61P0013-00 [I,C]; A61P0013-12 [I,A]

CC 27-10 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 63

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2010:474394 HCAPLUS Full-text

DOCUMENT NUMBER: 152:453941

TITLE: Atropisomers of (hydroxyalkyl)pyrrole derivatives as  
mineralocorticoid receptor antagonists and their  
preparation, pharmaceutical compositions and use in  
the treatment of cardiovascular diseases

**Serial#: 10/595,734**

INVENTOR(S): Nuss, John; Williams, Matthew; Mohan, Raju;  
 Martin, Richard; Wang, Tie-Lin; Aoki,  
 Kazumasa; Tsuruoka, Hiroyuki; Hayashi, Noriyuki;  
 Homma, Tsuyoshi

PATENT ASSIGNEE(S): Exelixis, Inc., USA; Daiichi Sankyo Co., Ltd.

SOURCE: PCT Int. Appl., 42pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

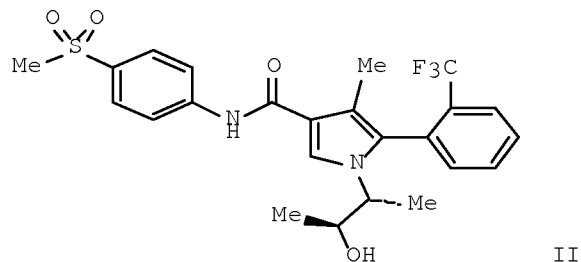
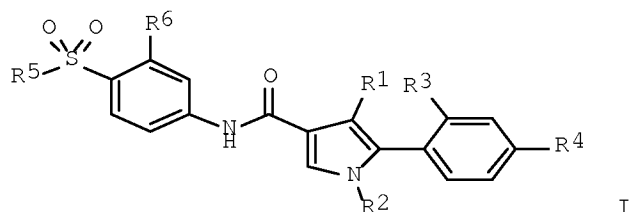
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010042622	A1	20100415	WO 2009-US59847	20091007
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2008-103715P P 20081008

OTHER SOURCE(S): MARPAT 152:453941

GI



AB The invention comprises atropisomers of (hydroxyalkyl)pyrrole derivs. of formula I, which are mineralocorticoid receptor antagonists and useful in the prevention and/or treatment of cardiovascular diseases. Atropisomers of the formula I wherein R1 and R5 are independently C1-3 alkyl; R2 is C4-6 hydroxyalkyl; R3 is halo, C1-3 (halo)alkyl and

**Serial#: 10/595,734**

C1-3 (halo)alkoxy; R4 is H, halo and C1-3 alkyl; R6 is H, halo, C1-3 alkyl and C1-3 alkoxy; and their N-oxides, diastereomers, racemates, atropisomers and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared via ring-opening of (4S,5S)-4,5-dimethyl-1,3,2-dioxathiolane 2,2-dioxide with 4-methyl-N-[4-(methylsulfonyl)phenyl]-5-[2-(trifluoromethyl)phenyl]-1H-pyrrole-3-carboxamide followed by hydrolysis and resolution. All the invention compds. were evaluated for their mineralocorticoid receptor antagonistic activity. From the assay, one of the atropisomers II exhibited the IC50 value of 2.9 nM. IPCI C07D0207-34 [I,A]; C07D0207-00 [I,C\*]; A61K0031-40 [I,A]; A61P0009-00

[I,A]

IPCR C07D0207-00 [I,C]; C07D0207-34 [I,A]; A61K0031-40 [I,C]; A61K0031-40

[I,A]; A61P0009-00 [I,C]; A61P0009-00 [I,A]

CC 27-10 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 63

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1138805 HCAPLUS Full-text

DOCUMENT NUMBER: 151:381190

TITLE: Preparation of azabicyclo[3.2.1]octyl derivatives for use as 11 beta-HSD1 modulators

INVENTOR(S): Martin, Richard; Flatt, Brenton T.; Dalgard, Jackline Eve; Bollu, Venkataiah; Huang, Ping; Mohan, Raju; Schweiger, Edwin; Wang, Tie Lin

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 387pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

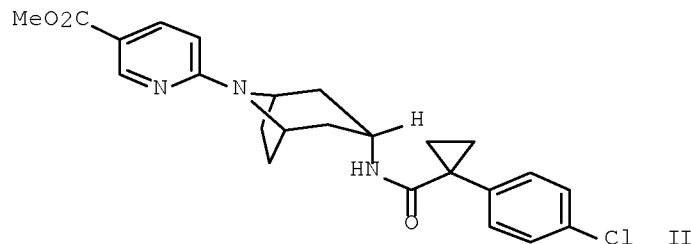
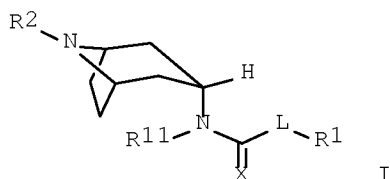
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2009114173	A1	20090917	WO 2009-US1591	20090313
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20090247515	A1	20091001	US 2009-381682	20090313
US 20100105675	A2	20100429		
PRIORITY APPLN. INFO.:			US 2008-69648P	P 20080314
			US 2008-203720P	P 20081223

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 151:381190

GI



AB Title compds. I [L = CR12R13, CR12R13O, CR12R13CH2O, CR12R13S, or CR12R13S(O)2; X = O or S; R1 = (un)substituted Ph, 2-pyridinyl, naphthyl, etc; R2 = (un)substituted Ph, C(O)Ph, benzyl, or heteroaryl; R11 = H, alkyl, alkenyl, or alkynyl; R12 = H, halo, alkyl, alkenyl, or alkynyl; R13 = halo, alkyl, alkenyl, or alkynyl; or R12 and R13 together with the carbon to which they are attached form cycloalkyl], and their pharmaceutically acceptable salts, are prepared and disclosed as 11  $\beta$ -hydroxysteroid dehydrogenase type 1 (11  $\beta$ -HSD1) modulators. Thus, e.g., II was prepared by protection of 8-methyl-8-azabicyclo[3.2.1]octan-3-endo-amine with di-tert-Bu dicarbonate followed by carboxylation with 2,2,2-trichloroethyl chloroformate, deprotection, heteroarylation with Me 6-chloronicotinate, deprotection, and amidation with 1-(4-chlorophenyl)cyclopropanecarboxylic acid. Select I were evaluated in human 11  $\beta$ -HSD1 inhibition assays, e.g., II demonstrated an IC50 value of <200 nM. IPCI C07D0451-04 [I,A]; C07D0451-00 [I,C\*]; A61K0031-46 [I,A]; A61P0003-10 [I,A]; A61P0003-00 [I,C\*]

IPCR C07D0451-00 [I,C]; C07D0451-04 [I,A]; A61K0031-46 [I,C]; A61K0031-46 [I,A]; A61P0003-00 [I,C]; A61P0003-10 [I,A]

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 4 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:104320 HCAPLUS Full-text

DOCUMENT NUMBER: 150:229205

TITLE: Discovery of XL335 (WAY-362450), a Highly Potent, Selective, and Orally Active Agonist of the Farnesoid X Receptor (FXR)

AUTHOR(S): Flatt, Brenton; Martin, Richard; Wang, Tie-Lin; Mahaney, Paige; Murphy, Brett; Gu, Xiao-Hui; Foster, Paul; Li, Jiali; Pircher, Parinaz; Petrowski, Mary; Schulman, Ira; Westin, Stefan; Wrobel, Jay; Yan, Grace; Bischoff, Eric; Daige, Chris; Mohan, Raju

CORPORATE SOURCE: Departments of Medicinal Chemistry, Structural Biology, Molecular Biology, Lead Discovery and Pharmacology, Exelixis Inc., San Diego, CA, 92121, USA

SOURCE: Journal of Medicinal Chemistry (2009), 52(4), 904-907  
CODEN: JMCMAR; ISSN: 0022-2623

**Serial#: 10/595,734**

PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 150:229205

AB Azepino[4,5-b]indoles have been identified as potent agonists of the farnesoid X receptor (FXR). In vitro and in vivo optimization has led to the discovery of 6m (XL335, WAY-362450) as a potent, selective, and orally bioavailable FXR agonist (EC50 = 4 nM, Eff = 149%). Oral administration of 6m to LDLR-/- mice results in lowering of cholesterol and triglycerides. Chronic administration in an atherosclerosis model results in significant reduction in aortic arch lesions.

CC 1-3 (Pharmacology)

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:736475 HCAPLUS Full-text

DOCUMENT NUMBER: 149:79594

TITLE: Pyrazole derivatives as LXR and FXR modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Boren, Brant Clayton; Busch, Brett B.; Gu, Xiao-Hui; Jammalamadaka, Vasu; Lu, Shao-Po; Martin, Richard; Mohan, Raju; Schweiger, Edwin; Stevens, William C., Jr.; Wang, Tie-Lin; Xie, Yinong; Xu, Wei

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 355pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008073825	A1	20080619	WO 2007-US86787	20071207
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2007333194	A1	20080619	AU 2007-333194	20071207
KR 2009094125	A	20090903	KR 2009-713701	20071207
EP 2121621	A1	20091125	EP 2007-865385	20071207
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2010512342	T	20100422	JP 2009-540497	20071207
IN 2009KN01978	A	20090619	IN 2009-KN1978	20090526
NO 2009002587	A	20090831	NO 2009-2587	20090707
CN 101679297	A	20100324	CN 2007-80051148	20090810
US 20100069367	A1	20100318	US 2009-517800	20091021

**Serial#: 10/595,734**

PRIORITY APPLN. INFO.:

US 2006-869198P

P 20061208

WO 2007-US86787

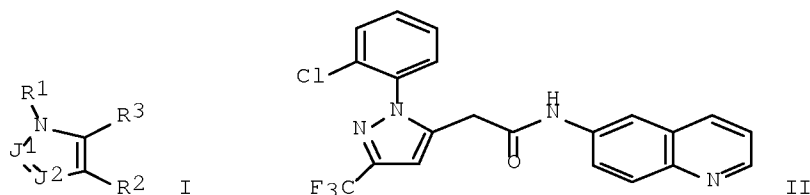
W 20071207

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S):

MARPAT 149:79594

GI



AB Compds. of the invention are disclosed, such as compds. of formula I, and pharmaceutically acceptable salts, isomers, or prodrugs thereof, which are useful as modulators of the activity of liver X receptors (LXR) and Farnesoid X receptors (FXR). Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formula I wherein J<sup>1</sup> is N and J<sup>2</sup> is CR<sup>4</sup>; J<sup>1</sup> is CR<sup>5</sup> and J<sup>2</sup> is N; R<sup>1</sup>, R<sup>3</sup> and R<sup>5</sup> are independently (un)substituted biaryl, (un)substituted heterobiaryl, (un)substituted aryl-heteroaryl, (un)substituted (hetero)aryl, etc.; R<sup>2</sup> and R<sup>4</sup> are independently (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted alkoxyalkyl, (un)substituted C<sup>3</sup>-6 cycloalkyl, (un)substituted heteroaryl, etc.; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by cyanation of 5-(bromomethyl)-1-(2-chlorophenyl)-3-trifluoromethyl-1H-pyrazole; the resulting (1-(2-chlorophenyl)-3-trifluoromethyl-1H-pyrazol-5-yl)acetonitrile underwent hydrolysis to give (1-(2-chlorophenyl)-3-trifluoromethyl-1H-pyrazol-5-yl)acetic acid, which underwent amidation with quinolin-6-ylamine to give compound II. All the invention compds. were evaluated for their LXR and FXR modulatory activity. Form the assay, it was determined that compound II exhibited EC<sub>50</sub> value < 1 μM.

IPCI C07D0233-90 [I,A]; C07D0233-00 [I,C\*]; C07D0231-10 [I,A]; C07D0231-00

[I,C\*]; A61K0031-415 [I,A]; A61K0031-4164 [I,A]; A61P0003-10 [I,A]; A61P0003-00 [I,C\*]

IPCR C07D0233-00 [I,C]; C07D0233-90 [I,A]; A61K0031-415 [I,C]; A61K0031-415

[I,A]; A61K0031-4164 [I,C]; A61K0031-4164 [I,A]; A61P0003-00 [I,C];

A61P0003-10 [I,A]; C07D0231-00 [I,C]; C07D0231-10 [I,A]

CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 6 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:389735 HCAPLUS Full-text

TITLE: Indoleazepines as a new class of nonsteroidal agonists of the farnesoid X receptor: Identification of WAY-362450 (FXR-450) as a clinical candidate for the treatment of dyslipidemia

AUTHOR(S): Mahaney, Paige E.; Harnish, Douglas C.; Abou-Gharbia, Magid A.; Bischoff, Eric; Borges-Marcucci, Lisa; Evans, Mark J.; Flatt, Brenton T.; Gantan, Elizabeth; Gardell, Stephen J.; Gu, Xiao-Hui; Lai, KehDeh;



**Serial#: 10/595,734**

Magolda, Ronald L.; Martin, Richard;  
Mohan, Raju; Ordentlich, Peter;  
Schulman, Ira; Unwalla, Rayomand J.; Vlasuk, George  
P.; Wang, Shuguang; Wang, Tie-Lin; Westin, Stefan;  
Wrobel, Jay E.; Xu, Weixin; Yan, Grace; Zhang, Songwen  
CORPORATE SOURCE: Department of Chemical and Screening Sciences, Wyeth  
Research, Collegeville, PA, 19426, USA  
SOURCE: Abstracts of Papers, 235th ACS National Meeting, New  
Orleans, LA, United States, April 6-10, 2008 (2008),  
MEDI-181. American Chemical Society: Washington, D.  
C.  
CODEN: 69KNN3  
DOCUMENT TYPE: Conference; Meeting Abstract; (computer optical disk)  
LANGUAGE: English  
AB The nuclear hormone receptor farnesoid X receptor (FXR) plays a critical role in the  
regulation of bile acid synthesis and triglyceride and cholesterol homeostasis.  
Synthetic agonists of FXR that are potent in vitro, including GW4064, fexaramine,  
and 6-Et chenodeoxycholic acids (6-ECDCAs) have been previously described; however,  
they have limited clin. utility due to poor physiochem., pharmacokinetic, and/or  
toxicol. profiles. Here we report the identification of a new structural scaffold  
of FXR agonists, namely the indoleazepines which were identified as weak, partial  
agonists via high-throughput screening. SAR investigations led to the  
identification of two important interactions within the ligand-binding domain, a  
lipophilic interaction made with a geminal di-Me group, and a hydrogen-bonding  
interaction formed with a carbonyl group on a pendant amide. These interactions  
were confirmed using X-ray structural information. Based on these observations, a  
highly potent FXR agonist, WAY-362450 was identified having an EC50 value of 5 nM in  
a co-transfection functional assay with 149% efficacy when compared to the  
endogenous ligand, CDCA. In addition, WAY-362450 had an EC50 value of 16 nM in an  
alternate functional assay using the FXR-LBD with a Gal4-DBD in HEK293 cells,  
exhibiting 179% efficacy vs. GW4064. WAY-392450 also activated known FXR target  
genes following treatment of primary human hepatocytes. In LDLRKO mice consuming a  
western diet or in KKAY mice predisposed to dyslipidemia, WAY-362450 decreased serum  
triglyceride levels comparable to the PPARalpha ligand, fenofibrate. Gene  
expression anal. clearly demonstrated that WAY-362450 modulates genes distinct from  
fenofibrate involved in both triglyceride clearance and triglyceride synthesis;  
however, unlike fenofibrate, WAY-362450 also decreased total cholesterol levels in  
both models. Taken together, these and other data, support the clin. evaluation of  
WAY-362450 as a treatment for dyslipidemia.

L56 ANSWER 7 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2007:670485 HCAPLUS Full-text  
DOCUMENT NUMBER: 147:95645  
TITLE: Azepinoindole derivatives as farnesoid X receptor  
modulators and their preparation, pharmaceutical  
compositions and use as pharmaceutical agents  
INVENTOR(S): Baik, Taegon; Buhr, Chris A.; Busch, Brett B.; Chan,  
Diva Sze-Ming; Flatt, Brenton T.; Gu, Xiao Hui;  
Jammalamadaka, Vasu; Khoury, Richard George; Lara,  
Katherine; Ma, Sunghoon; Martin, Richard;  
Mohan, Raju; Nuss, John M.; Parks, Jason  
Jevious  
PATENT ASSIGNEE(S): Exelixis, Inc., USA  
SOURCE: PCT Int. Appl., 244pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

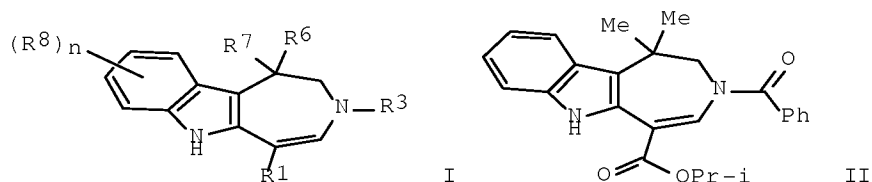
**Serial#: 10/595,734**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007070796	A1	20070621	WO 2006-US61928	20061212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006325815	A1	20070621	AU 2006-325815	20061212
CA 2633243	A1	20070621	CA 2006-2633243	20061212
EP 1963331	A1	20080903	EP 2006-846570	20061212
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009519964	T	20090521	JP 2008-545937	20061212
IN 2008DN04896	A	20080808	IN 2008-DN4896	20080606
MX 2008007811	A	20080703	MX 2008-7811	20080613
CN 101374842	A	20090225	CN 2006-80052924	20080815
US 20090203577	A1	20090813	US 2009-96961	20090213
PRIORITY APPLN. INFO.:			US 2005-750634P	P 20051215
			US 2005-750679P	P 20051215
			WO 2006-US61928	W 20061212

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 147:95645

GI



AB The invention relates to compds. of formula I, which exhibit affinity for the farnesoid X receptor (FXR). Compds. of formula I wherein R1 is CJR11, CJOR11, and CJNH2 and derivs.; J is a bond, O, and NH and derivs.; n is 0 to 4; R3 is H, acyl, and NH2 and derivs.; R6 and R7 are independently (un)substituted alkyl, (un)substituted cycloalkyl, and (un)substituted cycloalkylalkyl; R8 is OH, (un)substituted alkyl, (un)substituted cycloalkenyl, (un)substituted alkynyl, halo, haloalkyl, etc.; R11 is H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, etc.; and their pharmaceutically acceptable derivs. thereof, are claimed. Example compound II was prepared by acylation of iso-Pr 1,2,3,6-tetrahydroazepino[4,5-b]indole-5-carboxylate with benzoyl chloride. All the invention compds. were evaluated for their farnesoid X modulatory activity (data given). IPCI C07D0487-04 [I,A]; C07D0487-00 [I,C\*]; A61K0031-407 [I,A]; A61P0003-00

[I,A]

IPCR C07D0487-00 [I,C]; C07D0487-04 [I,A]; A61K0031-407 [I,C]; A61K0031-407

[I,A]; A61P0003-00 [I,C]; A61P0003-00 [I,A]

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

**Serial#: 10/595,734**

Section cross-reference(s): 1, 63

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:227924 HCAPLUS Full-text

DOCUMENT NUMBER: 146:295926

TITLE: Preparation of heterocyclic carboxamide compounds as  
pharmaceutical agentsINVENTOR(S): Flatt, Brenton T.; Gu, Xiao Hui; Martin,  
Richard; Mohan, Raju; Murphy, Brett;  
Nyman, Michael Charles; Stevens, William C.; Wang, Tie  
Lin; Bannen, Lynne Canne

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

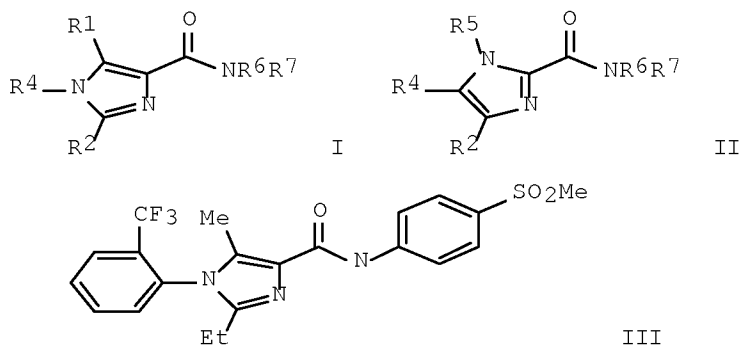
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007024744	A2	20070301	WO 2006-US32459	20060818
WO 2007024744	A3	20070607		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,  
KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,  
MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS,  
RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ,  
UA, UG, US, UZ, VC, VN, ZA, ZM, ZWRW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-710273P P 20050821

OTHER SOURCE(S): CASREACT 146:295926; MARPAT 146:295926

GI



**Serial#: 10/595,734**

AB Title compds. represented by the formula I & II [wherein R1, R2 = independently H, CN, (un)substituted alkyl, etc.; R4, R7 = independently (un)substituted alkyl, alkenyl or alkynyl; R5 = H, (un)substituted alkyl, alkenyl, etc.; R6 = H; with the proviso; and isomers, solvates or polymorphs; or prodrugs or metabolites; or pharmaceutically acceptable salts thereof] were prepared in modulating the activity of steroid nuclear receptors. For example, amidation of 2-ethyl-5-methyl-1-(2-trifluoromethylphenyl)-1H-imidazole-4-carboxylic acid with 4-methylsulfonylaniline gave III in 66% yield. IPCI

C07D0233-00 [I,C]; C07D0233-90 [I,A]; A61K0031-341 [I,C]; A61K0031-341 [I,A]; A61K0031-381 [I,C]; A61K0031-381 [I,A]; A61K0031-415 [I,C]; A61K0031-415 [I,A]; A61K0031-4164 [I,C]; A61K0031-4164 [I,A]; A61P0035-00 [I,C]; A61P0035-00 [I,A]; C07D0231-00 [I,C]; C07D0231-14 [I,A]; C07D0307-00 [I,C]; C07D0307-68 [I,A]; C07D0333-00 [I,C]; C07D0333-38 [I,A] IPCR C07D0233-00 [I,C]; C07D0233-90 [I,A]; A61K0031-341 [I,C]; A61K0031-341 [I,A]; A61K0031-381 [I,C]; A61K0031-381 [I,A]; A61K0031-415 [I,C]; A61K0031-415 [I,A]; A61K0031-4164 [I,C]; A61K0031-4164 [I,A]; A61P0035-00 [I,C]; A61P0035-00 [I,A]; C07D0231-00 [I,C]; C07D0231-14 [I,A]; C07D0307-00 [I,C]; C07D0307-68 [I,A]; C07D0333-00 [I,C]; C07D0333-38 [I,A]

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L56 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:14431 HCAPLUS Full-text

DOCUMENT NUMBER: 146:121962

TITLE: Pyrazole based LXR modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Busch, Breet B.; Flatt, Brenton T.; Gu, Xiao Hui; Martin, Richard; Mohan, Raju; Nyman, Michael Charles; Schweiger, Edwin; Stevens, William C., Jr.; Wang, Tie Lin; Xie, Yinong

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 533 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002559	A1	20070104	WO 2006-US24749	20060626
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2006261841	A1	20070104	AU 2006-261841	20060626
CA 2613517	A1	20070104	CA 2006-2613517	20060626
EP 1910307	A1	20080416	EP 2006-785558	20060626
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,			

**Serial#: 10/595,734**IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,  
BA, HR, MK, RS

JP 2008543970	T	20081204	JP 2008-519444	20060626
SG 162803	A1	20100729	SG 2010-4272	20060626
SG 162804	A1	20100729	SG 2010-4273	20060626
AR 54521	A1	20070627	AR 2006-102761	20060627
AR 54522	A1	20070627	AR 2006-102762	20060627
ZA 2007010582	A	20081231	ZA 2007-10582	20071205
MX 2008000138	A	20080522	MX 2007-2008000138	20071219
IN 2007DN10016	A	20080620	IN 2007-DN10016	20071224
NO 2008000391	A	20080319	NO 2008-391	20080121
KR 2008028964	A	20080402	KR 2008-701957	20080124
CN 101248048	A	20080820	CN 2006-80030647	20080222
PRIORITY APPLN. INFO.:			US 2005-694372P	P 20050627
			US 2005-736120P	P 20051110
			WO 2006-US24749	W 20060626

OTHER SOURCE(S): MARPAT 146:121962  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Compds. of the invention, such as compds. of formulas I, II, III, and IV and pharmaceutically acceptable salts, isomers, and prodrugs thereof, which are useful as modulators of the activity of liver X receptors. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formulas I - IV wherein R1 is (un)substituted (hetero)aryl, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted (thio)ethers, etc.; R2 and R21 are independently (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkylidyl, H, halo, NO2, CN, (hetero)aryl, etc.; R3 is (un)substituted alkyl, (un)substituted alkylidyl, (un)substituted alkenyl, (un)substituted acetyl, (un)substituted thioacetyl, etc.; G is (un)substituted (hetero)aryl, (un)substituted biaryl, (un)substituted alkenoyl, etc.; and their pharmaceutically acceptable salts, isomers, and prodrugs thereof, are claimed. Example compound V was prepared by acylation of 2-acetyl-5-bromothiophene with Et trifluoroacetate; the resulting 1-(5-bromothiophen-2-yl)-4,4,4-trifluorobutane-1,3-dione underwent cyclization with 2,5-dichlorophenylhydrazine hydrochloride to give 5-(5-bromothiophen-2-yl)-1-(2,5-dichlorophenyl)-3-trifluoromethyl-1H-pyrazole, which underwent Suzuki cross-coupling with 3-aminosulfonylphenylboronic acid to give compound II. All the invention compds. were evaluated for their LXR modulatory activity. From the assay, it was determined that several of the tested compds. exhibited IC50 values of < 1  $\mu$ M.

IPCI C07D0233-54 [I,A]; C07D0233-00 [I,C\*]; C07D0401-04 [I,A]; C07D0401-00 [I,C\*]; C07D0409-04 [I,A]; C07D0409-00 [I,C\*]; A61K0031-415 [I,A];

A61P0003-10 [I,A]; A61P0003-00 [I,C\*]

IPCR C07D0233-00 [I,C]; C07D0233-54 [I,A]; A61K0031-415 [I,C]; A61K0031-415 [I,A]; A61P0003-00 [I,C]; A61P0003-10 [I,A]; C07D0401-00 [I,C];

C07D0401-04 [I,A]; C07D0409-00 [I,C]; C07D0409-04 [I,A]

CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:11808 HCAPLUS Full-text

DOCUMENT NUMBER: 146:121964

TITLE: Imidazole based LXR modulators and their preparation,  
pharmaceutical compositions and use in the treatment

**Serial#: 10/595,734**

of diseases  
INVENTOR(S): Busch, Breet B.; Flatt, Brenton T.; Gu, Xiao Hui; Lu, Shao Po; Martin, Richard; Mohan, Raju; Nyman, Michael Charles; Schweiger, Edwin; Stevens, William C., Jr.; Wang, Tie Lin; Xie, Yinong  
PATENT ASSIGNEE(S): Exelixis, Inc., USA  
SOURCE: PCT Int. Appl., 268 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2007002563	A1	20070104	WO 2006-US24757	20060626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006261845	A1	20070104	AU 2006-261845	20060626
CA 2613522	A1	20070104	CA 2006-2613522	20060626
EP 1910308	A1	20080416	EP 2006-785562	20060626
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2008543971	T	20081204	JP 2008-519445	20060626
SG 162803	A1	20100729	SG 2010-4272	20060626
SG 162804	A1	20100729	SG 2010-4273	20060626
AR 54521	A1	20070627	AR 2006-102761	20060627
AR 54522	A1	20070627	AR 2006-102762	20060627
ZA 2007010582	A	20081231	ZA 2007-10582	20071205
MX 2008000141	A	20080407	MX 2008-141	20071219
IN 2007DN10015	A	20080620	IN 2007-DN10015	20071224
KR 2008039381	A	20080507	KR 2008-701879	20080124
CN 101248049	A	20080820	CN 2006-80030791	20080222
US 20100075964	A1	20100325	US 2009-993529	20091204
PRIORITY APPLN. INFO.:			US 2005-694372P	P 20050627
			US 2005-736120P	P 20051110
			WO 2006-US24757	W 20060626

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:121964; MARPAT 146:121964

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Compds. of the invention, such as compds. of formulas I, II, III and IV and pharmaceutically acceptable salts, isomers, and prodrugs thereof, are useful as modulators of the activity of liver X receptors. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formulas I - IV

**Serial#: 10/595,734**

wherein R1 is (un)substituted (hetero)aryl, (un)substituted C3-8 cycloalkyl, (un)substituted alkyl, (un)substituted acyl, (un)substituted thioacyl, sulfonyl, ether, etc.; R2 and R21 are independently (un)substituted alkyl, (un)substituted alkylidyl, H, halo, NO<sub>2</sub>, (hetero)aryl, etc.; R3 is (un)substituted alkyl, (un)substituted alkylidyl, (un)substituted (hetero)aryl, CN, etc.; G is (un)substituted (hetero)aryl, (un)substituted (hetero)biaryl, (un)substituted alkylaryl, etc.; and their pharmaceutically acceptable salts, isomers, and prodrugs thereof are claimed. Example compound V was prepared by addition of 2,5-dichloroaniline to 5-bromothiophene-2-carbonitrile; the resulting 5-bromo-N-(2,5-dichlorophenyl)thiophene-2-carboxamide underwent cyclization with 1-bromo-3,3,3-trifluoroacetone to give 2-(5-bromothiophen-2-yl)-1-(2,5-dichlorophenyl)-4-trifluoromethyl-4,5-dihydro-1H-imidazol-4-ol, which underwent dehydration to give 2-(5-bromothiophen-2-yl)-1-(2,5-dichlorophenyl)-4-trifluoromethyl-1H-imidazole,, which underwent Suzuki cross-coupling with 3-methylsulfonylphenylboronic acid to give compound V. All the invention compds. were evaluated for their LXR modulatory activity. From the assay, it was determined that several of the tested compound exhibited IC<sub>50</sub> values of < 1 µM. Compds. of the invention, such as compds. of Formulas Ia, Ib, Ic, or Id and pharmaceutically acceptable salts, isomers, and prodrugs thereof, which are useful as modulators of the activity of liver X receptors, where R1, R2, R21, R3, and G are defined herein. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed.

IPCI C07D0233-54 [I,A]; C07D0233-00 [I,C\*]; C07D0409-04 [I,A]; C07D0409-00 [I,C\*]; C07D0401-04 [I,A]; C07D0401-00 [I,C\*]; A61K0031-4164 [I,A]; A61P0003-10 [I,A]; A61P0003-00 [I,C\*]

IPCR C07D0233-00 [I,C]; C07D0233-54 [I,A]; A61K0031-4164 [I,C]; A61K0031-4164 [I,A]; A61P0003-00 [I,C]; A61P0003-10 [I,A]; C07D0401-00 [I,C]; C07D0401-04 [I,A]; C07D0409-00 [I,C]; C07D0409-04 [I,A]

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 11 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:699903 HCAPLUS Full-text

DOCUMENT NUMBER: 145:145709

TITLE: Preparation of heterocyclic carboxamide compounds as steroid nuclear receptors ligands

INVENTOR(S): Flatt, Brenton; Gu, Xiao-Hui; Martin, Richard ; Mohan, Raju; Murphy, Brett; Nyman, Michael C.; Stevens, William C., Jr.; Wang, Tie-Lin

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 196 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

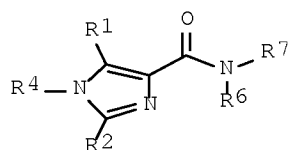
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
WO 2006076202	A1	20060720	WO 2006-US319	20060106
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,			

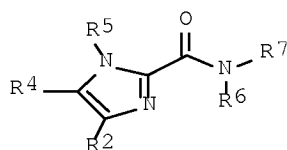
**Serial#: 10/595,734**

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM

AU 2006205220 A1 20060720 AU 2006-205220 20060106  
CA 2593156 A1 20060720 CA 2006-2593156 20060106  
EP 1844020 A1 20071017 EP 2006-717506 20060106  
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,  
BA, HR, MK, YU  
JP 2008526869 T 20080724 JP 2007-550462 20060106  
PRIORITY APPLN. INFO.: US 2005-642839P P 20050110  
WO 2006-US319 W 20060106  
OTHER SOURCE(S): CASREACT 145:145709; MARPAT 145:145709  
GI



I



II

AB Imidazole-4-carboxamides (I) and imidazole-2-carboxamide (II) [R1, R2 = H, cyano, halo, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; R5 = H, each alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; R4 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; R6 = H; R7 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl] as single isomers, mixture of isomers, or as racemic mixts. of isomers or as solvates or polymorphs or as prodrugs or metabolites or as pharmaceutically acceptable salts thereof are prepared. These compds. are useful in modulating the activity of steroid nuclear receptors and thereby for the treatment of a disease, or disorder mediated by, or otherwise affected by one or more steroid nuclear receptors (in particular mineralocorticoid receptor), or in which steroid nuclear receptor activity is implicated. The above disease or disorder is related to cancer, infertility, one or more metabolic syndromes, bone or cartilage dysfunction, immune dysfunction, cognitive dysfunction, high blood pressure, heart disease, renal disease, fibrosis, epidermal dysfunction, or muscle wasting. Thus, to a stirred mixture of 1,4-dimethyl-5-(2-phenoxyphenyl)-1H-imidazole-2-carboxylic acid Et ester (202 mg, 0.60 mmol) and 4-methanesulfonylaniline (136 mg, 0.80 mmol) in toluene (5 mL, anhydrous) was added dropwise Me3Al (2.0 M in toluene, 0.4 mL, 0.8 mmol) under N at ambient temperature and the resulting mixture was stirred at 100° in a sealed vial for 10 h to give, after silica gel chromatog., 1,4-dimethyl-5-(2-phenoxyphenyl)-1H-imidazole-2-carboxylic acid (4-methanesulfonylphenyl)amide (III). III showed antagonist activity against mineralocorticoid receptor with IC50 of <0.5 µM which was ten-fold greater than the antagonist activity against androgen receptor (AR), estrogen receptor α (ERα), glucocorticoid receptor (GR), and progesterone receptor (PR).

IPCI C07D0233-90 [I,A]; C07D0233-00 [I,C\*]; C07D0231-14 [I,A]; C07D0231-00 [I,C\*]; C07D0333-38 [I,A]; C07D0333-00 [I,C\*]; C07D0307-68 [I,A]; C07D0307-00 [I,C\*]; A61K0031-4164 [I,A]; A61K0031-415 [I,A]; A61K0031-381 [I,A]; A61K0031-341 [I,A]; A61P0035-00 [I,A]  
IPCR C07D0233-00 [I,C]; C07D0233-90 [I,A]; A61K0031-341 [I,C]; A61K0031-341



**Serial#: 10/595,734**

[I,A]; A61K0031-381 [I,C]; A61K0031-381 [I,A]; A61K0031-415 [I,C];  
A61K0031-415 [I,A]; A61K0031-4164 [I,C]; A61K0031-4164 [I,A]; A61P0035-00  
[I,C]; A61P0035-00 [I,A]; C07D0231-00 [I,C]; C07D0231-14 [I,A];  
C07D0307-00 [I,C]; C07D0307-68 [I,A]; C07D0333-00 [I,C]; C07D0333-38 [I,A]  
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:411688 HCAPLUS Full-text

DOCUMENT NUMBER: 144:450700

TITLE: Preparation of benzyldiene thiazolones as  
 $\alpha$ -estrogen receptors modulators

INVENTOR(S): Martin, Richard; Mohan, Raju;  
Busch, Brett B.; Nyman, Michael Charles; Stevens,  
William C., Jr.

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 135 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

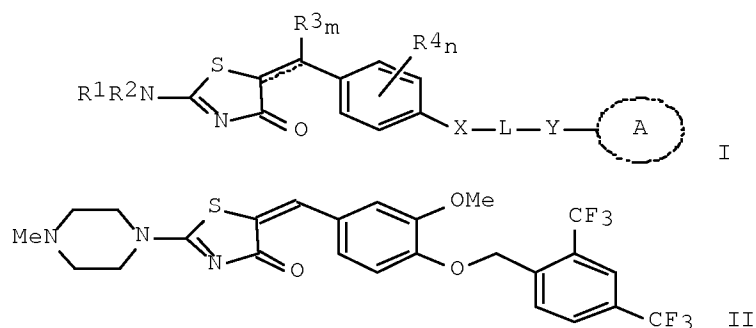
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2006047269	A2	20060504	WO 2005-US37853	20051021
WO 2006047269	A3	20060720		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005299829	A1	20060504	AU 2005-299829	20051021
CA 2583271	A1	20060504	CA 2005-2583271	20051021
EP 1805154	A2	20070711	EP 2005-812411	20051021
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
JP 2008517925	T	20080529	JP 2007-538058	20051021
US 20090197870	A1	20090806	US 2007-577611	20070420
PRIORITY APPLN. INFO.:			US 2004-621296P	P 20041022
			WO 2005-US37853	W 20051021

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:450700; MARPAT 144:450700

GI



AB Title compds. represented by the formula I [wherein R<sub>1</sub>, R<sub>2</sub> = independently (un)substituted (cyclo)alkyl, alkenyl, alkynyl, etc.; or R<sub>1</sub>R<sub>2</sub>N = (un)substituted heterocyclyl or heteroaryl; R<sub>3</sub> = H, halo or (un)substituted alkyl; R<sub>4</sub> = independently halo, cyano, (un)substituted alkyl, etc.; m = 1 or 2; n = 0-4; X, Y = independently O, NR<sub>8</sub>, SO<sub>p</sub> or a direct bond ; p = 0-2; R<sub>8</sub> = H or (un)substituted alkyl; L = (un)substituted alkylene, cycloalkyl, alkenylene or alkynylene; A = (un)substituted (hetero)aryl; and pharmaceutically acceptable salts thereof] were prepared as  $\alpha$ -estrogen receptors (ERR $\alpha$ ) modulators. For example, II was provided in a multi-step synthesis starting from reaction of 1-bromomethyl-2,4-bis(trifluoromethyl)benzene with vanillin. II showed inverse agonist activity in the GAL4-ERR $\alpha$  assay with IC<sub>50</sub> value of less than 0.5  $\mu$ M and 100-120% control rate. Thus, I are useful for the treatment of ERR $\alpha$  related diseases, disorders or conditions, such as cancer (no data). IPCI A61K0031-401 [I,A]; C07D0277-00 [I,C]; C07D0277-54 [I,A] IPCR A61K0031-401 [I,A]; A61K0031-401 [I,C] CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)  
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:332235 HCAPLUS Full-text

DOCUMENT NUMBER: 144:350539

TITLE: Preparation of pyrrolecarboxamide derivatives as mineralocorticoid receptor antagonists for use against cancer and other disorders

INVENTOR(S): Canne Bannen, Lynne; Chen, Jeff; Dalrymple, Lisa  
 Esther; Flatt, Brenton T.; Forsyth, Timothy Patrick;  
 Gu, Xiao-Hu; Mac, Morrison B.; Mann, Larry W.; Mann,  
 Grace; Martin, Richard; Mohan, Raju  
 ; Murphy, Brett; Nyman, Michael Charles; Stevens,  
 William C., Jr.; Wang, Tie-Lin; Wong, Yong; Wu, Jason  
 H.

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 477 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

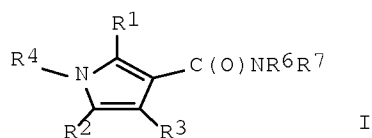
**Serial#: 10/595,734**

-----  
WO 2006012642                    A2            20060202            WO 2005-US26916                    20050730  
WO 2006012642                    A3            20060727  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,  
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,  
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,  
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,  
ZA, ZM, ZW  
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM  
AU 2005266890                    A1            20060202            AU 2005-266890                    20050730  
CA 2573426                        A1            20060202            CA 2005-2573426                    20050730  
EP 1773768                        A2            20070418            EP 2005-803281                    20050730  
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,  
BA, HR, MK, YU  
CN 101006052                    A            20070725            CN 2005-80026842                    20050730  
BR 2005013677                    A            20071127            BR 2005-13677                    20050730  
JP 2008508308                    T            20080321            JP 2007-523832                    20050730  
SG 155188                        A1            20090930            SG 2009-5207                    20050730  
ZA 2007000352                    A            20090527            ZA 2007-352                    20070112  
IN 2007DN00605                    A            20070817            IN 2007-DN605                    20070123  
MX 2007001201                    A            20080828            MX 2007-1201                    20070129  
NO 2007000910                    A            20070426            NO 2007-910                    20070216  
KR 2007045283                    A            20070502            KR 2007-704302                    20070223  
US 20080234270                    A1            20080925            US 2007-572962                    20071203  
JP 2010077166                    A            20100408            JP 2010-7511                    20100115  
PRIORITY APPLN. INFO.:            US 2004-592439P                    P            20040730  
    US 2004-592469P                    P            20040730  
    JP 2007-523832                    A3            20050730  
    WO 2005-US26916                    W            20050730

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S):                    MARPAT 144:350539

GI



AB Pyrrolecaboxamide derivs. (shown as I; other Markush structures for pyrrolecaboxamides are defined in the claims; variables defined below; e.g. 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3- carboxylic acid N-[4-(sulfamoyl)phenyl]amide (II)), compns. and methods for modulating the activity of receptors are provided. In particular compds. and compns. are provided for modulating the activity of receptors and for the treatment, prevention, or amelioration of ≥1 symptoms of disease or disorder directly or indirectly related to the activity of the receptors. Semiquant. IC50 values for antagonist activity of 23 examples of I are tabulated and compared to the activity of the Spironolactone control. For I: R1 and R2 =

**Serial#: 10/595,734**

H, halo, cyano, or (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, or heterocyclylalkyl, or -OR<sub>9</sub>, -SR<sub>9</sub>, -N(R<sub>9</sub>)<sub>2</sub>, -C(O)OR<sub>9</sub> or -C(O)N(R<sub>9</sub>)<sub>2</sub>; R<sub>3</sub> = H, halo, cyano, (un)substituted alkyl, (un)substituted alkenyl or (un)substituted alkynyl; R<sub>4</sub> is H, -C(O)R<sub>9</sub>, -S(O)<sub>2</sub>R<sub>9</sub>, or (un)substituted alkyl, alkenyl or alkynyl, or R<sub>4</sub> is (un)substituted cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; R<sub>6</sub> is H or (un)substituted alkyl; R<sub>7</sub> is (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; addnl. details are given in the claims. Although the methods of preparation are not claimed, preps. and/or characterization data for many examples of I are included. For example, II was prepared in 5 steps (50, 37, 62, 64, and 66 % yields, resp.) starting with preparation of 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole from 4-fluoro-2-(trifluoromethyl)aniline and 2,5-hexanedione, followed by preparation of the following intermediates: 1-(4-fluoro-2-trifluoromethylphenyl)-2,5-dimethyl-1H-pyrrole-3-carboxaldehyde, 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carboxylic acid, and 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carbonyl chloride and finally amide formation with sulfanilamide.

IPCI C07D0207-00 [I,C]; A61K0031-40 [I,C]; C07D0207-325 [I,A]; A61K0031-40 [I,A]

IPCR C07D0207-00 [I,C]; C07D0207-325 [I,A]; A61K0031-40 [I,C]; A61K0031-40 [I,A]

CC 27-10 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 2, 63

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

L56 ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:729530 HCAPLUS Full-text

DOCUMENT NUMBER: 143:211917

TITLE: Preparation of 3-phenyl-N-(1,3,4-thiadiazol-2-yl)acrylamide derivatives and related compounds as modulators of estrogen-related receptors for the treatment of diseases such as cancer, rheumatoid arthritis or neurological disorders

INVENTOR(S): Busch, Brett; Johnson, Alan T.; Martin, Richard; Mohan, Raju; Stevens, William C., Jr.

PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 195 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005072731	A1	20050811	WO 2005-US2736	20050128
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:

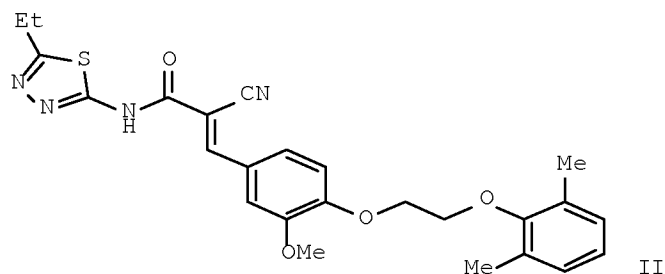
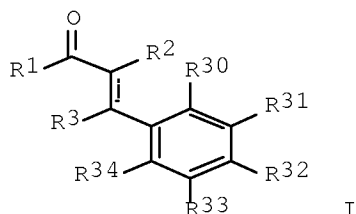
US 2004-540958P

P 20040129

OTHER SOURCE(S):

CASREACT 143:211917; MARPAT 143:211917

GI



AB Title compds. I [wherein R1 = NH<sub>2</sub> and derivs., (un)substituted halo/cyclo/cycloalkyl/ar/alkyl, aryl, alkenyl, etc.; R2 = H, halo, CN, NO<sub>2</sub>, N<sub>3</sub>, (un)substituted alk(en/yn)yl, hetero/aryl, etc.; R3 = H, (un)substituted alk(en/yn)yl, hetero/aryl, etc.; R30, R31, R32, R33, R34 = independently H, halo, CN, NO<sub>2</sub>, N<sub>3</sub>, OH and derivs., (un)substituted halo/alkyl, halo/alkenyl, hetero/aryl, etc.; or one of R30CCR31, R31CCR32, R32CCR33, and R33CCR34 = (un)substituted cycloalkyl, heterocyclyl, hetero/aryl; and their pharmaceutically acceptable derivs.] were prepared as estrogen-related receptors (ERRs), particularly ERR $\alpha$ , modulators for treating cancer, rheumatoid arthritis, neurol. disorders, etc. Thus, Knoevenagel condensation of 4-[2-[(2,6-dimethylphenyl)oxy]ethoxy]-3-methoxybenzaldehyde (preparation given) with 2-cyano-N-(5-ethyl-[1,3,4]thiadiazol-2-yl)acetamide (preparation given) in DMF/EtOH in the presence of TEA gave II in 43% yield. Selected I displayed average IC<sub>50</sub> values  $\leq 0.5 \mu\text{M}$  for inverse agonist activity in a GAL4-ERR $\alpha$  assay. I, and their compns., are useful for the treatment, prevention, or amelioration of ERR $\alpha$ -related diseases, disorders or conditions, such as cancer, diabetes, obesity, hyperlipidemia, arthritis, atherosclerosis, osteoporosis, anxiety, depression, Parkinson's disease and Alzheimer's disease. IPCI A61K0031-433 [ICM,7]; A61K0031-426 [ICS,7]; A61K0031-425 [ICS,7];

C07D0285-12 [ICS,7]; C07D0285-00 [ICS,7,C\*]; C07D0277-46 [ICS,7]; C07D0277-00 [ICS,7,C\*]; C07D0271-10 [ICS,7]; C07D0271-00 [ICS,7,C\*]; A61P0035-00 [ICS,7]; A61P0019-02 [ICS,7]; A61P0019-00 [ICS,7,C\*]; A61P0025-22 [ICS,7]; A61P0025-24 [ICS,7]; A61P0025-28 [ICS,7]; A61P0025-30 [ICS,7]; A61P0025-00 [ICS,7,C\*]

IPCR C07D0271-00 [I,C\*]; C07D0271-10 [N,A]; C07D0271-113 [I,A]; C07D0277-00 [I,C\*]; C07D0277-46 [I,A]; C07D0285-00 [I,C\*]; C07D0285-12 [N,A]; C07D0285-135 [I,A]

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS

**Serial#: 10/595,734**

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 15 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2005:451367 HCAPLUS Full-text  
DOCUMENT NUMBER: 142:476293  
TITLE: Substituted pyrimidine compositions and methods using  
them for the treatment of NGFI-B-related diseases  
INVENTOR(S): Martin, Richard; Mohan, Raju;  
Ordentlich, Peter  
PATENT ASSIGNEE(S): X-CEPTOR Therapeutics, Inc., USA  
SOURCE: PCT Int. Appl., 117 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047268	A2	20050526	WO 2004-US37642	20041109
WO 2005047268	A3	20050721		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20070293464	A1	20071220	US 2007-595734	20070522
PRIORITY APPLN. INFO.:			US 2003-519030P	P 20031110
			WO 2004-US37642	W 20041109

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 142:476293

AB Compns. and methods using substituted pyrimidines are provided. The substituted pyrimidines may be used to treat diseases modulated by NGFI-B family activity.

IPCI C07D0239-00 [ICM,7]

IPCR A61K0031-505 [I,C\*]; A61K0031-505 [I,A]; A61K0031-519 [I,C\*]; A61K0031-519 [I,A]

CC 1-12 (Pharmacology)

Section cross-reference(s): 63

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 16 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2005:371199 HCAPLUS Full-text  
DOCUMENT NUMBER: 142:430010  
TITLE: Preparation of diphenylmethane derivatives as vitamin  
D receptor modulators  
INVENTOR(S): Flatt, Brenton T.; Martin, Richard;  
Mohan, Raju; Murphy, Brett  
PATENT ASSIGNEE(S): X-CEPTOR Therapeutics, Inc., USA  
SOURCE: PCT Int. Appl., 116 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English

**Serial#: 10/595,734**

FAMILY ACC. NUM. COUNT: 1

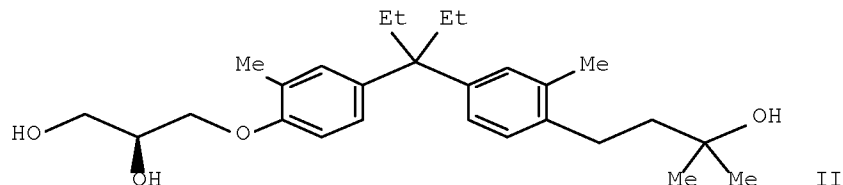
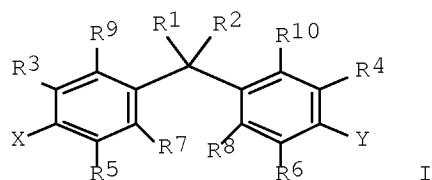
## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037755	A2	20050428	WO 2004-US33666	20041013
WO 2005037755	A3	20050818		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004282162	A1	20050428	AU 2004-282162	20041013
CA 2542650	A1	20050428	CA 2004-2542650	20041013
EP 1675812	A2	20060705	EP 2004-794900	20041013
EP 1675812	B1	20100120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007509847	T	20070419	JP 2006-535596	20041013
US 20070225377	A1	20070927	US 2004-576228	20041013
AT 455749	T	20100215	AT 2004-794900	20041013
PRIORITY APPLN. INFO.:			US 2003-511457P	P 20031014
			WO 2004-US33666	W 20041013

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:430010; MARPAT 142:430010

GI



AB Title compds. I [R1, R2 = halo, haloalkyl, pseudohalo, etc.; R3, R4 = H, alkyl, alkenyl, etc.; R5, R6, R7, R8, R9, R10 = H, halo, hydroxy, etc.; X = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.; Y = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.] and their pharmaceutically acceptable salts were prepared For example, reaction of 4-{1-ethyl-1-[4-(3-hydroxy-3-methylbutyl)-3- methylphenyl]propyl}-2-methylphenol, e.g., prepared from o-cresol in 6

**Serial#: 10/595,734**

steps, with (S)-glycidol afforded compound II in 47% yield. In assays to determine vitamin D receptor (VDR) agonist activity, compound II possessed the EC50 value of <10  $\mu$ M. Compds. I are claimed useful for the treatment of Alzheimer's disease, cancer, etc. IPCI C07C0039-00 [ICM, 7]

IPCR C07C0037-00 [I,C\*]; C07C0037-20 [I,A]; C07C0039-00 [I,C\*]; C07C0039-16 [I,A]; C07C0039-21 [I,A]; C07C0039-367 [I,A]; C07C0043-00 [I,C\*]; C07C0043-23 [I,A]; C07D0211-00 [I,C\*]; C07D0211-22 [I,A]

CC 25-7 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 17 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:220132 HCAPLUS Full-text

DOCUMENT NUMBER: 142:298092

TITLE: Preparation of azepino[4,5-b]indole derivatives as modulators of nuclear receptors

INVENTOR(S): Busch, Brett; Flatt, Brenton T.; Gu, Xiao-Hui; Martin, Richard; Mohan, Raju; Wang, Tie-Lin; Wu, Jason H.

PATENT ASSIGNEE(S): X-ceptor Therapeutics Inc., USA; Exelixis, Inc.

SOURCE: U.S. Pat. Appl. Publ., 106 pp., Cont.-in-part of U.S. Ser. No. 447,302.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
US 20050054634	A1	20050310	US 2003-895431	20031202
US 7595311	B2	20090929		
US 20040023947	A1	20040205	US 2003-447302	20030527
US 7485634	B2	20090203		
AU 2004297198	A1	20050623	AU 2004-297198	20041201
CA 2555279	A1	20050623	CA 2004-2555279	20041201
WO 2005056554	A2	20050623	WO 2004-US40352	20041201
WO 2005056554	A3	20050818		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1692136	A2	20060823	EP 2004-812795	20041201
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			
CN 1914207	A	20070214	CN 2004-80041235	20041201
BR 2004017260	A	20070306	BR 2004-17260	20041201
JP 2007513168	T	20070524	JP 2006-542742	20041201
NZ 548179	A	20091127	NZ 2004-548179	20041201



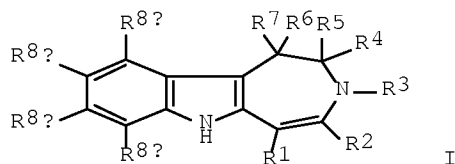
**Serial#: 10/595,734**

ZA 2006004352	A	20081231	ZA 2006-4352	20060529
MX 2006006140	A	20061110	MX 2006-6140	20060531
IN 2006KN01497	A	20070504	IN 2006-KN1497	20060601
KR 2006124662	A	20061205	KR 2006-713217	20060630
NO 2006003080	A	20060823	NO 2006-3080	20060703
US 20090326218	A1	20091231	US 2009-362269	20090129
US 20100173824	A1	20100708	US 2009-535453	20090804
PRIORITY APPLN. INFO.:			US 2002-383574P	P 20020524
			US 2003-447302	A2 20030527
			US 2003-895431	A 20031202
			WO 2004-US40352	W 20041201

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:298092; MARPAT 142:298092

GI



AB The title compds. (I) [R1 = -C(J)OR14, -C(J)SR14, (un)substituted -C(J)NH2; J = O, S, (un)substituted NH; R2 = H, halo, (un)substituted alkyl; R3 = -C(O)R9; R4, R5, R6 and R7 are together selected from (a), (b), etc. below: (a) R4, R5 = H or halo and R6, R7 = halo, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl, etc.; or R6 and R7, together with the carbon atom to which they are attached, form each (un)substituted cycloalkyl, heterocyclyl, cycloalkenyl, alkylidene, cycloalkylidene, heterocyclylidene, aralkylidene or substituted heteroaralkylidene; (b) R4, R5 = halo, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, or heteroaralkyl, etc.; or R4 and R5, together with the carbon atom to which they are attached, form (un)substituted cycloalkyl, heterocyclyl, cycloalkenyl, alkylidene, cycloalkylidene, heterocyclylidene, aralkylidene or heteroaralkylidene, and R6, R7 = H or halo; R8a, R8b, R8c, R8d = H, halo, pseudohalo, cyano, azido, amidino, guanidino, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl, etc.; R14 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, etc.] are prepared These compds. modulate nuclear receptors, in particular farnesoid X receptor and are agonists, partial agonists, inverse agonists, partial antagonists, or antagonists of farnesoid X receptor. They are useful for the treatment, prevention, or amelioration of one or more symptoms of disease or disorder directly or indirectly related to the activity of the above receptors, including hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, dyslipidemia, lipodystrophy, atherosclerosis, atherosclerotic disease, atherosclerotic disease events, atherosclerotic cardiovascular disease, Syndrome X, diabetes mellitus, type II diabetes, insulin insensitivity, hyperglycemia, cholestasis and obesity. Thus, to a solution of Et 1,2,3,6-tetrahydroazepino[4,5-b]indole-5-carboxylate (52 mg, 0.2 mmol) in CH<sub>2</sub>Cl<sub>2</sub> was added 4-fluorobenzoyl chloride (36  $\mu$ L, 0.2 mmol) and TEA (56  $\mu$ L, 0.4 mmol) and the mixture was shaken overnight at 20°, treated with Trisamine resin (50 mg), and shaken for 2 h at 20°. The resin was removed by filtration through a Florisil cartridge. Evaporation of solvent gave a crude product, which was purified by trituration with methanol to give Et 3-(4-fluorobenzoyl)-1,2,3,6-tetrahydroazepino[4,5-b]indole-5-

**Serial#: 10/595,734**

carboxylate. Et 3-(3,4-difluorobenzoyl)-1-methyl-1,2,3,6- tetrahydroazepino[4,5-b]indole-5-carboxylate was administered daily by oral gage for 7 days to young adult male mice. Plasma total cholesterol and triglyceride levels were significantly lowered.

INCL 514215000; X54-057.7

IPCI A61P0003-00 [I,A]; A61K0031-55 [I,A]; C07D0487-04 [I,A]; C07D0487-00 [I,C\*]

IPCR C07D0471-00 [I,C\*]; C07D0471-04 [I,A]; C07D0487-00 [I,C\*]; C07D0487-10 [I,A]; C07D0491-00 [I,C\*]; C07D0491-04 [I,A]; C07D0491-20 [I,A]; A61P0003-00 [I,C]; A61P0003-00 [I,A]; A61K0031-55 [I,C]; A61K0031-55 [I,A]; C07D0487-04 [I,A]

NCL 514/215.000; 540/577.000; 540/580.000

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD  
(10 CITINGS)

L56 ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:191522 HCAPLUS Full-text

TITLE: SAR of highly potent full-range modulators of the farnesoid X receptor

AUTHOR(S): Flatt, Brenton T.; Kahl, Jeffrey D.; Busch, Brett B.; Boman, Erik; Liu, Amy; Ordentlich, Peter; Yan, Grace; Mohan, Raju; Martin, Richard

CORPORATE SOURCE: Department of Chemistry, Exelixis, Inc, San Diego, CA, 92121, USA

SOURCE: Abstracts of Papers, 229th ACS National Meeting, San Diego, CA, United States, March 13-17, 2005 (2005), MEDI-189. American Chemical Society: Washington, D. C.

CODEN: 69GQMP

DOCUMENT TYPE: Conference; Meeting Abstract

LANGUAGE: English

AB The farnesoid X receptor (FXR) is a nuclear receptor expressed in tissues exposed to high concns. of bile acids such as the liver, kidney and intestine and functions as a bile acid sensor. FXR regulates the expression of various transport proteins and biosynthetic enzymes crucial to the physiolo. maintenance of lipids, cholesterol and bile acid homeostasis. Regulation of FXR through small-mol. drugs represents a promising therapy for diseases resulting from lipid, cholesterol and bile acid abnormalities. We identified a series of novel small mol. heterocycles by high throughput screening and optimized these leads into potent and efficacious FXR modulators that display a range of efficacies in FXR-functional cell based assays from full agonists to partial agonists and full antagonists.

L56 ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:800209 HCAPLUS Full-text

DOCUMENT NUMBER: 141:424151

TITLE: Identification of a Selective Inverse Agonist for the Orphan Nuclear Receptor Estrogen-Related Receptor

$\alpha$

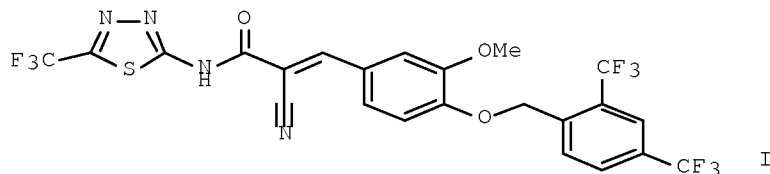
AUTHOR(S): Busch, Brett B.; Stevens, William C., Jr.; Martin, Richard; Ordentlich, Peter; Zhou, Sihong; Sapp, Douglas W.; Horlick, Robert A.; Mohan, Raju

CORPORATE SOURCE: Departments of Medicinal Chemistry and Lead Discovery, X-Cepto Therapeutics Inc., San Diego, CA, 92121, USA

SOURCE: Journal of Medicinal Chemistry (2004), 47(23), 5593-5596

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 141:424151  
GI



AB The estrogen-related receptor  $\alpha$  (ERR $\alpha$ ) is an orphan receptor belonging to the nuclear receptor superfamily. The physiol. role of ERR $\alpha$  has yet to be established primarily because of lack of a natural ligand. Herein, we describe the discovery of the first potent and selective inverse agonist (I) of ERR $\alpha$ . Through in vitro and in vivo studies, these ligands will elucidate the endocrine signaling pathways mediated by ERR $\alpha$  including association with human disease states.

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 2

OS.CITING REF COUNT: 36 THERE ARE 36 CAPLUS RECORDS THAT CITE THIS RECORD (36 CITINGS)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 20 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:531903 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 141:134344

TITLE: Regulation of PPAR $\gamma$  coactivator 1 $\alpha$  (PGC-1 $\alpha$ ) signaling by an estrogen-related receptor  $\alpha$  (ERR $\alpha$ ) ligand

AUTHOR(S): Willy, Patricia J.; Murray, Ian R.; Qian, Jing; Busch, Brett B.; Stevens, William C., Jr.; Martin, Richard; Mohan, Raju; Zhou, Sihong; Ordentlich, Peter; Wei, Ping; Sapp, Douglas W.; Horlick, Robert A.; Heyman, Richard A.; Schulman, Ira G.

CORPORATE SOURCE: Department of Biology, X-CEPT Therapeutics, Inc., San Diego, CA, 92121, USA

SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2004), 101(24), 8912-8917  
CODEN: PNASA6; ISSN: 0027-8424

PUBLISHER: National Academy of Sciences

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Peroxisome proliferator-activated receptor  $\gamma$  (PPAR $\gamma$ ) coactivator 1 $\alpha$  (PGC-1 $\alpha$ ) is a transcriptional coactivator that is a key component in the regulation of energy production and utilization in metabolic tissues. Recent work has identified PGC-1 $\alpha$  as a strong coactivator of the orphan nuclear receptor estrogen-related receptor  $\alpha$  (ERR $\alpha$ ), implicating ERR $\alpha$  as a potential mediator of PGC-1 $\alpha$  action. To understand the role of ERR $\alpha$  in PGC-1 $\alpha$  signaling, a parallel approach of high-throughput screening and gene-expression anal. was used to identify ERR $\alpha$  small-mol. regulators

**Serial#: 10/595,734**

and target genes. We report here the identification of a potent and selective ERR $\alpha$  inverse agonist that interferes effectively with PGC-1 $\alpha$ /ERR $\alpha$ -dependent signaling. This inverse agonist inhibits the constitutive activity of ERR $\alpha$  in both biochem. and cell-based assays. Also, we demonstrate that monoamine oxidase B is an ERR $\alpha$  target gene whose expression is regulated by PGC-1 $\alpha$  and ERR $\alpha$  and inhibited by the ERR $\alpha$  inverse agonist. The discovery of potent and selective ERR $\alpha$  modulators and their effect on PGC-1 $\alpha$  signaling provides mechanistic insight into gene regulation by PGC-1 $\alpha$ . These findings validate ERR $\alpha$  as a promising therapeutic target in the treatment of metabolic disorders, including diabetes and obesity.

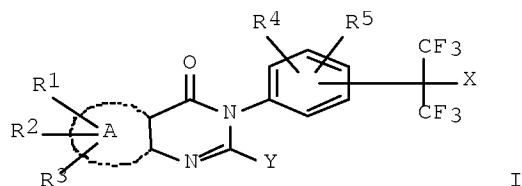
CC 2-4 (Mammalian Hormones)

Section cross-reference(s): 1, 3, 13

OS.CITING REF COUNT: 63 THERE ARE 63 CAPLUS RECORDS THAT CITE THIS  
RECORD (63 CITINGS)  
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 21 OF 21 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2003:1006962 HCAPLUS Full-text  
DOCUMENT NUMBER: 140:59652  
TITLE: Preparation of fused-ring pyrimidin-4(3H)-one  
derivatives as LXR modulators  
INVENTOR(S): Kaneko, Satoru; Watanabe, Tsuyoshi; Oda, Kozo;  
Mohan, Raju; Schweiger, Edwin J.; Martin,  
Richard  
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan; X-Cepto Therapeutics,  
Inc.  
SOURCE: PCT Int. Appl., 465 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2003106435	A1	20031224	WO 2003-JP7677	20030617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,				
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR,				
TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,				
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003238157	A1	20031231	AU 2003-238157	20030617
PRIORITY APPLN. INFO.:			US 2002-389662P	P 20020618
			WO 2003-JP7677	W 20030617
OTHER SOURCE(S):		MARPAT 140:59652		
GI				



AB The title compds. [I; A = aryl or heteroaryl; R1-R3 = H, OH, NO2, CN, etc.; or R1 and R2 together = alkylenedioxy; R4, R5 = H, OH, NH2, halo, etc.; X = H, OH, halo, alkoxy, haloalkoxy; Y = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, cycloalkylalkyl, heterocyclylalkyl or aralkyl] which can modulate LXR function and as a result show excellent anti-arteriosclerotic and anti-inflammatory activity, were prepared and formulated. Thus, reacting anthranilic acid with phenylacetic acid in the presence of PPh3 in pyridine followed by addition of 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol afforded 76% 2-benzyl-3-{4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl}-4(3H)-quinazolinone. The compds. I showed excellent binding affinity against LXR (biol. data were given). IPCI C07D0239-91 [ICM,7]; C07D0239-70 [ICS,7]; C07D0239-00 [ICS,7,C\*];

A61K0031-517 [ICS,7]; C07D0409-06 [ICS,7]; C07D0409-00 [ICS,7,C\*]; C07D0401-06 [ICS,7]; C07D0401-00 [ICS,7,C\*]; C07D0471-04 [ICS,7]; C07D0471-00 [ICS,7,C\*]; C07D0403-06 [ICS,7]; C07D0403-00 [ICS,7,C\*]; C07D0417-06 [ICS,7]; C07D0417-00 [ICS,7,C\*]; C07D0495-04 [ICS,7]; C07D0495-00 [ICS,7,C\*]; A61P0003-06 [ICS,7]; A61P0003-00 [ICS,7,C\*]

IPCR A61P0003-00 [I,C\*]; A61P0003-06 [I,A]; C07D0239-00 [I,C\*]; C07D0239-70 [I,A]; C07D0239-91 [I,A]; C07D0401-00 [I,C\*]; C07D0401-06 [I,A]; C07D0403-00 [I,C\*]; C07D0403-06 [I,A]; C07D0409-00 [I,C\*]; C07D0409-06 [I,A]; C07D0417-00 [I,C\*]; C07D0417-06 [I,A]; C07D0471-00 [I,C\*]; C07D0471-04 [I,A]; C07D0495-00 [I,C\*]; C07D0495-04 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 2, 63

OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

# **STRUCTURE SEARCH**

=> FILE HCAPLUS

FILE 'HCAPLUS' ENTERED AT 15:33:27 ON 01 SEP 2010

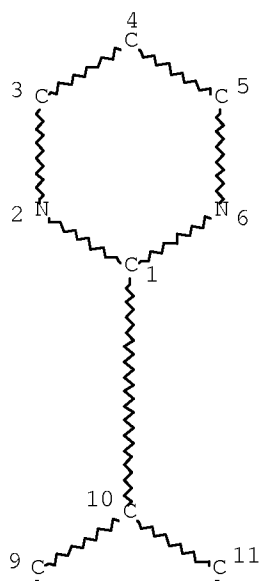
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

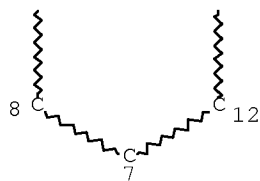
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

=> D STAT QUE L48

L4 STR



Page 1-A



Page 2-A

NODE ATTRIBUTES:

NSPEC	IS	R	AT	1
NSPEC	IS	R	AT	2
NSPEC	IS	R	AT	3
NSPEC	IS	R	AT	4
NSPEC	IS	R	AT	5
NSPEC	IS	R	AT	6
NSPEC	IS	R	AT	7
NSPEC	IS	R	AT	8
NSPEC	IS	R	AT	9
NSPEC	IS	R	AT	10
NSPEC	IS	R	AT	11
NSPEC	IS	R	AT	12

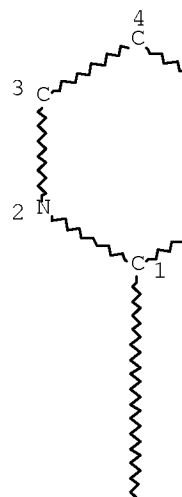
**Serial#: 10/595,734**

DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

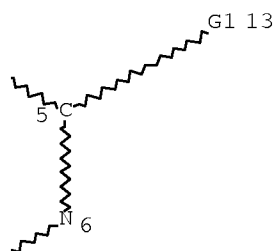
GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE  
L5 ( 233050)SEA FILE=REGISTRY SSS FUL L4  
L6 STR

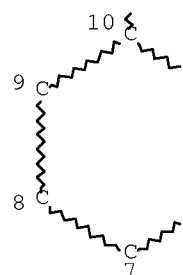
C 14 O 15 S 16 N 17 Cb 18



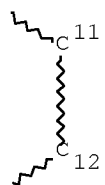
Page 1-A



Page 1-B



Page 2-A



Page 2-B

VAR G1=14/15/16/17/18

NODE ATTRIBUTES:

NSPEC	IS R	AT	1
NSPEC	IS R	AT	2
NSPEC	IS R	AT	3
NSPEC	IS R	AT	4
NSPEC	IS R	AT	5
NSPEC	IS R	AT	6
NSPEC	IS R	AT	7
NSPEC	IS R	AT	8
NSPEC	IS R	AT	9
NSPEC	IS R	AT	10
NSPEC	IS R	AT	11
NSPEC	IS R	AT	12
NSPEC	IS C	AT	13

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 14 15 16 17 18

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 18

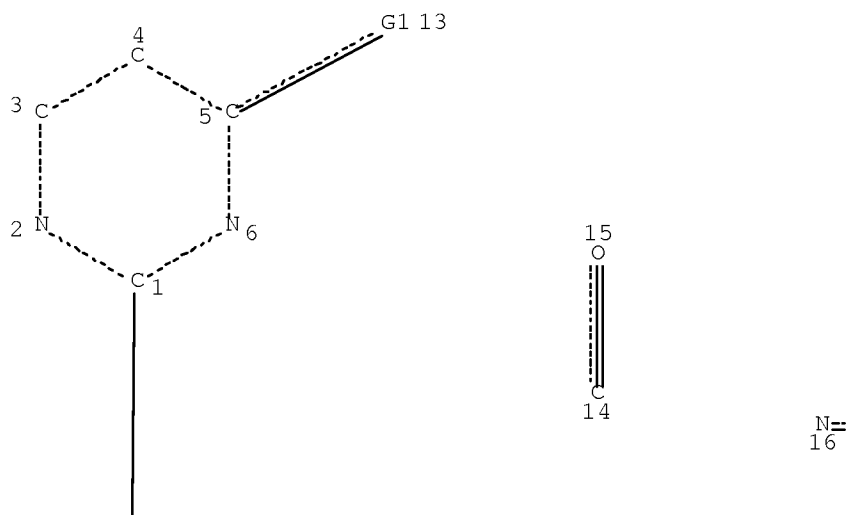
STEREO ATTRIBUTES: NONE

L7 126361 SEA FILE=REGISTRY SUB=L5 SSS FUL L6

L8 STR



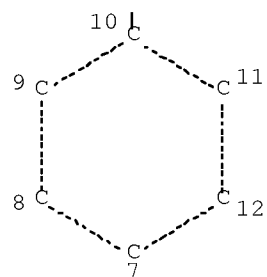
O 18 Cb 19S 20



Page 1-A



Page 1-B



Page 2-A

VAR G1=18/19/20/14/16

NODE ATTRIBUTES:

NSPEC	IS	R	AT	1
NSPEC	IS	R	AT	2
NSPEC	IS	R	AT	3
NSPEC	IS	R	AT	4
NSPEC	IS	R	AT	5
NSPEC	IS	R	AT	6
NSPEC	IS	R	AT	7
NSPEC	IS	R	AT	8
NSPEC	IS	R	AT	9
NSPEC	IS	R	AT	10
NSPEC	IS	R	AT	11
NSPEC	IS	R	AT	12

**Serial#: 10/595,734**

NSPEC IS C AT 13  
NSPEC IS C AT 14  
NSPEC IS C AT 15  
NSPEC IS C AT 16  
NSPEC IS C AT 17  
DEFAULT MLEVEL IS ATOM  
MLEVEL IS CLASS AT 14 15 16 17 18 19 20  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

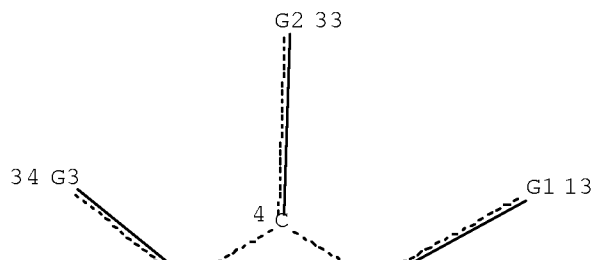
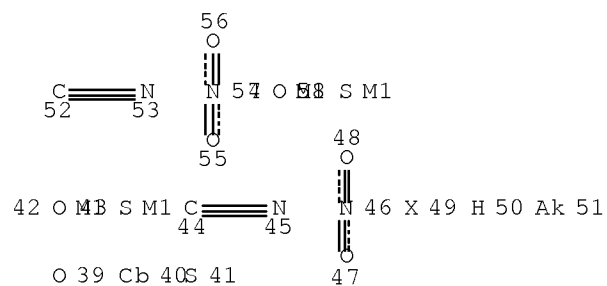
RSPEC I

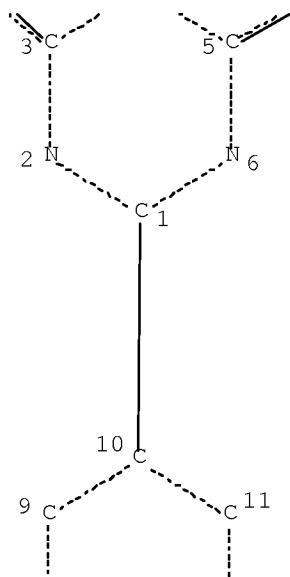
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

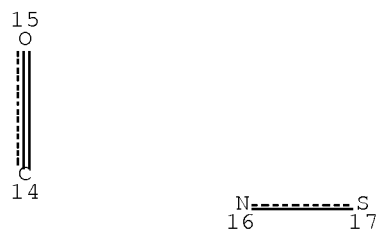
L10 23761 SEA FILE=REGISTRY SUB=L7 SSS FUL L8

L19 STR

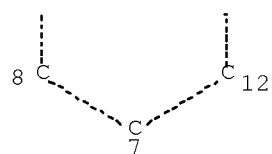




Page 2-A



Page 2-B

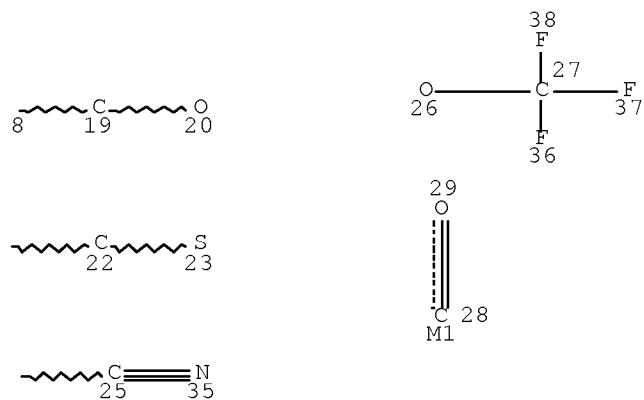


N-  
18

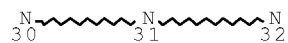
N-  
21

Se-  
24

Page 3-A



Page 3-B



Page 4-B

VAR G1=39/40/41/14/16

VAR G2=42/43/44/46/49/50/51/20/23/24/26/28/30

VAR G3=52/54/57/58/20/23/24/26/28/30

NODE ATTRIBUTES:

HCOUNT	IS	M1	AT	28
HCOUNT	IS	M1	AT	42
HCOUNT	IS	M1	AT	43
HCOUNT	IS	M1	AT	57
HCOUNT	IS	M1	AT	58
NSPEC	IS	R	AT	1
NSPEC	IS	R	AT	2
NSPEC	IS	R	AT	3
NSPEC	IS	R	AT	4
NSPEC	IS	R	AT	5
NSPEC	IS	R	AT	6
NSPEC	IS	R	AT	7
NSPEC	IS	R	AT	8
NSPEC	IS	R	AT	9
NSPEC	IS	R	AT	10
NSPEC	IS	R	AT	11
NSPEC	IS	R	AT	12
NSPEC	IS	C	AT	13
NSPEC	IS	C	AT	14
NSPEC	IS	C	AT	15
NSPEC	IS	C	AT	16
NSPEC	IS	C	AT	17
NSPEC	IS	C	AT	18
NSPEC	IS	C	AT	19
NSPEC	IS	C	AT	20
NSPEC	IS	C	AT	21
NSPEC	IS	C	AT	22
NSPEC	IS	C	AT	23
NSPEC	IS	C	AT	24

**Serial#: 10/595,734**

NSPEC IS C AT 25  
NSPEC IS C AT 26  
NSPEC IS C AT 27  
NSPEC IS C AT 28  
NSPEC IS C AT 29  
NSPEC IS C AT 30  
NSPEC IS C AT 31  
NSPEC IS C AT 32  
NSPEC IS C AT 33  
NSPEC IS C AT 34  
NSPEC IS C AT 35  
NSPEC IS C AT 36  
NSPEC IS C AT 37  
NSPEC IS C AT 38

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 14 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 30  
31 32 35 36 37 38 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53  
54 55 56 57 58

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 58

STEREO ATTRIBUTES: NONE

L21 1687 SEA FILE=REGISTRY SUB=L10 SSS FUL L19

L25 STR

L27 1644 SEA FILE=REGISTRY SUB=L21 SSS FUL L25

L28 370 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L27

L30 293 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L28 AND (PRY<=2003 OR  
AY<=2003 OR PY<=2003 OR PD<=2003)

**L48 55 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L30 AND 1/SC, SX**

=> S L48 NOT L56

**L57 55 L48 NOT L56**

**L57 ANSWER 1 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN**

ACCESSION NUMBER: 2005:588951 HCAPLUS Full-text

DOCUMENT NUMBER: 143:115559

TITLE: Preparation of hydroxypyrimidinone derivatives as HIV  
integrase inhibitors

INVENTOR(S): Mikamiyama, Hidenori; Iwata, Minako; Taoda, Yoshiyuki

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 124 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2005061490	A1	20050707	WO 2004-JP19048	20041221 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,			
	CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,			
	GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,			
	LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,			
	NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,			
	TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,			
	AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,			

**Serial#: 10/595,734**

EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
MR, NE, SN, TD, TG

EP 1698628 A1 20060906 EP 2004-807405 20041221 <--  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

US 20070149556 A1 20070628 US 2006-583796 20060621 <--

US 7745453 B2 20100629

US 20100204237 A1 20100812 US 2010-662515 20100421 <--

PRIORITY APPLN. INFO.:

JP 2003-423947 A 20031222 <--

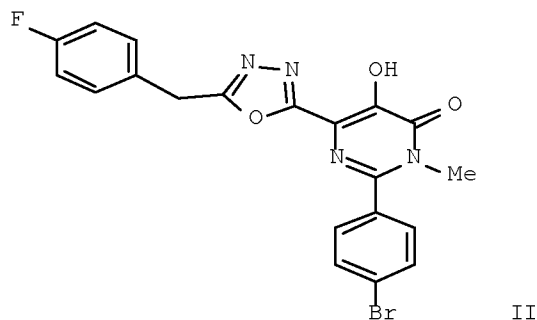
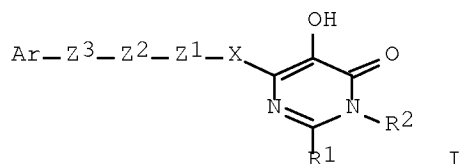
WO 2004-JP19048 W 20041221

US 2006-583796 A3 20060621

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 143:115559

GI



AB The title compds. I [X represents NR10CO, etc.; R10 represents hydrogen, etc.; Z1 and Z3 each represents a single bond, etc.; Z2 represents a single bond, etc.; Ar represents optionally substituted aryl, etc.; R1 represents lower alkyl, etc., and R2 represents hydrogen, etc., provided that R1 and R2 may together with the adjacent atoms form an optionally substituted heterocycle] are prepared Thus, the title compound II was prepared in a multistep process from 4-bromobenzonitrile. In an assay for integrase inhibiting activity, compds. of this invention showed IC50 values of 1.8 ng/mL to 57 ng/mL. Formulations are given. IPCI C07D0413-04 [ICM,7]; C07D0413-14 [ICS,7]; C07D0413-00 [ICS,7,C\*];

C07D0417-04 [ICS,7]; C07D0417-14 [ICS,7]; C07D0417-00 [ICS,7,C\*];  
C07D0405-12 [ICS,7]; C07D0405-00 [ICS,7,C\*]; C07D0239-54 [ICS,7];  
C07D0239-00 [ICS,7,C\*]; C07D0487-04 [ICS,7]; C07D0487-00 [ICS,7,C\*];  
A61K0031-506 [ICS,7]; A61K0031-519 [ICS,7]; A61P0031-18 [ICS,7];  
A61P0031-00 [ICS,7,C\*]

IPCR C07D0413-00 [I,C\*]; C07D0413-14 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 857663-94-6P 857663-97-9P 857663-99-1P 857664-01-8P 857664-02-9P  
857664-03-0P 857664-04-1P 857664-05-2P 857664-06-3P 857664-07-4P

**Serial#: 10/595,734**

857664-09-6P	857664-10-9P	857664-12-1P	857664-13-2P	857664-14-3P
857664-15-4P	857664-16-5P	857664-17-6P	857664-18-7P	857664-19-8P
857664-20-1P	857664-21-2P	857664-22-3P	857664-23-4P	857664-24-5P
857664-25-6P	857664-26-7P	857664-27-8P	857664-28-9P	857664-29-0P
857664-30-3P	857664-31-4P	857664-32-5P	857664-33-6P	857664-34-7P
857664-35-8P	857664-37-0P	857664-38-1P	857664-39-2P	857664-40-5P
857664-41-6P	857664-42-7P	857664-43-8P	857664-44-9P	857664-45-0P
857664-47-2P	857664-49-4P	857664-50-7P	857664-51-8P	857664-52-9P
857664-53-0P	857664-54-1P	857664-56-3P	857664-57-4P	857664-58-5P
857664-59-6P	857664-60-9P	857664-62-1P	857664-63-2P	857664-64-3P
857664-65-4P	857664-66-5P	857664-67-6P	857664-69-8P	857664-70-1P
857664-71-2P	857664-72-3P	857664-73-4P	857664-74-5P	857664-75-6P
857664-76-7P	857664-77-8P	857664-78-9P	857675-96-8P	
857675-98-0P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxypyrimidinone derivs. as HIV integrase inhibitors)

IT	19227-14-6P	74093-70-2P	457949-00-7P	519028-41-2P	857663-95-7P
	857664-79-0P	857664-80-3P	857664-81-4P	857664-82-5P	857664-83-6P
	857664-84-7P	857664-85-8P	857664-86-9P	857664-87-0P	857664-89-2P
	857664-90-5P	857664-91-6P	857664-92-7P	857664-93-8P	857664-94-9P
	857664-95-0P	857664-96-1P	857664-97-2P	857664-98-3P	857664-99-4P
	857665-00-0P	857665-01-1P	857665-02-2P	857665-03-3P	857665-04-4P
	857665-05-5P	857665-06-6P	857665-07-7P	857665-08-8P	
	857665-09-9P	857665-10-2P	857665-11-3P	857665-12-4P	857665-13-5P
	857665-14-6P	857665-15-7P	857665-16-8P	857665-17-9P	857665-18-0P
	857665-19-1P	857665-20-4P	857665-21-5P	857665-22-6P	857665-23-7P
	857665-24-8P	857665-25-9P	857665-26-0P	857665-27-1P	857665-28-2P
	857665-29-3P	857665-30-6P	857665-31-7P	857665-32-8P	857665-33-9P
	857665-34-0P	857665-35-1P	857665-39-5P	857665-40-8P	

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxypyrimidinone derivs. as HIV integrase inhibitors)

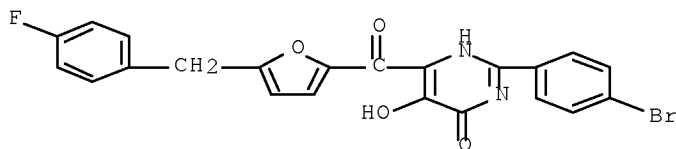
IT 857664-78-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxypyrimidinone derivs. as HIV integrase inhibitors)

RN 857664-78-9 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-bromophenyl)-6-[[5-[(4-fluorophenyl)methyl]-2-furanyl]carbonyl]-5-hydroxy- (CA INDEX NAME)



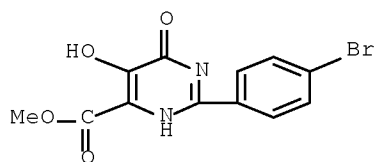
IT 857665-06-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxypyrimidinone derivs. as HIV integrase inhibitors)

RN 857665-06-6 HCAPLUS

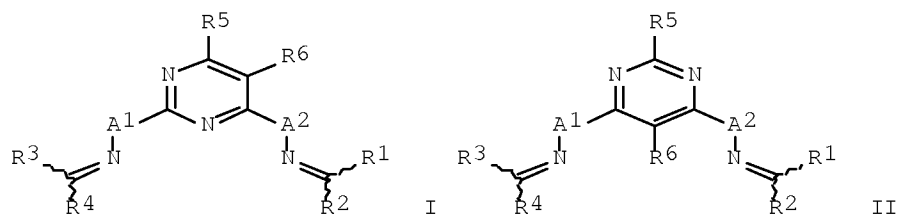
CN 4-Pyrimidinecarboxylic acid, 2-(4-bromophenyl)-1,6-dihydro-5-hydroxy-6-oxo-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS  
RECORD (12 CITINGS)  
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 2 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2005:402793 HCAPLUS Full-text  
DOCUMENT NUMBER: 142:447232  
TITLE: Preparation of pyrimidine derivatives as mixed  
lymphocyte reaction (MLR) inhibitors  
INVENTOR(S): Tsuruoka, Hiroyuki; Kanno, Yuichi; Tatsuta, Toru  
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 216 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005120046	A	20050512	JP 2003-358632	20031020 <--
PRIORITY APPLN. INFO.:			JP 2003-358632	20031020 <--
OTHER SOURCE(S):	MARPAT 142:447232			
GI				



AB Pyrimidines derivs. such as dihydrazinopyrimidine having the general formula (I) and (II) [wherein R1, R3 = H, lower alkyl, halo-lower alkyl, lower alkoxy-lower alkyl, mono- or di(lower alkyl)amino-lower alkyl, (un)substituted aryl; R2, R4 = each (un)substituted aryl or heterocyclyl; or CR2R1 or CR4R3 together forms an (un)substituted saturated carbocyclic or heterocyclic ring; A1, A2 = NR7, O (wherein R7 = lower alkyl); R5 lower alkylthio, each (un)substituted cycloalkyl, aryl, or heterocyclyl, a group having the formula -D-R8 or CH2-E-R8 (wherein D = NH, O, S; E = O, S, a single bond; R8 = each optionally substituted cycloalkyl, aryl, or heterocyclyl, etc.); R6 = H, lower alkyl, lower alkoxy, lower alkoxy-lower alkyl, mono- or di(lower alkyl)amino-lower alkyl,



**Serial#: 10/595,734**

aralkyl, anilino], pharmaceutically acceptable salts, esters, or other derivs. thereof. are prepared These pyrimidine derivs. exhibit excellent MLR inhibiting action and are useful for inhibiting allograft rejection in bone marrow or organ transplant or for the treatment and/or prevention of inflammation, organ-specific or organ-nonspecific autoimmune diseases, or allergy, in particular chronic articular rheumatism, multiple sclerosis, inflammatory enteric disease, diabetes, glomerulonephritis, idiopathic biliary cirrhosis, active chronic hepatitis, pernicious anemia, Hashimoto thyroiditis, atrophic gastritis, myasthenia gravis, psoriasis, Sjogren's syndrome, systemic lupus erythematosus, rhinitis, asthma, or atopic dermatitis. They are also useful for inhibiting cancer cells, in particular cancerous lymphocyte. Thus, 480 mg N-(2,6-dichloropyrimidin-4-yl)phenylamine was stirred with 3 mL hydrazine monohydrate at 90° for 1 h, cooled to room temperature, treated with H<sub>2</sub>O, followed by filtering the precipitated crystals, washing them with water, Et acetate, and drying under reduced pressure to give crude N-(2,6-dihydrazinopyrimidin-4-yl)phenylamine. The latter compound was dissolved in 5 mL dioxane, treated with 1.7 mL 4-acetylpyridine, refluxed for 15 h, distilled to remove the solvent, and suspended in a mixture of ether and Et acetate, followed by pulverizing the precipitated solid, filtration, and washing with a mixture of ether and Et acetate to give 1-(4-pyridinyl)-1-ethanone N-[4-anilino-6-[2-[1-(4-pyridinyl)ethylidene]hydrazino]-2-pyrimidinyl]hydrazone (III). In an MLR inhibition assay, III and 1-(4-pyridinyl)-1-ethanone N-[2-anilino-6-[2-[1-(4-pyridinyl)ethylidene]hydrazino]-4-pyrimidinyl]hydrazone in vitro inhibited the uptake of [3H]thymidine in human peripheral lymphocyte with IC<sub>50</sub> of 6.9 and 1.0 nM, resp. IPCI C07D0239-46 [ICS,7]; A61K0031-505 [ICS,7]; A61K0031-506 [ICS,7];

A61P0001-00 [ICS,7]; A61P0001-16 [ICS,7]; A61P0003-10 [ICS,7]; A61P0003-00 [ICS,7,C\*]; A61P0007-06 [ICS,7]; A61P0007-00 [ICS,7,C\*]; A61P0011-02 [ICS,7]; A61P0011-06 [ICS,7]; A61P0011-00 [ICS,7,C\*]; A61P0013-00 [ICS,7]; A61P0017-00 [ICS,7]; A61P0017-06 [ICS,7]; A61P0019-02 [ICS,7]; A61P0019-00 [ICS,7,C\*]; A61P0021-04 [ICS,7]; A61P0021-00 [ICS,7,C\*]; A61P0025-00 [ICS,7]; A61P0029-00 [ICS,7]; A61P0035-00 [ICS,7]; A61P0037-02 [ICS,7]; A61P0037-06 [ICS,7]; A61P0037-08 [ICS,7]; A61P0037-00 [ICS,7,C\*]; A61P0043-00 [ICS,7]; C07D0239-48 [ICS,7]; C07D0239-50 [ICS,7]; C07D0239-00 [ICS,7,C\*]; C07D0401-14 [ICS,7]; C07D0401-00 [ICS,7,C\*]; C07D0403-14 [ICS,7]; C07D0403-00 [ICS,7,C\*]; C07D0405-14 [ICS,7]; C07D0405-00 [ICS,7,C\*]; C07D0409-14 [ICS,7]; C07D0409-00 [ICS,7,C\*]; C07D0417-14 [ICS,7]; C07D0417-00 [ICS,7,C\*]

IPCR A61K0031-505 [I,A]; A61K0031-505 [I,C\*]; A61K0031-506 [I,A]; A61K0031-506 [I,C\*]; A61P0001-00 [I,A]; A61P0001-00 [I,C\*]; A61P0001-16 [I,A]; A61P0003-00 [I,C\*]; A61P0003-10 [I,A]; A61P0007-00 [I,C\*]; A61P0007-06 [I,A]; A61P0011-00 [I,C\*]; A61P0011-02 [I,A]; A61P0011-06 [I,A]; A61P0013-00 [I,A]; A61P0013-00 [I,C\*]; A61P0017-00 [I,A]; A61P0017-00 [I,C\*]; A61P0017-06 [I,A]; A61P0019-00 [I,C\*]; A61P0019-02 [I,A]; A61P0021-00 [I,C\*]; A61P0021-04 [I,A]; A61P0025-00 [I,A]; A61P0025-00 [I,C\*]; A61P0029-00 [I,A]; A61P0029-00 [I,C\*]; A61P0035-00 [I,A]; A61P0035-00 [I,C\*]; A61P0037-00 [I,C\*]; A61P0037-02 [I,A]; A61P0037-06 [I,A]; A61P0037-08 [I,A]; A61P0043-00 [I,A]; A61P0043-00 [I,C\*]; C07D0239-00 [I,C\*]; C07D0239-46 [I,A]; C07D0239-48 [I,A]; C07D0239-50 [I,A]; C07D0401-00 [I,C\*]; C07D0401-14 [I,A]; C07D0403-00 [I,C\*]; C07D0403-14 [I,A]; C07D0405-00 [I,C\*]; C07D0405-14 [I,A]; C07D0409-00 [I,C\*]; C07D0409-14 [I,A]; C07D0417-00 [I,C\*]; C07D0417-14 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference: 1

IT 393-15-7P 432-86-0P 1565-17-9P, 4-Acetylbenzenesulfonamide  
7043-09-6P 13566-71-7P, 2-Phenyl-4,6-dihydroxypyrimidine  
14309-78-5P 18303-28-1P 28176-12-7P 28230-47-9P 28230-48-0P  
58722-33-1P 60000-87-5P 65399-52-2P 65399-53-3P 68793-19-1P  
84755-00-0P 200442-61-1P 204394-77-4P 325685-70-9P 420130-92-3P  
500115-23-1P 500115-24-2P 500115-25-3P 500115-29-7P 500115-32-2P  
620983-66-6P 620983-67-7P 620983-69-9P 620983-70-2P 620983-71-3P  
620983-72-4P 620983-74-6P 620983-75-7P 620983-76-8P 620983-77-9P  
620983-78-0P 620983-79-1P 620983-80-4P 620983-81-5P 620983-82-6P  
620983-83-7P 620983-84-8P 620983-85-9P 620983-86-0P 620983-87-1P

**Serial#: 10/595,734**

620983-89-3P	620983-90-6P	620983-92-8P	620983-93-9P	620983-94-0P
620983-95-1P	620983-97-3P	620983-98-4P	620983-99-5P	620984-00-1P
620984-01-2P	620984-02-3P	620984-03-4P	620984-04-5P	620984-05-6P
620984-06-7P	620984-07-8P	620984-08-9P	620984-09-0P	620984-10-3P
620984-11-4P	620984-12-5P	620984-13-6P	620984-14-7P	620984-15-8P
620984-16-9P	620984-17-0P	620984-18-1P	620984-19-2P	620984-20-5P
620984-21-6P	620984-22-7P	620984-23-8P	620984-24-9P	620984-25-0P
620984-26-1P	620984-27-2P	620984-28-3P	620984-29-4P	620984-30-7P
620984-31-8P	620984-32-9P	620984-33-0P	620984-34-1P	620984-35-2P
620984-36-3P	620984-37-4P	620984-38-5P	620984-39-6P	620984-40-9P
620984-41-0P	620984-42-1P	620984-43-2P	620984-44-3P	620984-45-4P
620984-46-5P	620984-47-6P	620984-48-7P	620984-49-8P	620984-50-1P
620984-51-2P	620984-52-3P	620984-53-4P	620984-54-5P	620984-55-6P
620984-56-7P	620984-57-8P	620984-58-9P	620984-59-0P	620984-60-3P
620984-61-4P	620984-62-5P	620984-63-6P	620984-64-7P	620984-65-8P
620984-66-9P	620984-67-0P	620984-68-1P	620984-70-5P	620984-71-6P
620984-72-7P	620984-73-8P	620984-74-9P	620984-75-0P	620984-77-2P
620984-78-3P	620984-79-4P	620984-80-7P	620984-81-8P	620984-82-9P
620984-83-0P	620984-84-1P	620984-85-2P	620984-86-3P	620984-87-4P
620984-88-5P	620984-89-6P	620984-90-9P	620984-91-0P	620984-92-1P
620984-93-2P	620984-94-3P	620984-95-4P	620984-96-5P	
620984-97-6P	620984-98-7P	620984-99-8P	620985-00-4P	620985-01-5P
620985-02-6P	620985-03-7P	620985-04-8P	620985-05-9P	620985-06-0P
620985-07-1P	620985-08-2P	620985-09-3P	620985-10-6P	620985-11-7P
620985-12-8P	620985-13-9P	620985-14-0P	620985-15-1P	620985-16-2P
620985-17-3P	620985-18-4P	620985-19-5P	620985-20-8P	620985-21-9P
620985-22-0P	620985-23-1P	620985-24-2P	620985-25-3P	620985-26-4P
620985-27-5P	620985-28-6P	620985-29-7P	620985-30-0P	620985-31-1P
620985-32-2P	620985-33-3P	620985-34-4P	620985-35-5P	620985-36-6P
620985-37-7P	620985-38-8P	620985-39-9P	620985-40-2P	620985-41-3P
620985-42-4P	620985-43-5P	620985-44-6P	620985-45-7P	620985-46-8P
620985-47-9P	620985-48-0P	620985-49-1P	620985-50-4P	620985-51-5P
620985-52-6P	620985-53-7P	620985-54-8P	620985-55-9P	620985-56-0P
620985-57-1P	620985-58-2P	620985-59-3P	620985-60-6P	620985-61-7P
620985-63-9P	620985-64-0P	620985-65-1P	620985-66-2P	620985-67-3P
620985-68-4P	620985-69-5P	620985-70-8P	620985-71-9P	620985-72-0P
620985-73-1P	620985-74-2P	620985-75-3P	620985-76-4P	620985-77-5P
620985-78-6P	620985-79-7P	620985-80-0P	620985-81-1P	620985-82-2P
620985-83-3P				

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as mixed lymphocyte reaction inhibitors for treatment of cancer or allograft rejection and for treatment and/or prevention of inflammation, organ-(non)specific autoimmune diseases, or allergy)

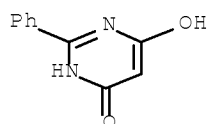
IT 13566-71-7P, 2-Phenyl-4,6-dihydroxypyrimidine  
620984-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

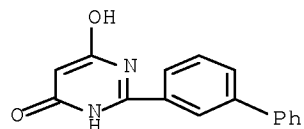
(preparation of pyrimidine derivs. as mixed lymphocyte reaction inhibitors for treatment of cancer or allograft rejection and for treatment and/or prevention of inflammation, organ-(non)specific autoimmune diseases, or allergy)

RN 13566-71-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-2-phenyl- (CA INDEX NAME)



RN 620984-93-2 HCAPLUS  
CN 4(3H)-Pyrimidinone, 2-[1,1'-biphenyl]-3-yl-6-hydroxy- (CA INDEX NAME)



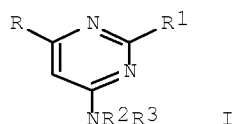
L57 ANSWER 3 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2005:324142 HCAPLUS Full-text  
DOCUMENT NUMBER: 142:392429  
TITLE: A preparation of pyrimidine derivatives, useful as adenosine receptors ligands  
INVENTOR(S): Chang, Lisa C. W.; Ijzerman, Adriaan P.; Brussee, Johannes  
PATENT ASSIGNEE(S): Universiteit Leiden, Neth.  
SOURCE: PCT Int. Appl., 49 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005033084	A1	20050414	WO 2004-NL682	20041001 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1667985	A1	20060614	EP 2004-774983	20041001 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 20070032510	A1	20070208	US 2006-574436	20060403 <--
US 7449470	B2	20081111		
PRIORITY APPLN. INFO.:			GB 2003-23137	A 20031003 <--
			WO 2004-NL682	W 20041001

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:392429; MARPAT 142:392429

GI



AB The invention relates to a preparation of pyrimidine derivs. of formula I [wherein: R1 and R4 are independently selected from H, alkyl, or alk(en/yn)yl, etc.; R2 and R3 are independently selected from H, acyl, thioacyl, alkyl, or alk(en/yn)yl, etc.; or R2 and R3 together can form heterocyclic ring(s)], useful as ligands for adenosine receptors. For instance, N-pyrimidinylbenzamide derivative II was prepared via amidation of benzoic acid by 2-amino-4,6-diphenylpyrimidine with a yield of 48%. The invention compds. were shown to be generally selective for the adenosine A1 receptor (radioligand binding assay, II, Ki = 671 nM). IPCI C07D0239-42 [ICM,7]; C07D0239-00 [ICM,7,C\*]; A61K0031-505 [ICS,7];

A61P0009-00 [ICS,7]

IPCR A61P0009-00 [I,C\*]; A61P0009-00 [I,A]; C07D0239-00 [I,C\*]; C07D0239-42 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63

IT 15969-46-7P 29509-91-9P 41270-99-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. useful as adenosine receptors ligands)

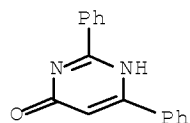
IT 15969-46-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. useful as adenosine receptors ligands)

RN 15969-46-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 2,6-diphenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 4 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:14275 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:114106

TITLE: Preparation of heterocyclic compounds for preventing and treating disorders associated with excessive bone loss

INVENTOR(S): Ono, Mitsunori; Sun, Lijun; Wada, Yumiko; Koya, Keizo; Nagai, Masazumi

PATENT ASSIGNEE(S): Synta Pharmaceuticals, Corp., USA

SOURCE: PCT Int. Appl., 151 pp.

**Serial#: 10/595,734**

DOCUMENT TYPE: CODEN: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: English 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005000404	A2	20050106	WO 2004-US17064	20040528 <--
WO 2005000404	A3	20050915		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004251641	A1	20050106	AU 2004-251641	20040528 <--
CA 2527079	A1	20050106	CA 2004-2527079	20040528 <--
EP 1626725	A2	20060222	EP 2004-776190	20040528 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
JP 2007500241	T	20070111	JP 2006-533518	20040528 <--
US 20080058297	A1	20080306	US 2006-561025	20060519 <--
US 20100120722	A1	20100513	US 2010-688849	20100115 <--
PRIORITY APPLN. INFO.:			US 2003-474410P	P 20030529 <--
			US 2003-474502P	P 20030529 <--
			US 2003-474550P	P 20030529 <--
			WO 2004-US17064	W 20040528
			US 2006-561025	A1 20060519
OTHER SOURCE(S):	MARPAT 142:114106			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB This invention relates to pyrimidines I [R1 = N:CRaRb, (hetero)aryl; R2, R4 = Rc, halo, NO2, etc.; or R2 and R4 taken together, = carbonyl; R3 = Rc, alkenyl, alkynyl, etc.; R5 = H, alkyl; n = 0-6; X = O, S, SO, SO2, NRc; Y = a bond, CH2, CO, etc.; Z = N, CH; one of U and V = N, and the other = CRc; W = O, S, SO, SO2, NRc, NCORc; Ra, Rb = H, alkyl, (hetero)aryl; Rc = H, alkyl, (hetero)aryl, (hetero)cyclyl, alkylcarbonyl], triazines II [R1 = N:CRaRb, (hetero)aryl; R2, R4, R5 = Rc, halo, NO2, etc.; R3 = Rc, alkenyl, alkynyl, etc.; n = 0-7; X = O, S, SO, SO2, NRc; Y = a bond, CH2, CO, etc.; Z = N; W = O, S, SO, SO2, NRc, NCORc; Ra, Rb = H, alkyl, (hetero)aryl; Rc = H, alkyl, alkylcarbonyl] and purines III [R1 = (hetero)aryl; R2, R4 = H, halo, CN, etc.; R3 = H, halo, CN, alkyl, etc.; R5 = H, alkyl; n = 0-6; A = O, S, SO, SO2, NRe; B = N, CRf; X = O, S, SO, SO2, NRe, CO; Y = a bond, CH2, CO, C:NRa, O, S, SO, SO2, NRe; Z = N, CH; each of U and V = N, CR; W = O, S, NRe; Ra = H, alkyl, (hetero)aryl, (hetero)cyclyl; Re = H, alkyl, aryl, acyl, sulfonyl; Rf = H, alkyl, aryl, etc.] and pharmaceutically acceptable salts, solvates, clathrates, and prodrugs thereof. E.g., a multi-step synthesis of IV, starting from 3-(3,4-dimethoxyphenyl)propyl iodide and 2,4-dichloro-6-morpholinopyrimidine, was given. The compds. I were tested for inhibition of osteoclast formation (data given for representative compds. I). This invention also relates to compns. comprising the compds. I and methods for using them. The compds. and compns. of this invention are useful to treat or prevent disorders associated with excessive bone loss, including, without

**Serial#: 10/595,734**

limitation periodontal disease, non-malignant bone disorders (such as osteoporosis, Paget's disease of bone, osteogenesis imperfecta, fibrous dysplasia, and primary hyperparathyroidism), estrogen deficiency, inflammatory bone loss, bone malignancy, arthritis, osteopetrosis, and certain cancer-related disorders (such as hypercalcemia of malignancy (HCM), osteolytic bone lesions of multiple myeloma and osteolytic bone metastases of breast cancer and other metastatic cancers). IPCI A61P [ICM,7]

IPCR A61K0031-5375 [I,C\*]; A61K0031-5375 [I,A]; A61P [I,S]; A61P0001-00 [I,C\*]; A61P0001-00 [I,A]; C07D0239-00 [I,C\*]; C07D0239-48 [I,A]; C07D0251-00 [I,C\*]; C07D0251-18 [I,A]; C07D0251-52 [I,A]; C07D0401-00 [I,C\*]; C07D0401-06 [I,A]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0413-00 [I,C\*]; C07D0413-04 [I,A]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0473-00 [I,C\*]; C07D0473-16 [I,A]

CC 28-19 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 4010-81-5P 7494-71-5P 33655-33-3P,  
4,6-Dichloro-5-methyl-2-phenylpyrimidine 52127-83-0P,  
4-(2,6-Dichloropyrimidin-4-yl)morpholine 99984-72-2P,  
5-Methyl-2-phenylpyrimidine-4,6-diol 314065-08-2P 314065-09-3P  
438587-93-0P 541550-49-6P 541550-51-0P 541550-52-1P,  
2-Butoxy-4-chloro-6-(morpholin-4-yl)pyrimidine 541550-53-2P  
541550-54-3P 541550-55-4P 541550-56-5P 541550-58-7P 541550-59-8P  
541550-60-1P, 4-(6-Chloro-5-methyl-2-phenylpyrimidin-4-yl)morpholine  
541550-61-2P 541550-62-3P 541550-63-4P 541550-67-8P 541550-68-9P  
541550-69-0P 541550-70-3P 541550-71-4P 541550-72-5P 541550-73-6P  
541550-74-7P 541550-75-8P 682337-85-5P 682337-86-6P 682337-87-7P  
682337-88-8P 682337-90-2P 682337-91-3P 682337-93-5P 682337-94-6P  
682337-95-7P 820230-66-8P 820230-67-9P 820230-68-0P 820230-69-1P  
820230-70-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidines, triazines and purines for preventing and treating disorders associated with excessive bone loss)

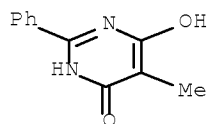
IT 99984-72-2P, 5-Methyl-2-phenylpyrimidine-4,6-diol

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidines, triazines and purines for preventing and treating disorders associated with excessive bone loss)

RN 99984-72-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-5-methyl-2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 5 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:716288 HCAPLUS Full-text

DOCUMENT NUMBER: 141:218924

TITLE: Antiviral agents containing nitrogen-containing heteroaromatic compounds

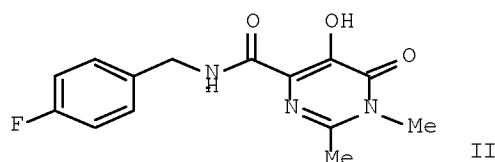
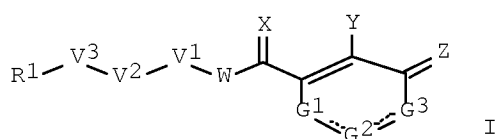
INVENTOR(S): Fuji, Masahiro; Matsushita, Shihaku; Mikamiyama,

**Serial#: 10/595,734**

PATENT ASSIGNEE(S): Hidenori  
SOURCE: Shionogi and Co., Ltd., Japan  
Jpn. Kokai Tokkyo Koho, 54 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004244320	A	20040902	JP 2003-32772	20030210 <--
PRIORITY APPLN. INFO.:			JP 2003-32772	20030210 <--
OTHER SOURCE(S):	MARPAT 141:218924			

GI



AB The invention provides antiviral agents having HIV integrase inhibitory effects, characterized by containing I [G1 = (substituted) N; G2 = (substituted) C; G3 = (substituted) N, C, O, S; R1 = (substituted) aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocycle; V1, V3 = (substituted) alkylene, alkenylene; V2 = (substituted) alkylene, alkenylene, etc.; X = O, S, NH; Y = hydroxy, mercapto, amino; Z = O, S, NH]. A compound II was prepared, and in vitro tested for its HIV integrase inhibitory effect. A capsule containing an active component 250 mg/capsule was also formulated. IPCI A61K0031-4412 [ICM, 7]; A61K0031-513 [ICS, 7]; A61K0031-541 [ICS, 7];

A61P0007-04 [ICS, 7]; A61P0007-00 [ICS, 7, C\*]; A61P0025-00 [ICS, 7]; A61P0025-28 [ICS, 7]; A61P0031-12 [ICS, 7]; A61P0031-18 [ICS, 7]; A61P0031-00 [ICS, 7, C\*]; A61P0033-08 [ICS, 7]; A61P0033-00 [ICS, 7, C\*]; A61P0043-00 [ICS, 7]; C07D0213-81 [ICS, 7]; C07D0213-00 [ICS, 7, C\*]; C07D0239-557 [ICS, 7]; C07D0239-60 [ICS, 7]; C07D0239-00 [ICS, 7, C\*]; C07D0417-04 [ICS, 7]; C07D0417-00 [ICS, 7, C\*]

IPCR A61K0031-4412 [I, A]; A61K0031-4412 [I, C\*]; A61K0031-513 [I, A]; A61K0031-513 [I, C\*]; A61K0031-541 [I, A]; A61K0031-541 [I, C\*]; A61P0007-00 [I, C\*]; A61P0007-04 [I, A]; A61P0025-00 [I, A]; A61P0025-00 [I, C\*]; A61P0025-28 [I, A]; A61P0031-00 [I, C\*]; A61P0031-12 [I, A]; A61P0031-18 [I, A]; A61P0033-00 [I, C\*]; A61P0033-08 [I, A]; A61P0043-00 [I, A]; A61P0043-00 [I, C\*]; C07D0213-00 [I, C\*]; C07D0213-81 [I, A]; C07D0239-00 [I, C\*]; C07D0239-557 [I, A]; C07D0239-60 [I, A]; C07D0417-00 [I, C\*]; C07D0417-04 [I, A]

CC 1-5 (Pharmacology)

Section cross-reference(s): 27, 63

IT 518047-27-3P 519025-63-9P 729607-74-3P 729608-36-0P 729608-54-2P  
729608-73-5P 745802-96-4P 745802-98-6P 745803-01-4P 745803-04-7P

**Serial#: 10/595,734**

745803-07-0P    745803-09-2P    745803-12-7P    745803-15-0P  
745803-17-2P    745803-19-4P    745803-21-8P    745803-24-1P  
745803-26-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(antiviral agents having HIV integrase inhibitory effects containing  
nitrogen-containing heteroarom. compds.)

IT 19227-13-5P    729607-78-7P    745803-29-6P    745803-32-1P    745803-34-3P  
745803-37-6P    745803-39-8P    745803-41-2P    745803-44-5P    745803-46-7P  
745803-48-9P    745803-51-4P    745803-54-7P    745803-56-9P    745803-58-1P  
745803-60-5P    745803-62-7P    745803-64-9P    745803-66-1P    745803-68-3P  
745803-72-9P    745803-74-1P    745803-76-3P    745803-81-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of antiviral agents having HIV integrase inhibitory effects  
containing nitrogen-containing heteroarom. compds.)

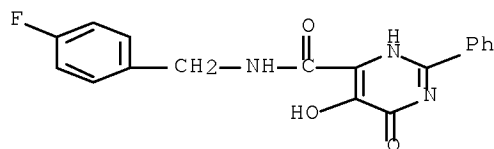
IT 745803-15-0P    745803-19-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(antiviral agents having HIV integrase inhibitory effects containing  
nitrogen-containing heteroarom. compds.)

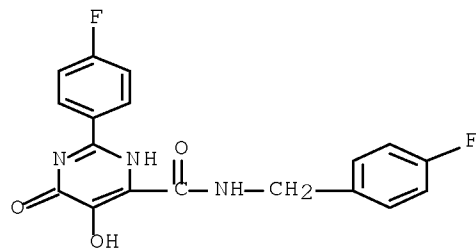
RN 745803-15-0 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-  
6-oxo-2-phenyl- (CA INDEX NAME)



RN 745803-19-4 HCAPLUS

CN 4-Pyrimidinecarboxamide, 2-(4-fluorophenyl)-N-[(4-fluorophenyl)methyl]-1,6-  
dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



IT 745803-72-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

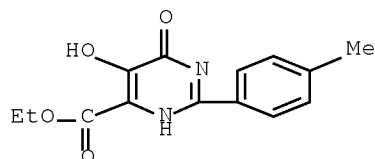
(preparation of antiviral agents having HIV integrase inhibitory effects  
containing nitrogen-containing heteroarom. compds.)

RN 745803-72-9 HCAPLUS



**Serial#: 10/595,734**

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD  
(7 CITINGS)

L57 ANSWER 6 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2004:473354 HCAPLUS Full-text  
DOCUMENT NUMBER: 141:23548  
TITLE: Preparation of benzyloxypyrimidines and related compounds for the treatment of insulin resistance and hyperglycemia.  
INVENTOR(S): Hu, Baihua  
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA  
SOURCE: U.S. Pat. Appl. Publ., 11 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040110780	A1	20040610	US 2003-655471	20030904 <--
US 7423044	B2	20080909		

PRIORITY APPLN. INFO.: US 2002-408506P P 20020905 <--  
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): MARPAT 141:23548  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [B = alkyl, alkoxy; R1 = aryl, Het optionally substituted with R6; R6 = H, halo, NO2, etc.; R2, R3 = alkyl, CF3, aryl, etc.; R4, R5 = H, halo, alkyl, etc.;] and their pharmaceutically acceptable salts were prepared For example, O-alkylation of pyrimidinol II, e.g., prepared from 3-oxo-3-phenylpropionic acid Et ester and 4-chlorobenzamidine hydrochloride, with Me 4-(bromomethyl)benzoate followed by ester hydrolysis, afforded benzyloxypyrimidine III. In protein-tyrosine phosphatase-1B inhibition assays, 3-examples of compds. I exhibited Kiapp values ranging from 1.67-7.21, e.g., the Kiapp value of benzyloxypyrimidine III was 1.67. Compds. I are claimed useful for the treatment of metabolic disorders related to insulin resistance or hyperglycemia.

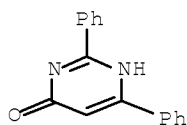
INCL 514269000; 544317000

IPCI C07D0239-34 [I,A]; C07D0239-00 [I,C\*]; A61K0031-505 [I,A]

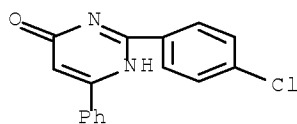
IPCR C07D0239-00 [I,C\*]; C07D0239-34 [I,A]; C07D0239-70 [I,A]; C07D0401-00 [I,C\*]; C07D0401-04 [I,A]; C07D0471-00 [I,C\*]; C07D0471-04 [I,A];

**Serial#: 10/595,734**

A61K0031-505 [I,C]; A61K0031-505 [I,A]  
NCL 514/269.000; 544/317.000; 544/319.000  
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1  
IT 15969-46-7P, 2,6-Diphenylpyrimidin-4-ol 36935-59-8P,  
2-(4-Chlorophenyl)-6-phenylpyrimidin-4-ol 87753-08-0P 87753-10-4P  
257949-51-2P, 2-(3,5-Dichlorophenyl)-6-isopropylpyrimidin-4-ol  
474330-68-2P, 6-Phenyl-2-pyridin-4-ylpyrimidin-4-ol 698398-59-3P,  
6-Benzyl-2-(3,5-dichlorophenyl)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidin-4-  
ol 698398-60-6P, 6-Isopropyl-2-(3-nitrophenyl)pyrimidin-4-ol  
698398-61-7P, 6-Benzyl-2-(3-nitrophenyl)-5,6,7,8-tetrahydropyrido[4,3-  
d]pyrimidin-4-ol  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of benzyloxypyrimidines and related compds. for the treatment  
of insulin resistance and hyperglycemia.)  
IT 15969-46-7P, 2,6-Diphenylpyrimidin-4-ol 36935-59-8P,  
2-(4-Chlorophenyl)-6-phenylpyrimidin-4-ol  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of benzyloxypyrimidines and related compds. for the treatment  
of insulin resistance and hyperglycemia.)  
RN 15969-46-7 HCAPLUS  
CN 4(3H)-Pyrimidinone, 2,6-diphenyl- (CA INDEX NAME)



RN 36935-59-8 HCAPLUS  
CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

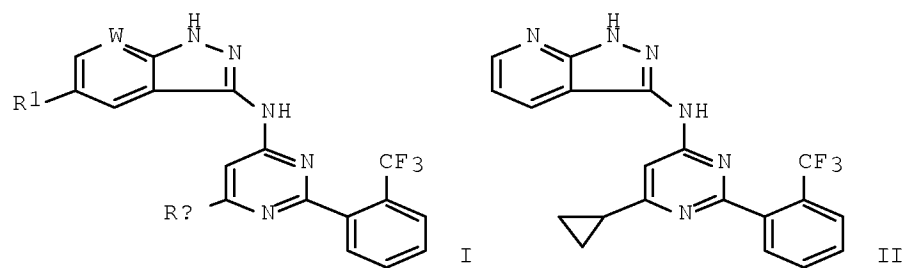
L57 ANSWER 7 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2004:120855 HCAPLUS Full-text  
DOCUMENT NUMBER: 140:163888  
TITLE: Preparation of  
(pyrimidinyl)(pyrazolo[3,4-b]pyridinyl)amines and  
analogs as GSK-3 inhibitors  
INVENTOR(S): Forster, Cornelia J.; Park, Larry C.; Wannamaker,  
Marion W.; Yao, Yung-Mae  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 65 pp.  
CODEN: PIXXD2

**Serial#: 10/595,734**

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2004013140	A1	20040212	WO 2003-US23950	20030731 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494100	A1	20040212	CA 2003-2494100	20030731 <--
AU 2003257078	A1	20040223	AU 2003-257078	20030731 <--
AU 2003257078	B2	20100401		
EP 1532145	A1	20050525	EP 2003-767010	20030731 <--
EP 1532145	B1	20060913		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013176	A	20050614	BR 2003-13176	20030731 <--
CN 1681815	A	20051012	CN 2003-821985	20030731 <--
CN 1319968	C	20070606		
JP 2005539012	T	20051222	JP 2004-526255	20030731 <--
AT 339419	T	20061015	AT 2003-767010	20030731 <--
ZA 2005001124	A	20061025	ZA 2005-1124	20030731 <--
EP 1739087	A1	20070103	EP 2006-18528	20030731 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PT, RO, SE, SI, SK, TR, AL, LT, LV, MK				
ES 2273043	T3	20070501	ES 2003-767010	20030731 <--
NZ 538426	A	20070531	NZ 2003-538426	20030731 <--
CN 101037438	A	20070919	CN 2007-10096157	20030731 <--
NZ 550883	A	20080630	NZ 2003-550883	20030731 <--
US 20040039007	A1	20040226	US 2003-632340	20030801 <--
US 7491730	B2	20090217		
MX 2005001367	A	20050428	MX 2005-1367	20050202 <--
IN 2005KN00289	A	20060127	IN 2005-KN289	20050228 <--
IN 225208	A1	20081107		
NO 2005001100	A	20050502	NO 2005-1100	20050301 <--
HK 1081186	A1	20080125	HK 2006-101165	20060126 <--
JP 2006273872	A	20061012	JP 2006-188629	20060707 <--
US 20090118278	A1	20090507	US 2008-338129	20081218 <--
PRIORITY APPLN. INFO.:			US 2002-400967P	P 20020802 <--
			CN 2003-821985	A3 20030731 <--
			EP 2003-767010	A3 20030731 <--
			JP 2004-526255	A3 20030731 <--
			NZ 2003-538426	A3 20030731 <--
			WO 2003-US23950	W 20030731 <--
			US 2003-632340	A3 20030801 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): MARPAT 140:163888  
GI



AB Title compds. I [wherein W = N or CH; R1 = H or F; Ry = aliphatic group optionally substituted with N(R2)2 or heterocyclyl; R2 = independently H or (un)substituted aliphatic group; with the proviso that when R1 = H and W = CH, then Ry ≠ Me; and pharmaceutically acceptable salts thereof] were prepared as protein kinase inhibitors, especially as glycogen synthase kinase-3 (GSK-3) inhibitors. For example, 4-chloro-6-cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidine was coupled with 1H-pyrazolo[3,4-b]pyridin-3-amine by heating at 130° for 12 h in N-methylpyrrolidinone provided II (57%). Compds. of the invention inhibited GSK-3β with Ki < 100 nM and exhibited ≥ 30% protection against ischemic injury exptl. induced by anoxia-reoxygenation in cultured hippocampal neuronal cells. Thus, I and their pharmaceutically acceptable compns. are useful for the treatment of various protein kinase-mediated disorders, such as stroke, Alzheimer's disease, and neurodegenerative disorders (no data). IPCI C07D0471-04 [ICM, 7]; C07D0471-00 [ICM, 7, C\*]; C07D0403-12 [ICS, 7];

C07D0403-00 [ICS, 7, C\*]; A61K0031-4427 [ICS, 7]; A61K0031-4155 [ICS, 7]; A61P0009-00 [ICS, 7]; A61P0025-00 [ICS, 7]; A61P0029-00 [ICS, 7]  
 IPCR A61K0031-506 [I, C\*]; A61K0031-506 [I, A]; A61K0031-5375 [I, C\*]; A61K0031-5377 [I, A]; A61K0045-00 [I, C\*]; A61K0045-00 [I, A]; A61P0003-00 [I, C\*]; A61P0003-10 [I, A]; A61P0009-00 [I, C\*]; A61P0009-00 [I, A]; A61P0009-02 [I, A]; A61P0011-00 [I, C\*]; A61P0011-06 [I, A]; A61P0017-00 [I, C\*]; A61P0017-14 [I, A]; A61P0025-00 [I, C\*]; A61P0025-00 [I, A]; A61P0025-16 [I, A]; A61P0025-18 [I, A]; A61P0025-24 [I, A]; A61P0025-28 [I, A]; A61P0027-00 [I, C\*]; A61P0027-06 [I, A]; A61P0029-00 [I, C\*]; A61P0029-00 [I, A]; A61P0037-00 [I, C\*]; A61P0037-06 [I, A]; A61P0043-00 [I, C\*]; A61P0043-00 [I, A]; C07D0403-00 [I, C\*]; C07D0403-12 [I, A]; C07D0471-00 [I, C\*]; C07D0471-04 [I, A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 91549-26-7P, 2-Trifluoromethylbenzoyl isocyanate 404827-82-3P,  
 4-Chloro-6-methyl-2-(2-trifluoromethylphenyl)pyrimidine 404828-01-9P,  
 6-Methyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one 656813-85-3P,  
 6-tert-Butyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one  
 656813-86-4P, 4-tert-Butyl-6-chloro-2-(2-trifluoromethylphenyl)pyrimidine  
 656813-88-6P, 6-Cyclopropyl-2-(2-trifluoromethylphenyl)-3H-  
 pyrimidin-4-one 656813-89-7P, (1-Cyclopropylvinyl)dimethylamine  
 656813-91-1P, 4-Chloro-6-cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidine  
 656813-95-5P, 6-(But-3-enyl)-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-  
 one 656813-96-6P, 4-(But-3-enyl)-6-chloro-2-(2-  
 trifluoromethylphenyl)pyrimidine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of (pyrimidinyl)(pyrazolo[3,4-b]pyridinyl)amines and analogs as GSK-3 inhibitors)

IT 656813-88-6P, 6-Cyclopropyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one

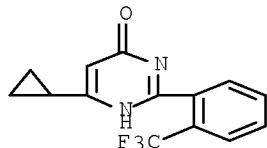
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

**Serial#: 10/595,734**

(intermediate; preparation of (pyrimidinyl)(pyrazolo[3,4-b]pyridinyl)amines and analogs as GSK-3 inhibitors)

RN 656813-88-6 HCAPLUS

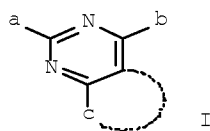
CN 4(3H)-Pyrimidinone, 6-cyclopropyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)  
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 8 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2004:101145 HCAPLUS Full-text  
DOCUMENT NUMBER: 140:146162  
TITLE: Preparation of pyrimidine derivatives as antithrombotic agents  
INVENTOR(S): Nakatogawa, Kiyoshi; Murata, Masanao; Takeuchi, Akira; Suzuki, Kaoru  
PATENT ASSIGNEE(S): Shizuoka Coffein Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 236 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004011442	A1	20040205	WO 2002-JP7678	20020729 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002368112	A1	20040216	AU 2002-368112	20020729 <--
JP 4322208	B2	20090826	JP 2004-524088	20020729 <--
PRIORITY APPLN. INFO.:			WO 2002-JP7678	A 20020729 <--
OTHER SOURCE(S):	MARPAT 140:146162			
GI				



AB Disclosed are pharmaceutical compns. for the treatment of thrombosis containing pyrimidine derivs. represented by the following general formula (I) [a = a1-lower alkylene-Y, 3-pyridyl, 4-trifluoromethylphenyl, 4-hydroxyphenyl, 4'-hydroxy-1,1'-biphenyl-4-yl, 6-hydroxy-2-naphthyl, 2-naphthyl, (carboxyphenylmethyl)amino (Ph is optionally substituted by OH); wherein a1 = CO<sub>2</sub>H, dicarboxymethyl, halo, (un)substituted Ph, 4-morpholinyl, 4-pyridyl, dimethylamino, lower alkoxyacetyl, phenylmethoxyacetyl (Ph is optionally substituted), di(lower alkoxyacetyl)methyl; Y = NY1, O-arylene; where Y1 = H, carboxymethyl, (lower alkoxyacetyl)methyl; arylene = 1,4-phenylene, 2,6-naphthalenediyl, 1,1'-biphenyl-4,4'-diyl; b = OH, b1-lower alkylene-O; b1 = CO<sub>2</sub>H, dicarboxymethyl, halo, (un)substituted Ph, cyclohexyl, 4-morpholinyl, pyridyl, lower alkoxyacetyl, di(lower alkoxyacetyl)methyl, 1-cyclohexyltetrazol-2-yl; c = (un)substituted Ph, tert-Bu, CF<sub>3</sub>, CO<sub>2</sub>H, (lower alkoxyacetyl)lower alkyl, 3-furanyl, CHO, dimethoxymethyl, Me; or c together with the 5-position carbon atom of the pyrimidine ring forms a cyclopentane ring], pharmacol. acceptable salts, or solvates thereof. Because of having an excellent plasminogen activator (PA) activity-promoting effect and a plasminogen activator inhibitor (PAI-1) inhibitory effect, these pyrimidine derivs. maintain PA activity, reduce occurrence of thrombosis or restenosis, and are usable as antithrombotic agents and thrombolytic agents which can be orally administered.

IPCI C07D0239-34 [ICM,7]; C07D0239-36 [ICS,7]; C07D0239-47 [ICS,7]; C07D0239-70 [ICS,7]; C07D0239-00 [ICS,7,C\*]; C07D0401-04 [ICS,7]; C07D0401-12 [ICS,7]; C07D0401-00 [ICS,7,C\*]; C07D0403-12 [ICS,7]; C07D0403-00 [ICS,7,C\*]; C07D0405-04 [ICS,7]; C07D0405-00 [ICS,7,C\*]; A61K0031-505 [ICS,7]; A61K0031-506 [ICS,7]; A61K0031-5377 [ICS,7]; A61K0031-5375 [ICS,7,C\*]; A61P0007-02 [ICS,7]; A61P0007-00 [ICS,7,C\*]; A61P0043-00 [ICS,7]

IPCR A61K0031-505 [I,C\*]; A61K0031-505 [I,A]; A61K0031-506 [I,C\*]; A61K0031-506 [I,A]; A61K0031-5375 [I,C\*]; A61K0031-5377 [I,A]; A61P0007-00 [I,C\*]; A61P0007-02 [I,A]; A61P0043-00 [I,C\*]; A61P0043-00 [I,A]; C07D0401-00 [I,C\*]; C07D0401-04 [I,A]; C07D0401-12 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT	16879-57-5P	55684-41-8P	125903-90-4P	340011-60-1P	
	651719-82-3P	651719-83-4P	651719-84-5P	651719-85-6P	
	651719-86-7P	651719-87-8P	651719-88-9P	651719-89-0P	651719-90-3P
	651719-91-4P	651719-92-5P	651719-93-6P	651719-94-7P	
	651719-95-8P	651719-96-9P	651719-97-0P	651719-98-1P	
	651719-99-2P	651720-00-2P	651720-01-3P	651720-02-4P	
	651720-03-5P	651720-04-6P	651720-05-7P		
	651720-06-8P	651720-07-9P	651720-08-0P	651720-09-1P	651720-10-4P
	651720-11-5P	651720-12-6P	651720-13-7P		
	651720-14-8P	651720-15-9P	651720-16-0P	651720-17-1P	651720-18-2P
	651720-19-3P	651720-20-6P	651720-21-7P	651720-22-8P	
	651720-23-9P	651720-24-0P	651720-25-1P	651720-26-2P	
	651720-27-3P	651720-28-4P	651720-29-5P	651720-30-8P	651720-31-9P
	651720-32-0P	651720-33-1P	651720-34-2P	651720-35-3P	
	651720-36-4P	651720-37-5P	651720-38-6P	651720-39-7P	
	651720-40-0P	651720-41-1P	651720-42-2P		
	651720-43-3P	651720-44-4P	651720-45-5P	651720-46-6P	651720-47-7P
	651720-48-8P	651720-49-9P	651720-50-2P	651720-51-3P	651720-52-4P
	651720-53-5P	651720-54-6P	651720-55-7P	651720-56-8P	651720-57-9P
	651720-58-0P	651720-59-1P	651720-60-4P	651720-61-5P	651720-62-6P
	651720-63-7P	651720-64-8P	651720-65-9P	651720-66-0P	651720-67-1P

**Serial#: 10/595,734**

651720-68-2P	651720-69-3P	651720-70-6P	651720-71-7P	651720-72-8P
651720-73-9P	651720-74-0P	651720-75-1P	651720-76-2P	651720-77-3P
651720-78-4P	651720-79-5P	651720-80-8P	651720-81-9P	651720-82-0P
651720-83-1P	651720-84-2P	651720-85-3P	651720-86-4P	651720-87-5P
651720-88-6P	651720-89-7P	651720-90-0P	651720-91-1P	651720-92-2P
651720-93-3P	651720-94-4P	651720-95-5P	651720-96-6P	651720-97-7P
651720-98-8P	651720-99-9P	651721-00-5P	651721-01-6P	651721-02-7P
651721-03-8P	651721-04-9P	651721-05-0P	651721-06-1P	651721-07-2P
651721-08-3P	651721-09-4P	651721-10-7P	651721-11-8P	651721-12-9P
651721-13-0P	651721-14-1P	651721-15-2P	651721-16-3P	651721-17-4P
651721-18-5P	651721-19-6P	651721-20-9P	651721-21-0P	651721-22-1P
651721-23-2P	651721-24-3P	651721-25-4P	651721-26-5P	651721-27-6P
651721-28-7P	651721-29-8P	651721-30-1P	651721-31-2P	651721-32-3P
651721-33-4P	651721-34-5P	651721-35-6P	651721-36-7P	651721-37-8P
651721-38-9P	651721-39-0P	651721-40-3P	651721-41-4P	651721-42-5P
651721-43-6P	651721-44-7P	651721-45-8P	651721-46-9P	651721-47-0P
651721-48-1P	651721-49-2P	651721-50-5P	651721-51-6P	651721-52-7P
651721-53-8P	651721-54-9P	651721-55-0P	651721-56-1P	651721-57-2P
651721-58-3P	651721-59-4P	651721-60-7P	651721-61-8P	651721-62-9P
651721-63-0P	651721-64-1P	651721-65-2P	651721-66-3P	651721-67-4P
651721-68-5P	651721-69-6P	651721-70-9P	651721-71-0P	651721-72-1P
651721-73-2P	651721-74-3P	651721-75-4P	651721-76-5P	651721-77-6P
651721-78-7P	651721-79-8P	651721-80-1P	651721-81-2P	651721-82-3P
651721-83-4P	651721-84-5P	651721-85-6P	651721-86-7P	651721-87-8P
651721-88-9P	651721-89-0P	651721-90-3P	651721-91-4P	651721-92-5P
651721-93-6P	651721-94-7P	651721-95-8P	651721-96-9P	651721-97-0P
651721-98-1P	651721-99-2P	651722-00-8P	651722-01-9P	651722-02-0P
651722-03-1P	651722-04-2P	651722-05-3P	651722-06-4P	651722-07-5P
651722-08-6P	651722-09-7P	651722-10-0P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. as antithrombotic agents, plasminogen activator (PA) promoters, and plasminogen activator inhibitor (PAI-1) inhibitors)

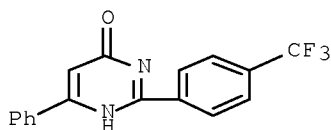
IT	340011-60-1P	651719-84-5P	651719-94-7P
	651719-95-8P	651720-03-5P	651720-04-6P
	651720-11-5P	651720-12-6P	651720-13-7P
	651720-22-8P	651720-26-2P	651720-35-3P
	651720-40-0P	651720-41-1P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. as antithrombotic agents, plasminogen activator (PA) promoters, and plasminogen activator inhibitor (PAI-1) inhibitors)

RN 340011-60-1 HCAPLUS

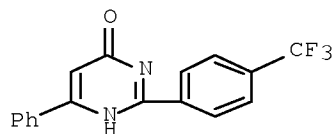
CN 4(3H)-Pyrimidinone, 6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



**Serial#: 10/595,734**

RN 651719-84-5 HCAPLUS

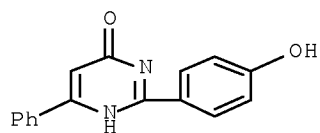
CN 4(3H)-Pyrimidinone, 6-phenyl-2-[4-(trifluoromethyl)phenyl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

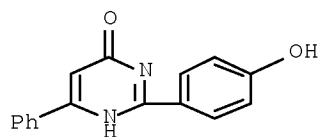
RN 651719-94-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-hydroxyphenyl)-6-phenyl- (CA INDEX NAME)



RN 651719-95-8 HCAPLUS

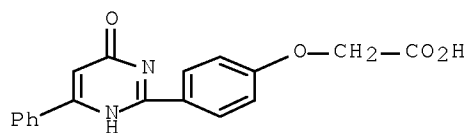
CN 4(3H)-Pyrimidinone, 2-(4-hydroxyphenyl)-6-phenyl-, sodium salt (1:2) (CA INDEX NAME)



●2 Na

RN 651720-03-5 HCAPLUS

CN Acetic acid, 2-[4-(1,6-dihydro-6-oxo-4-phenyl-2-pyrimidinyl)phenoxy]- (CA INDEX NAME)

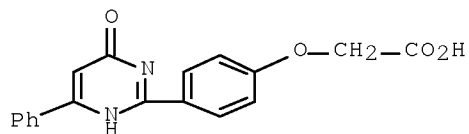




**Serial#: 10/595,734**

RN 651720-04-6 HCAPLUS

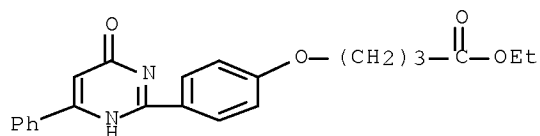
CN Acetic acid, 2-[4-(1,6-dihydro-6-oxo-4-phenyl-2-pyrimidinyl)phenoxy]-,  
sodium salt (1:2) (CA INDEX NAME)



●2 Na

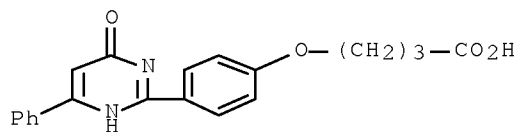
RN 651720-11-5 HCAPLUS

CN Butanoic acid, 4-[4-(1,6-dihydro-6-oxo-4-phenyl-2-pyrimidinyl)phenoxy]-,  
ethyl ester (CA INDEX NAME)



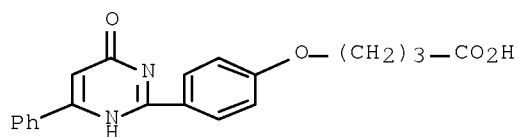
RN 651720-12-6 HCAPLUS

CN Butanoic acid, 4-[4-(1,6-dihydro-6-oxo-4-phenyl-2-pyrimidinyl)phenoxy]-  
(CA INDEX NAME)



RN 651720-13-7 HCAPLUS

CN Butanoic acid, 4-[4-(1,6-dihydro-6-oxo-4-phenyl-2-pyrimidinyl)phenoxy]-,  
sodium salt (1:2) (CA INDEX NAME)

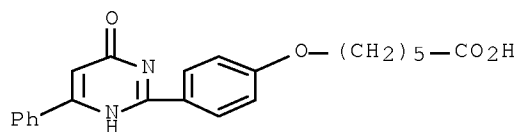


●2 Na

**Serial#: 10/595,734**

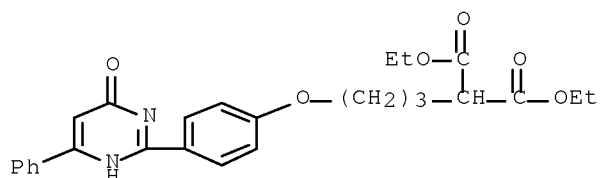
RN 651720-22-8 HCAPLUS

CN Hexanoic acid, 6-[4-(1,6-dihydro-6-oxo-4-phenyl-2-pyrimidinyl)phenoxy]-  
(CA INDEX NAME)



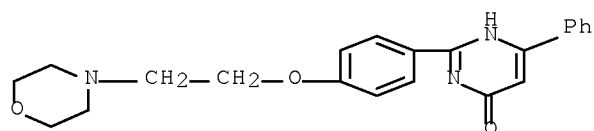
RN 651720-26-2 HCAPLUS

CN Propanedioic acid, 2-[3-[4-(1,6-dihydro-6-oxo-4-phenyl-2-pyrimidinyl)phenoxy]propyl]-, 1,3-diethyl ester (CA INDEX NAME)



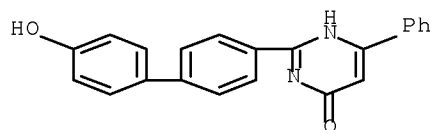
RN 651720-35-3 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[2-(4-morpholinyl)ethoxy]phenyl]-6-phenyl- (CA INDEX NAME)



RN 651720-40-0 HCAPLUS

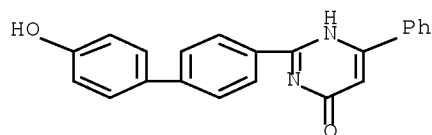
CN 4(3H)-Pyrimidinone, 2-(4'-hydroxy[1,1'-biphenyl]-4-yl)-6-phenyl- (CA INDEX NAME)



RN 651720-41-1 HCAPLUS

**Serial#: 10/595,734**

CN 4(3H)-Pyrimidinone, 2-(4'-hydroxy[1,1'-biphenyl]-4-yl)-6-phenyl-, sodium salt (1:2) (CA INDEX NAME)



●2 Na

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 9 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:20686 HCAPLUS Full-text

DOCUMENT NUMBER: 140:77152

TITLE: Preparation of novel benzimidazole derivatives as neuropeptide Y receptor antagonists

INVENTOR(S): Otake, Norikazu; Moriya, Minoru; Ogino, Yoshio; Matsuda, Kenji; Nagae, Yoshikazu; Kanatani, Akio; Fukami, Takehiro

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

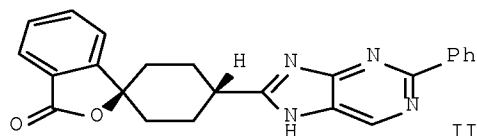
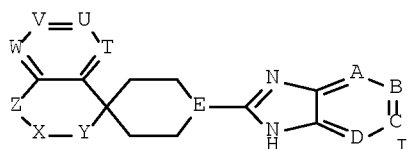
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2004002986	A2	20040108	WO 2003-JP8161	20030626 <--
WO 2004002986	A3	20040422		
W:	AE, AG, AL, AM, AU, AZ, BA, BB, BR, BY, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GH, HR, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, RU, SC, SG, SY, TJ, TM, TN, TT, UA, US, UZ, VC, VN, YU, ZA			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20040054177	A1	20040318	US 2003-463390	20030618 <--
US 7105526	B2	20060912		
CA 2490722	A1	20040108	CA 2003-2490722	20030626 <--
AU 2003248248	A1	20040119	AU 2003-248248	20030626 <--
AU 2003248248	B2	20090312		
JP 2004123706	A	20040422	JP 2003-182241	20030626 <--
JP 4496722	B2	20100707		
BR 2003012066	A	20050329	BR 2003-12066	20030626 <--
EP 1517908	A2	20050330	EP 2003-761822	20030626 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1668615	A	20050914	CN 2003-815343	20030626 <--

**Serial#: 10/595,734**

CN 100427486	C	20081022		
CN 1955178	A	20070502	CN 2006-10095672	20030626 <--
CN 100560585	C	20091118		
ZA 2004009339	A	20060222	ZA 2004-9339	20041119 <--
IN 2004KN01893	A	20061103	IN 2004-KN1893	20041209 <--
MX 2004012731	A	20050323	MX 2004-12731	20041215 <--
HR 2004001218	A2	20050430	HR 2004-1218	20041228 <--
NO 2005000184	A	20050112	NO 2005-184	20050112 <--
US 20060205750	A1	20060914	US 2006-431274	20060510 <--
US 7687514	B2	20100330		
IN 2008KN02498	A	20090123	IN 2008-KN2498	20080620 <--
US 20100048600	A1	20100225	US 2009-605503	20091026 <--
PRIORITY APPLN. INFO.:			JP 2002-190978	A 20020628 <--
			US 2003-463390	A3 20030618 <--
			CN 2003-815343	A3 20030626 <--
			WO 2003-JP8161	W 20030626 <--
			IN 2004-KN1893	A3 20041209
			US 2006-431274	A3 20060510

OTHER SOURCE(S): MARPAT 140:77152  
GI



AB Benzimidazole derivs. of formula I [A, B, C, D = N, (substituted) CH; E = N, CH, C-OH; T, U, V, W = (substituted) CH, N; X = NSO<sub>2</sub>-alkyl, N-acyl, CO, etc.; Y = O, (substituted) NH, etc.; Z = (CH<sub>2</sub>)<sub>n</sub>; n = 0-1] are prepared as neuropeptide Y receptor antagonists. The compds. are useful in the treatment of bulimia, obesity or diabetes. Thus, II was prepared from trans-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxylic acid and 2-phenyl-4,5-diaminopyrimidine. II had IC<sub>50</sub> of 2.2 nM in neuropeptide Y binding inhibition test. Pharmaceutical compns. containing I are described. IPCI C07D0471-04 [ICM,7]; C07D0471-00 [ICM,7,C\*]; C07D0487-04 [ICS,7];

C07D0487-00 [ICS,7,C\*]; C07D0473-00 [ICS,7]; C07D0405-08 [ICS,7]; C07D0405-00 [ICS,7,C\*]; A61K0031-41 [ICS,7]; A61K0031-435 [ICS,7]; A61K0031-52 [ICS,7]; A61K0031-519 [ICS,7,C\*]; A61P0025-00 [ICS,7]; A61P0009-00 [ICS,7]; A61P0003-00 [ICS,7]

IPCR A61K0031-41 [I,C\*]; A61K0031-41 [I,A]; A61K0031-435 [I,C\*]; A61K0031-435 [I,A]; A61K0031-519 [I,C\*]; A61K0031-52 [I,A]; A61P0003-00 [I,C\*]; A61P0003-00 [I,A]; A61P0009-00 [I,C\*]; A61P0009-00 [I,A]; A61P0025-00 [I,C\*]; A61P0025-00 [I,A]; C07D0403-00 [I,C\*]; C07D0403-08 [I,A]; C07D0405-00 [I,C\*]; C07D0405-04 [I,A]; C07D0405-08 [I,A]; C07D0471-00 [I,C\*]; C07D0471-04 [I,A]; C07D0471-10 [I,A]; C07D0473-00 [I,C\*]; C07D0473-00 [I,A]; C07D0473-30 [I,A]; C07D0487-00 [I,C\*]; C07D0487-04 [I,A]; C07D0491-00 [I,C\*]; C07D0491-04 [I,A]; C07D0519-00 [I,C\*]; C07D0519-00 [I,A]

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 26, 63, 75

IT 1765-93-1, 4-Fluorophenylboronic acid 1993-03-9, 2-Fluorophenylboronic acid 6945-68-2, 2-Amino-5-bromo-3-nitropyridine 16013-85-7  
16865-11-5 21164-34-1 36692-49-6, Methyl 3,4-diaminobenzoate  
68906-00-3 37513-51-4 159634-86-3 328233-08-5  
328233-23-4 640271-60-9 640271-61-0

**Serial#: 10/595,734**

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of benzimidazole derivs. as neuropeptide Y receptor antagonists)

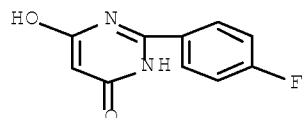
IT 21164-35-2P 84487-04-7P 640271-46-1P 640271-47-2P 640271-48-3P  
640271-49-4P 640271-50-7P 640271-51-8P 640271-52-9P 640271-53-0P  
640271-54-1P 640271-55-2P 640271-56-3P 640271-57-4P  
640271-58-5P 640271-59-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of benzimidazole derivs. as neuropeptide Y receptor antagonists)

IT 97513-51-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of benzimidazole derivs. as neuropeptide Y receptor antagonists)

RN 97513-51-4 HCAPLUS

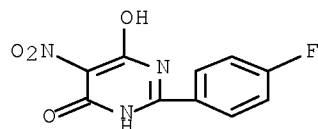
CN 4(3H)-Pyrimidinone, 2-(4-fluorophenyl)-6-hydroxy- (CA INDEX NAME)



IT 640271-56-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of benzimidazole derivs. as neuropeptide Y receptor antagonists)

RN 640271-56-3 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-fluorophenyl)-6-hydroxy-5-nitro- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(6 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 10 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:875259 HCAPLUS Full-text

DOCUMENT NUMBER: 139:364950

TITLE: Preparation of pyrimidine derivatives as mixed  
lymphocyte reaction (MLR) inhibitors

INVENTOR(S): Tsuruoka, Hiroyuki; Kanno, Yuichi; Tatsuta, Tohru

PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan

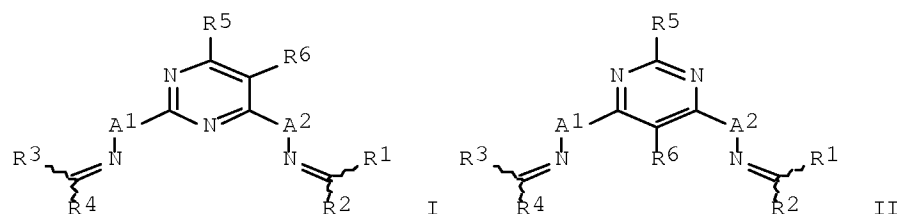
SOURCE: PCT Int. Appl., 420 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.		KIND	DATE	APPLICATION NO.		DATE
-----		----	-----	-----		-----
WO 2003091223		A1	20031106	WO 2003-JP5216		20030423 <--
W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004002391		A	20040108	JP 2003-113563		20030418 <--
AU 2003231459		A1	20031110	AU 2003-231459		20030423 <--
PRIORITY APPLN. INFO.:				JP 2002-120608		A 20020423 <--
				WO 2003-JP5216		W 20030423 <--
OTHER SOURCE(S):		MARPAT 139:364950				
GI						



AB Pyrimidines derivs. such as dihydrazinopyrimidine having the general formula (I) and (II) [wherein R1, R3 = H, lower alkyl, halo-lower alkyl, lower alkoxy-lower alkyl, mono- or di(lower alkyl)amino-lower alkyl, (un)substituted aryl; R2, R4 = each (un)substituted aryl or heterocyclyl; or CR2R1 or CR4R3 together forms an (un)substituted saturated carbocyclic or heterocyclic ring; A1, A2 = NR7, O (wherein R7 = lower alkyl); R5 lower alkylthio, each (un)substituted cycloalkyl, aryl, or heterocyclyl, a group having the formula -D-R8 or CH2-E-R8 (wherein D = NH, O, S; E = O, S, a single bond; R8 = each optionally substituted cycloalkyl, aryl, or heterocyclyl, etc.); R6 = H, lower alkyl, lower alkoxy, lower alkoxy-lower alkyl, mono- or di(lower alkyl)amino-lower alkyl, aralkyl, anilino], pharmaceutically acceptable salts, esters, or other derivs. thereof. are prepared These pyrimidine derivs. exhibit excellent MLR inhibiting action and are useful for inhibiting allograft rejection in bone marrow or organ transplant or for the treatment and/or prevention of inflammation, organ-specific or organ-nonspecific autoimmune diseases, or allergy, in particular chronic articular rheumatism, multiple sclerosis, inflammatory enteric disease, diabetes, glomerulonephritis, idiopathic biliary cirrhosis, active chronic hepatitis, pernicious anemia, Hashimoto thyroiditis, atrophic gastritis, myasthenia gravis, psoriasis, Sjogren's syndrome, systemic lupus erythematosus, rhinitis, asthma, or atopic dermatitis. They are also useful for inhibiting cancer cells, in particular cancerous lymphocyte. Thus, 480 mg N-(2,6-dichloropyrimidin-4-yl)phenylamine was stirred with 3 mL hydrazine monohydrate at 90° for 1 h, cooled to room temperature, treated with H2O, followed by filtering the precipitated

**Serial#: 10/595,734**

crystals, washing them with water, Et acetate, and drying under reduced pressure to give crude N-(2,6-dihydrazinopyrimidin-4-yl)phenylamine. The latter compound was dissolved in 5 mL dioxane, treated with 1.7 mL 4-acetylpyridine, refluxed for 15 h, distilled to remove the solvent, and suspended in a mixture of ether and Et acetate, followed by pulverizing the precipitated solid, filtration, and washing with a mixture of ether and Et acetate to give 1-(4-pyridinyl)-1-ethanone N-[4-anilino-6-[2-[1-(4-pyridinyl)ethylidene]hydrazino]-2-pyrimidinyl]hydrazone (III). In an MLR inhibition assay, III and 1-(4-pyridinyl)-1-ethanone N-[2-anilino-6-[2-[1-(4-pyridinyl)ethylidene]hydrazino]-4-pyrimidinyl]hydrazone in vitro inhibited the uptake of [3H]thymidine in human peripheral lymphocyte with IC50 of 6.9 and 1.0 nM, resp. IPCI

C07D0239-50 [ICM,7]; C07D0239-00 [ICM,7,C\*]; C07D0401-14 [ICS,7];  
C07D0401-00 [ICS,7,C\*]; C07D0403-14 [ICS,7]; C07D0403-00 [ICS,7,C\*];  
C07D0409-14 [ICS,7]; C07D0409-00 [ICS,7,C\*]; C07D0417-14 [ICS,7];  
C07D0417-00 [ICS,7,C\*]; A61K0031-505 [ICS,7]; A61K0031-506 [ICS,7];  
A61P0001-00 [ICS,7]; A61P0001-04 [ICS,7]; A61P0001-16 [ICS,7]; A61P0003-10  
[ICS,7]; A61P0003-00 [ICS,7,C\*]; A61P0007-06 [ICS,7]; A61P0007-00  
[ICS,7,C\*]; A61P0011-02 [ICS,7]; A61P0011-06 [ICS,7]; A61P0011-00  
[ICS,7,C\*]; A61P0013-12 [ICS,7]; A61P0013-00 [ICS,7,C\*]; A61P0017-00  
[ICS,7]; A61P0017-06 [ICS,7]; A61P0019-02 [ICS,7]; A61P0019-00 [ICS,7,C\*];  
A61P0021-04 [ICS,7]; A61P0021-00 [ICS,7,C\*]; A61P0025-00 [ICS,7];  
A61P0029-00 [ICS,7]; A61P0037-02 [ICS,7]; A61P0037-06 [ICS,7]; A61P0037-08  
[ICS,7]; A61P0037-00 [ICS,7,C\*]; A61P0043-00 [ICS,7]

IPCR A61K0031-505 [I,C\*]; A61K0031-505 [I,A]; A61K0031-506 [I,C\*]; A61K0031-506  
[I,A]; A61P0001-00 [I,C\*]; A61P0001-00 [I,A]; A61P0001-04 [I,A];  
A61P0001-16 [I,A]; A61P0003-00 [I,C\*]; A61P0003-10 [I,A]; A61P0007-00  
[I,C\*]; A61P0007-06 [I,A]; A61P0011-00 [I,C\*]; A61P0011-02 [I,A];  
A61P0011-06 [I,A]; A61P0013-00 [I,C\*]; A61P0013-12 [I,A]; A61P0017-00  
[I,C\*]; A61P0017-00 [I,A]; A61P0017-06 [I,A]; A61P0019-00 [I,C\*];  
A61P0019-02 [I,A]; A61P0021-00 [I,C\*]; A61P0021-04 [I,A]; A61P0025-00  
[I,C\*]; A61P0025-00 [I,A]; A61P0029-00 [I,C\*]; A61P0029-00 [I,A];  
A61P0037-00 [I,C\*]; A61P0037-02 [I,A]; A61P0037-06 [I,A]; A61P0037-08  
[I,A]; A61P0043-00 [I,C\*]; A61P0043-00 [I,A]; C07D0239-00 [I,C\*];  
C07D0239-50 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 393-15-7P 432-86-0P 1565-17-9P, 4-Acetylbenzenesulfonamide  
7043-09-6P 13566-71-7P, 2-Phenyl-4,6-dihydroxypyrimidine  
14309-78-5P 18303-28-1P 28176-12-7P 28230-47-9P 28230-48-0P  
58722-33-1P 60000-87-5P 65399-52-2P 65399-53-3P 68793-19-1P  
84755-00-0P 200442-61-1P 204394-77-4P 325685-70-9P 420130-92-3P  
500115-23-1P 500115-24-2P 500115-25-3P 500115-29-7P 500115-32-2P  
620983-66-6P 620983-67-7P 620983-69-9P 620983-70-2P 620983-71-3P  
620983-72-4P 620983-74-6P 620983-75-7P 620983-76-8P 620983-77-9P  
620983-78-0P 620983-79-1P 620983-80-4P 620983-81-5P 620983-82-6P  
620983-83-7P 620983-84-8P 620983-85-9P 620983-86-0P 620983-87-1P  
620983-89-3P 620983-90-6P 620983-92-8P 620983-93-9P 620983-94-0P  
620983-95-1P 620983-97-3P 620983-98-4P 620983-99-5P 620984-00-1P  
620984-01-2P 620984-02-3P 620984-03-4P 620984-04-5P 620984-05-6P  
620984-06-7P 620984-07-8P 620984-08-9P 620984-09-0P 620984-10-3P  
620984-11-4P 620984-12-5P 620984-13-6P 620984-14-7P 620984-15-8P  
620984-16-9P 620984-17-0P 620984-18-1P 620984-19-2P 620984-20-5P  
620984-21-6P 620984-22-7P 620984-23-8P 620984-24-9P 620984-25-0P  
620984-26-1P 620984-27-2P 620984-28-3P 620984-29-4P 620984-30-7P  
620984-31-8P 620984-32-9P 620984-33-0P 620984-34-1P 620984-35-2P  
620984-36-3P 620984-37-4P 620984-38-5P 620984-39-6P 620984-40-9P  
620984-41-0P 620984-42-1P 620984-43-2P 620984-44-3P 620984-45-4P  
620984-46-5P 620984-47-6P 620984-48-7P 620984-49-8P 620984-50-1P  
620984-51-2P 620984-52-3P 620984-53-4P 620984-54-5P 620984-55-6P  
620984-56-7P 620984-57-8P 620984-58-9P 620984-59-0P 620984-60-3P  
620984-61-4P 620984-62-5P 620984-63-6P 620984-64-7P 620984-65-8P  
620984-66-9P 620984-67-0P 620984-68-1P 620984-70-5P 620984-71-6P

**Serial#: 10/595,734**

620984-72-7P	620984-73-8P	620984-74-9P	620984-75-0P	620984-77-2P
620984-78-3P	620984-79-4P	620984-80-7P	620984-81-8P	620984-82-9P
620984-83-0P	620984-84-1P	620984-85-2P	620984-86-3P	620984-87-4P
620984-88-5P	620984-89-6P	620984-90-9P	620984-91-0P	620984-92-1P
620984-93-2P	620984-94-3P	620984-95-4P	620984-96-5P	
620984-97-6P	620984-98-7P	620984-99-8P	620985-00-4P	620985-01-5P
620985-02-6P	620985-03-7P	620985-04-8P	620985-05-9P	620985-06-0P
620985-07-1P	620985-08-2P	620985-09-3P	620985-10-6P	620985-11-7P
620985-12-8P	620985-13-9P	620985-14-0P	620985-15-1P	620985-16-2P
620985-17-3P	620985-18-4P	620985-19-5P	620985-20-8P	620985-21-9P
620985-22-0P	620985-23-1P	620985-24-2P	620985-25-3P	620985-26-4P
620985-27-5P	620985-28-6P	620985-29-7P	620985-30-0P	620985-31-1P
620985-32-2P	620985-33-3P	620985-34-4P	620985-35-5P	620985-36-6P
620985-37-7P	620985-38-8P	620985-39-9P	620985-40-2P	620985-41-3P
620985-42-4P	620985-43-5P	620985-44-6P	620985-45-7P	620985-46-8P
620985-47-9P	620985-48-0P	620985-49-1P	620985-50-4P	620985-51-5P
620985-52-6P	620985-53-7P	620985-54-8P	620985-55-9P	620985-56-0P
620985-57-1P	620985-58-2P	620985-59-3P	620985-60-6P	620985-61-7P
620985-63-9P	620985-64-0P	620985-65-1P	620985-66-2P	620985-67-3P
620985-68-4P	620985-69-5P	620985-70-8P	620985-71-9P	620985-72-0P
620985-73-1P	620985-74-2P	620985-75-3P	620985-76-4P	620985-77-5P
620985-78-6P	620985-79-7P	620985-80-0P	620985-81-1P	620985-82-2P
620985-83-3P				

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as mixed lymphocyte reaction inhibitors for treatment of cancer or allograft rejection and for treatment and/or prevention of inflammation, organ-(non)specific autoimmune diseases, or allergy)

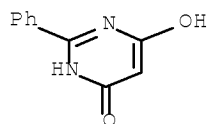
IT 13566-71-7P, 2-Phenyl-4,6-dihydroxypyrimidine  
620984-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as mixed lymphocyte reaction inhibitors for treatment of cancer or allograft rejection and for treatment and/or prevention of inflammation, organ-(non)specific autoimmune diseases, or allergy)

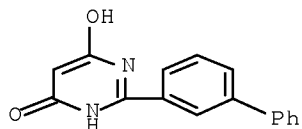
RN 13566-71-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-2-phenyl- (CA INDEX NAME)



RN 620984-93-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[1,1'-biphenyl]-3-yl-6-hydroxy- (CA INDEX NAME)





**Serial#: 10/595,734**

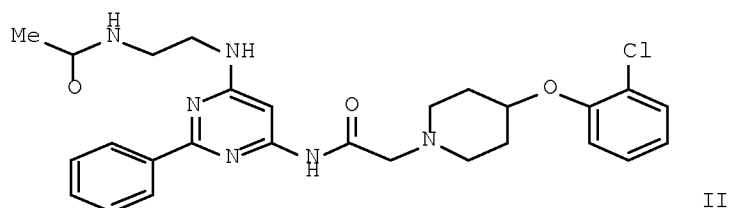
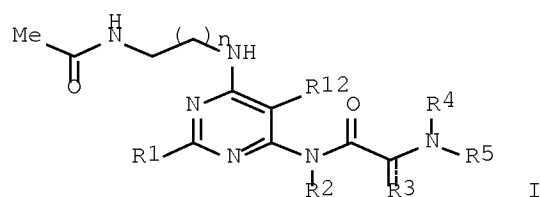
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 11 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2003:511098 HCAPLUS Full-text  
DOCUMENT NUMBER: 139:85366  
TITLE: Preparation of N-(pyrimidin-4-yl)acetamides as A2b  
adenosine receptor selective antagonists  
INVENTOR(S): Castelhano, Arlindo; McKibben, Bryan; Steinig, Arno;  
Collington, Eric William  
PATENT ASSIGNEE(S): OSI Pharmaceuticals, Inc., USA  
SOURCE: PCT Int. Appl., 150 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2003053366	A2	20030703	WO 2002-US41273	20021220 <--
WO 2003053366	A3	20040129		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2471059	A1	20030703	CA 2002-2471059	20021220 <--
AU 2002366811	A1	20030709	AU 2002-366811	20021220 <--
AU 2002366811	B2	20090115		
US 20030162764	A1	20030828	US 2002-326204	20021220 <--
US 6916804	B2	20050712		
BR 2002015202	A	20041013	BR 2002-15202	20021220 <--
EP 1465631	A2	20041013	EP 2002-805676	20021220 <--
EP 1465631	B1	20100224		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
CN 1620294	A	20050525	CN 2002-828270	20021220 <--
JP 2005517659	T	20050616	JP 2003-554126	20021220 <--
JP 4440642	B2	20100324		
AT 458483	T	20100315	AT 2002-805676	20021220 <--
MX 2004005862	A	20041101	MX 2004-5862	20040616 <--
IN 2004DN01871	A	20070406	IN 2004-DN1871	20040630 <--
US 20050119271	A1	20050602	US 2004-992239	20041118 <--
US 7501407	B2	20090310		
PRIORITY APPLN. INFO.:			US 2001-342595P	P 20011220 <--
			US 2002-326204	A1 20021220 <--
			WO 2002-US41273	W 20021220 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:85366  
GI



AB Title compds. I [wherein R1 = (un)substituted Ph, heterocyclyl, or heteroaryl; R2 and R3 = independently H or (un)substituted (cyclo)alkyl, alkanoyl, alkoxy(carbonyl), alkenyl, monocyclic or bicyclic aryl, heteroaryl, or heterocyclyl; or R2 and R3 are joined to form a heterocyclic ring; wherein the dashed line = a double bond which may be present or absent, and when present R3 = O; R4 and R5 = independently (un)substituted (cyclo)alkyl, alkanoyl, alkoxy(carbonyl), alkenyl, monocyclic or bicyclic aryl, heteroaryl, or heterocyclyl; or NR4R5 = (un)substituted monocyclic or bicyclic, heterocyclyl, or heteroaryl; R12 = H, alkyl, halo, or cyano; n = 0-4; or enantiomers, tautomers, or pharmaceutically acceptable salts thereof] were prepared as A2b adenosine receptor antagonists. For example, cycloaddn. of benzamidine•HCl and di-Et malonate using DBU in DMF gave 2-phenylpyrimidine-4,6-diol (73%). Chlorination (95%), amination (93%), substitution with N-(2-aminoethyl)acetamide (57%), and amidation with chloroacetyl chloride (91%) provided N-[6-(2-acetylaminethylamino)-2-phenylpyrimidin-4-yl]-2-chloroacetamide. Coupling of the chloroacetamide with 4-(2-chlorophenoxy)piperidine in the presence of NaI and DIPEA in 3:1 acetonitrile:THF afforded II (86%). Compds. of the invention showed greater than tenfold selectivity for the human A2b adenosine receptor (Ki values <100 nM) over the A1, A2a, and A3 receptors in radioligand binding assays. Thus, I and pharmaceutical compns. comprising I are useful for the treatment of diseases associated with the A2b adenosine receptor, such as asthma, diabetes, or proliferating tumors associated with mast cell degranulation (no data).

IPCI A61K [ICM, 7]

IPCR C07D0243-00 [I,C\*]; C07D0243-08 [I,A]; A61K0031-506 [I,C\*]; A61K0031-506 [I,A]; A61K0031-513 [I,C\*]; A61K0031-513 [I,A]; A61K0031-519 [I,C\*]; A61K0031-519 [I,A]; A61K0031-5375 [I,C\*]; A61K0031-5377 [I,A]; A61K0031-55 [I,C\*]; A61K0031-55 [I,A]; A61K0031-551 [I,C\*]; A61K0031-551 [I,A]; A61P0001-00 [I,C\*]; A61P0001-00 [I,A]; A61P0001-12 [I,A]; A61P0003-00 [I,C\*]; A61P0003-10 [I,A]; A61P0009-00 [I,C\*]; A61P0009-00 [I,A]; A61P0009-10 [I,A]; A61P0009-12 [I,A]; A61P0011-00 [I,C\*]; A61P0011-06 [I,A]; A61P0017-00 [I,C\*]; A61P0017-00 [I,A]; A61P0017-04 [I,A]; A61P0019-00 [I,C\*]; A61P0019-02 [I,A]; A61P0027-00 [I,C\*]; A61P0027-02 [I,A]; A61P0035-00 [I,C\*]; A61P0035-00 [I,A]; A61P0037-00 [I,C\*]; A61P0037-08 [I,A]; A61P0043-00 [I,C\*]; A61P0043-00 [I,A]; C07D0239-00 [I,C\*]; C07D0239-42 [I,A]; C07D0239-48 [I,A]; C07D0401-00 [I,C\*]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0403-00 [I,C\*]; C07D0403-12 [I,A]; C07D0405-00 [I,C\*]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0409-00 [I,C\*]; C07D0409-12 [I,A]; C07D0409-14 [I,A]; C07D0411-00 [I,C\*]; C07D0411-12 [I,A]; C07D0413-00 [I,C\*]; C07D0413-12 [I,A]; C07D0417-00 [I,C\*]; C07D0417-12 [I,A]; C07D0471-00 [I,C\*]; C07D0471-10

**Serial#: 10/595,734**

[I,A]; C07D0487-00 [I,C\*]; C07D0487-04 [I,A]  
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63  
IT 3740-92-9P, 4,6-Dichloro-2-phenylpyrimidine 13566-71-7P,  
2-Phenylpyrimidine-4,6-diol 23145-91-7P, 1-(3-Chlorobenzyl)piperazine  
26870-72-4P, 4,6-Dichloro-2-(4-chlorophenyl)pyrimidine 33655-33-3P,  
4,6-Dichloro-5-methyl-2-phenylpyrimidine 37581-26-3P,  
4-(4-Methoxybenzyl)piperidine 59794-53-5P,  
1-Benzyl-cis-2,6-dimethylpiperazine 65367-99-9P,  
4-(3-Chlorophenoxy)piperidine hydrochloride 83217-77-0P,  
2-(4-Chlorophenyl)pyrimidine-4,6-diol 90799-81-8P,  
6-Chloro-2-phenylpyrimidin-4-ylamine 97840-40-9P,  
4-(3-Chlorophenoxy)piperidine 99984-72-2P,  
5-Methyl-2-phenylpyrimidine-4,6-diol 109384-19-2P,  
4-Hydroxypiperidine-1-carboxylic acid tert-butyl ester 118753-70-1P,  
Bis(2-chloroethyl)carbamic acid tert-butyl ester 159635-49-1P,  
N-Boc-4-methylenepiperidine 186347-31-9P,  
4-(2-Chlorophenyl)-4-cyanopiperidine-1-carboxylic acid tert-butyl ester  
186347-33-1P, 4-(2-Chlorophenyl)piperidine-4-carbonitrile hydrochloride  
198895-89-5P, 4-Benzyl-cis-3,5-dimethylpiperazine-1-carboxylic acid  
tert-butyl ester 223659-76-5P,  
2-(4-Chlorophenyl)-5-methylpyrimidine-4,6-diol 245057-65-2P,  
4-(2-Chlorophenoxy)piperidine 251107-31-0P, 4-(3-Chlorobenzyl)piperidine  
251107-32-1P, 4-(2-Chlorobenzyl)piperidine 305860-09-7P,  
4-(3-Chlorobenzyl)piperazine-1-carboxylic acid tert-butyl ester  
338467-12-2P, 4-(4-Chlorobenzyl)piperidine-1-carboxylic acid tert-butyl  
ester 552868-03-8P, N-[2-[(6-Amino-2-phenylpyrimidin-4-  
yl)amino]ethyl]acetamide 552868-04-9P,  
N-[6-(2-Acetyl aminoethylamino)-2-phenylpyrimidin-4-yl]-2-chloroacetamide  
552868-05-0P, 4-(2-Chlorobenzyl)piperidine-1-carboxylic acid tert-butyl  
ester 552868-06-1P, 4-(3-Chlorobenzyl)piperidine-1-carboxylic acid  
tert-butyl ester 552868-07-2P, 4-(4-Chlorobenzyl)piperidine  
trifluoroacetate 552868-08-3P,  
1-Benzyl-4-(4-methoxybenzylidene)piperidine 552868-09-4P,  
4-(3-Chlorophenoxy)piperidine-1-carboxylic acid tert-butyl ester  
552868-10-7P, 4-(2-Chlorophenoxy)piperidine-1-carboxylic acid tert-butyl  
ester 552868-11-8P, 4-(2-Chlorophenoxy)piperidine trifluoroacetate  
552868-12-9P, 4-(3-Trifluoromethylbenzyl)-[1,4]diazepane-1-carboxylic acid  
tert-butyl ester 552868-13-0P, 1-(3-Trifluoromethylbenzyl)-  
[1,4]diazepane 552868-14-1P, 1-Benzyl-cis-2,6-dimethylpiperazine  
dihydrochloride 552868-95-8P, 2-Bromo-N-(6-chloro-2-phenylpyrimidin-4-  
yl)acetamide 552868-96-9P, N-(6-Chloro-2-phenylpyrimidin-4-yl)-2-  
(piperidin-1-yl)acetamide 552868-97-0P,  
N-(6-Chloro-2-phenylpyrimidin-4-yl)-2-[4-(4-trifluoromethyl-2-  
nitrophenyl)piperazin-1-yl]acetamide 552868-98-1P,  
2-[4-(3-Chloro-5-trifluoromethylpyridin-2-yl)piperazin-1-yl]-N-(6-chloro-2-  
phenylpyrimidin-4-yl)acetamide 552868-99-2P,  
N-(6-Chloro-2-phenylpyrimidin-4-yl)-2-[4-(3-phenylpropyl)piperazin-1-  
yl]acetamide 552869-00-8P, 2-[4-(4-tert-Butylbenzyl)piperazin-1-yl]-N-(6-  
chloro-2-phenylpyrimidin-4-yl)acetamide 552869-01-9P,  
N-(6-Chloro-2-phenylpyrimidin-4-yl)-2-[4-(pyrrolidin-1-yl)piperidin-1-  
yl]acetamide 552869-02-0P, N-(6-Chloro-2-phenylpyrimidin-4-yl)-2-[4-  
hydroxy-4-(thien-2-yl)piperidin-1-yl]acetamide 552869-03-1P,  
N-(6-Chloro-2-phenylpyrimidin-4-yl)-2-[4-((2E)-3-phenylprop-2-  
enyl)piperazin-1-yl]acetamide 552869-04-2P,  
N-(6-Chloro-2-phenylpyrimidin-4-yl)-2-(4-benzylpiperidin-1-yl)acetamide  
552869-14-4P, N-[6-[[2-(Acetyl amino)ethyl]amino]-2-phenylpyrimidin-4-yl]-2-  
bromoacetamide 552869-82-6P, Methyl  
[[6-[[2-(acetyl amino)ethyl]amino]-2-phenylpyrimidin-4-  
yl]amino](oxo)acetate 552869-83-7P,  
[[6-[[2-(Acetyl amino)ethyl]amino]-2-phenylpyrimidin-4-yl]amino](oxo)acetic

**Serial#: 10/595,734**

acid 552870-72-1P, N-[2-[(6-Chloro-2-phenylpyrimidin-4-yl)amino]ethyl]acetamide 552870-73-2P,  
N-[2-[[2-Phenyl-6-(piperazin-1-yl)pyrimidin-4-yl]amino]ethyl]acetamide  
552872-54-5P, N-[2-[[6-Chloro-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552872-56-7P,  
N-[2-[[2-(4-Chlorophenyl)-6-(piperazin-1-yl)pyrimidin-4-yl]amino]ethyl]acetamide 552874-13-2P,  
N-[2-[[6-[(2-Aminoethyl)amino]-2-phenylpyrimidin-4-yl]amino]ethyl]acetamide 552875-63-5P,  
N-[2-[(6-Chloro-5-methyl-2-phenylpyrimidin-4-yl)amino]ethyl]acetamide  
552875-64-6P, N-[2-[[6-[(2-Aminoethyl)amino]-5-methyl-2-phenylpyrimidin-4-yl]amino]ethyl]acetamide 552877-14-2P,  
4,6-Dichloro-2-(4-chlorophenyl)-5-methylpyrimidine 552877-15-3P,  
N-[2-[[6-Chloro-5-methyl-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552877-16-4P,  
N-[2-[[6-(2-Aminoethylamino)-2-(4-chlorophenyl)-5-methylpyrimidin-4-yl]amino]ethyl]acetamide 552878-00-9P,  
N-[2-[[6-[(2-Aminoethyl)amino]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of N-(pyrimidinyl)acetamides as A2b adenosine receptor selective antagonists for treatment of asthma, diabetes, tumors, and other A2b associated diseases)

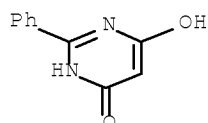
IT 13566-71-7P, 2-Phenylpyrimidine-4,6-diol 83217-77-0P  
, 2-(4-Chlorophenyl)pyrimidine-4,6-diol 99984-72-2P,  
5-Methyl-2-phenylpyrimidine-4,6-diol 223659-76-5P,  
2-(4-Chlorophenyl)-5-methylpyrimidine-4,6-diol

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of N-(pyrimidinyl)acetamides as A2b adenosine receptor selective antagonists for treatment of asthma, diabetes, tumors, and other A2b associated diseases)

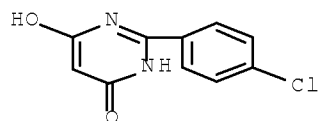
RN 13566-71-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-2-phenyl- (CA INDEX NAME)



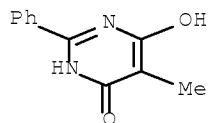
RN 83217-77-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-hydroxy- (CA INDEX NAME)

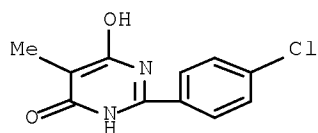


RN 99984-72-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-5-methyl-2-phenyl- (CA INDEX NAME)



RN 223659-76-5 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-hydroxy-5-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)  
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 12 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2003:454066 HCAPLUS Full-text  
 DOCUMENT NUMBER: 139:36531  
 TITLE: Preparation of morpholinopyrimidine derivatives as interleukin-12 inhibitors  
 INVENTOR(S): Ono, Mitsunori; Sun, Lijun; Przewloka, Teresa; Zhang, Shijie; Kostik, Elena; Ying, Weiwen; Wada, Yumiko; Koya, Keizo; Wu, Yaming; Zhou, Dan; Tatsuta, Noriaki  
 PATENT ASSIGNEE(S): Synta Pharmaceuticals Corporation, USA  
 SOURCE: PCT Int. Appl., 67 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047516	A2	20030612	WO 2002-US38161	20021127 <--
WO 2003047516	A3	20030731		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 20030139403 A1 20030724 US 2001-742 20011130 <-- US 6693097 B2 20040217				

**Serial#: 10/595,734**

US 20030114446	A1	20030619	US 2002-192347	20020710 <--
US 6660733	B2	20031209		
CA 2468349	A1	20030612	CA 2002-2468349	20021127 <--
AU 2002357033	A1	20030617	AU 2002-357033	20021127 <--
AU 2002357033	B2	20090507		
BR 2002014809	A	20040914	BR 2002-14809	20021127 <--
EP 1458687	A2	20040922	EP 2002-804468	20021127 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CN 1599726	A	20050323	CN 2002-823951	20021127 <--
CN 100349876	C	20071121		
JP 2005519034	T	20050630	JP 2003-548777	20021127 <--
NZ 533437	A	20070531	NZ 2002-533437	20021127 <--
RU 2320658	C2	20080327	RU 2004-119853	20021127 <--
KR 950122	B1	20100330	KR 2004-708313	20021127 <--
MX 2004005181	A	20050617	MX 2004-5181	20040528 <--
IN 2004CN01179	A	20060210	IN 2004-CN1179	20040528 <--
IN 229220	A1	20090320		
NO 2004002742	A	20040824	NO 2004-2742	20040629 <--
NO 328144	B1	20091214		
US 20060025409	A1	20060202	US 2005-193001	20050729 <--
US 7465725	B2	20081216		

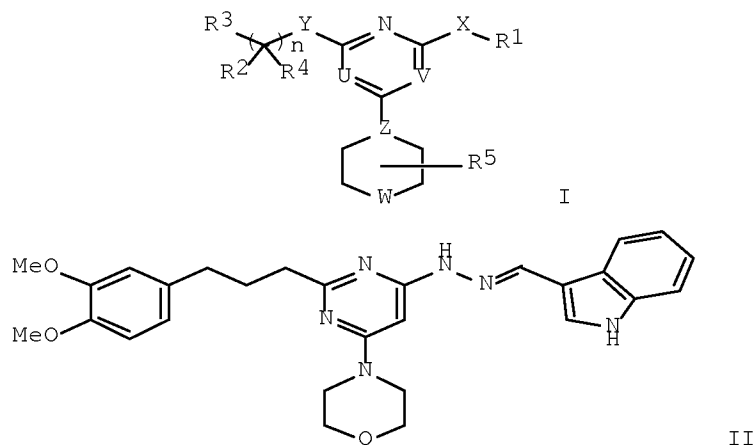
PRIORITY APPLN. INFO.:

US 2001-742	A1	20011130 <--
US 2002-192347	A1	20020710 <--
WO 2002-US38161	W	20021127 <--
US 2003-656671	A1	20030905 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:36531

GI



II

AB The title compds. I [wherein R<sub>1</sub> = N=CR<sub>a</sub>R<sub>b</sub>, aryl, or heteroaryl; R<sub>2</sub> and R<sub>4</sub> = independently Rc, halo, NO<sub>2</sub>, CN, isothionitro, SRc, or ORc; or R<sub>2</sub> and R<sub>4</sub> together form =O; R<sub>3</sub> = Rc, alkenyl, alkynyl, ORc, OCORc, SO<sub>2</sub>Rc, SORc, SO<sub>2</sub>NRcRd, SRc, NRcRd, NRcCORd, NRcCO<sub>2</sub>Rd, NRcCONRcRd, NRcSO<sub>2</sub>Rd, CORc, CO<sub>2</sub>Rc, or CONRcRd; R<sub>5</sub> = H or alkyl; n = 0-6; X = O, S, SO, SO<sub>2</sub>, or NRc; Y = a bond, CH<sub>2</sub>, CO, C=NRc, C=NORc, C=NSRc, O, S, SO, SO<sub>2</sub>, or NRc; Z = N or CH; one of U and V is N, the other is CRc; W = O, S, SO, SO<sub>2</sub>, NRc, or NCORc; R<sub>a</sub> and R<sub>b</sub> = independently H, alkyl, aryl, or heteroaryl; R<sub>c</sub> and R<sub>d</sub> = independently H, alkyl, aryl, heteroaryl, cyclyl, heterocyclyl, or alkylcarbonyl] are prepared as interleukin-12

**Serial#: 10/595,734**

(IL-12) inhibitors. For example, the pyrimidine II was prepared in a multi-step synthesis in moderate yield. I showed IC<sub>50</sub> of <1 nM against human PBMC or THP-1 cells. I are useful for treating IL-12 over-production related diseases (e.g., rheumatoid arthritis, sepsis, Crohn's disease, multiple sclerosis, psoriasis, or insulin-dependent diabetes mellitus) (no data). IPCI A61K [ICM,7]

IPCR C07D0239-42 [I,A]; A61K [I,S]; A61K0031-5375 [I,C\*]; A61K0031-5377 [I,A]; A61K0031-541 [I,C\*]; A61K0031-541 [I,A]; A61P0001-00 [I,C\*]; A61P0001-04 [I,A]; A61P0003-00 [I,C\*]; A61P0003-10 [I,A]; A61P0017-00 [I,C\*]; A61P0017-06 [I,A]; A61P0025-00 [I,C\*]; A61P0025-00 [I,A]; A61P0029-00 [I,C\*]; A61P0029-00 [I,A]; A61P0031-00 [I,C\*]; A61P0031-04 [I,A]; C07D0239-00 [I,C\*]; C07D0239-48 [I,A]; C07D0401-00 [I,C\*]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0403-00 [I,C\*]; C07D0403-06 [I,A]; C07D0403-12 [I,A]; C07D0405-00 [I,C\*]; C07D0405-14 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 33655-33-3P 52127-83-0P 99984-72-2P 541550-49-6P  
541550-50-9P 541550-51-0P 541550-52-1P 541550-53-2P 541550-54-3P  
541550-55-4P 541550-56-5P 541550-57-6P 541550-58-7P 541550-59-8P  
541550-60-1P 541550-61-2P 541550-62-3P 541550-63-4P 541550-64-5P  
541550-65-6P 541550-66-7P 541550-67-8P 541550-68-9P 541550-69-0P  
541550-70-3P 541550-71-4P 541550-72-5P 541550-73-6P 541550-74-7P  
541550-75-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of morpholinopyrimidine derivs. as interleukin-12 inhibitors)

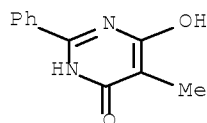
IT 99984-72-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of morpholinopyrimidine derivs. as interleukin-12 inhibitors)

RN 99984-72-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-5-methyl-2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 13 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:334911 HCAPLUS Full-text

DOCUMENT NUMBER: 138:354000

TITLE: Preparation of dihydroxypyrimidine carboxamide inhibitors of HIV integrase

INVENTOR(S): Di Francesco, Maria Emilia; Gardelli, Cristina; Harper, Steven; Matassa, Victor Giulio; Muraglia, Ester; Nizi, Emanuela; Pace, Paola; Pacini, Barbara; Petrocchi, Alessia; Poma, Marco; Summa, Vincenzo

PATENT ASSIGNEE(S): Istituto Di Ricerche Di Biologia Molecolare P. Angeletti Spa, Italy

SOURCE: PCT Int. Appl., 315 pp.

**Serial#: 10/595,734**

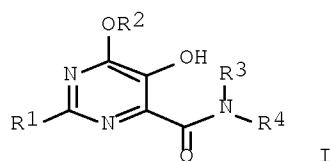
DOCUMENT TYPE: CODEN: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: English 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035076	A1	20030501	WO 2002-GB4742	20021021 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2463975	A1	20030501	CA 2002-2463975	20021021 <--
AU 2002334205	A1	20030506	AU 2002-334205	20021021 <--
AU 2002334205	B2	20070705		
EP 1441734	A1	20040804	EP 2002-801949	20021021 <--
EP 1441734	B1	20070228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005510500	T	20050421	JP 2003-537643	20021021 <--
JP 4351053	B2	20091028		
AT 355064	T	20060315	AT 2002-801949	20021021 <--
PT 1441734	E	20070531	PT 2002-801949	20021021 <--
ES 2281565	T3	20071001	ES 2002-801949	20021021 <--
US 20050075356	A1	20050407	US 2004-493279	20040420 <--
US 7232819	B2	20070619		
US 20070083045	A1	20070412	US 2006-516831	20060907 <--
US 7459452	B2	20081202		
PRIORITY APPLN. INFO.:			US 2001-348195P	P 20011026 <--
			WO 2002-GB4742	W 20021021 <--
			US 2004-493279	A3 20040420

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 138:354000

GI



AB The title 4,5-dihydroxypyrimidine-6-carboxamides [I; R1 = H, alkyl, haloalkyl, alkoxy, etc.; R2 = H, alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = H, alkyl; R4 = H, alkyl, haloalkyl, etc.] which are inhibitors of HIV integrase and inhibitors of HIV replication, and therefore are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS, were prepared Thus, refluxing N-hydroxythiophene-2-carboximidamide with di-Me acetylenedicarboxylate in CHCl<sub>3</sub> followed by



**Serial#: 10/595,734**

reacting the resulting Me 5,6-dihydroxy-2-(2-thienyl)pyrimidine-4-carboxylate with 4-fluorobenzylamine in DMF afforded I [R1 = 2-thienyl; R2 = H; R3 = 4-FC6H4CH2; R4 = H]. The compds. I are employed against HIV infection and AIDS as compds. per se or in the form of pharmaceutically acceptable salts. The compds. I and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines. IPCI A61K0031-513 [ICM,7]; A61K0031-5377 [ICS,7]; A61K0031-5375 [ICS,7,C\*];

A61K0031-541 [ICS,7]; C07D0239-52 [ICS,7]; C07D0239-557 [ICS,7]; C07D0239-00 [ICS,7,C\*]; C07D0401-04 [ICS,7]; C07D0401-06 [ICS,7]; C07D0401-12 [ICS,7]; C07D0401-14 [ICS,7]; C07D0401-00 [ICS,7,C\*]; C07D0403-04 [ICS,7]; C07D0403-12 [ICS,7]; C07D0403-14 [ICS,7]; C07D0403-00 [ICS,7,C\*]; C07D0405-04 [ICS,7]; C07D0405-00 [ICS,7,C\*]; C07D0413-04 [ICS,7]; C07D0413-00 [ICS,7,C\*]; C07D0409-04 [ICS,7]; C07D0409-00 [ICS,7,C\*]

IPCR C07D0239-54 [I,A]; A61K0031-505 [I,C\*]; A61K0031-505 [I,A]; A61K0031-506 [I,C\*]; A61K0031-506 [I,A]; A61K0031-513 [I,C\*]; A61K0031-513 [I,A]; A61K0031-5375 [I,C\*]; A61K0031-5377 [I,A]; A61K0045-00 [I,C\*]; A61K0045-00 [I,A]; A61K0045-06 [I,A]; A61P0031-00 [I,C\*]; A61P0031-18 [I,A]; A61P0043-00 [I,C\*]; A61P0043-00 [I,A]; C07D0239-00 [I,C\*]; C07D0239-557 [I,A]; C07D0401-00 [I,C\*]; C07D0401-04 [I,A]; C07D0401-06 [I,A]; C07D0401-10 [I,A]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0403-00 [I,C\*]; C07D0403-04 [I,A]; C07D0403-06 [I,A]; C07D0403-10 [I,A]; C07D0403-12 [I,A]; C07D0403-14 [I,A]; C07D0405-00 [I,C\*]; C07D0405-04 [I,A]; C07D0405-06 [I,A]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0409-00 [I,C\*]; C07D0409-04 [I,A]; C07D0409-06 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C\*]; C07D0413-04 [I,A]; C07D0413-06 [I,A]; C07D0413-10 [I,A]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C\*]; C07D0417-04 [I,A]; C07D0417-14 [I,A]; C07D0471-00 [I,C\*]; C07D0471-04 [I,A]; C07D0471-08 [I,A]; C07D0487-00 [I,C\*]; C07D0487-08 [I,A]; C07D0491-00 [I,C\*]; C07D0491-10 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63

IT	519021-34-2P	519021-35-3P	519021-36-4P	519021-37-5P	519021-38-6P
	519021-39-7P	519021-40-0P	519021-41-1P	519021-42-2P	519021-43-3P
	519021-44-4P	519021-45-5P	519021-46-6P	519021-47-7P	519021-48-8P
	519021-49-9P	519021-50-2P	519021-51-3P	519021-52-4P	519021-53-5P
	519021-54-6P	519021-55-7P	519021-56-8P	519021-57-9P	519021-58-0P
	519021-59-1P	519021-60-4P	519021-61-5P	519021-62-6P	519021-63-7P
	519021-64-8P	519021-65-9P	519021-66-0P	519021-67-1P	519021-68-2P
	519021-69-3P	519021-70-6P	519021-71-7P	519021-72-8P	519021-73-9P
	519021-74-0P	519021-75-1P	519021-76-2P	519021-77-3P	519021-78-4P
	519021-79-5P	519021-80-8P	519021-81-9P	519021-82-0P	519021-83-1P
	519021-84-2P	519021-85-3P	519021-86-4P	519021-87-5P	519021-88-6P
	519021-89-7P	519021-90-0P	519021-91-1P	519021-92-2P	519021-93-3P
	519021-94-4P	519021-95-5P	519021-96-6P	519021-97-7P	519021-98-8P
	519021-99-9P	519022-00-5P	519022-01-6P	519022-02-7P	519022-03-8P
	519022-04-9P	519022-05-0P	519022-06-1P	519022-07-2P	519022-08-3P
	519022-09-4P	519022-10-7P	519022-11-8P	519022-12-9P	519022-13-0P
	519022-14-1P	519022-15-2P	519022-16-3P	519022-17-4P	519022-18-5P
	519022-19-6P	519022-20-9P	519022-21-0P	519022-22-1P	519022-23-2P
	519022-24-3P	519022-25-4P	519022-26-5P	519022-27-6P	519022-28-7P
	519022-29-8P	519022-30-1P	519022-31-2P	519022-32-3P	519022-33-4P
	519022-34-5P	519022-35-6P	519022-36-7P	519022-37-8P	519022-38-9P
	519022-39-0P	519022-40-3P	519022-41-4P	519022-42-5P	519022-43-6P
	519022-44-7P	519022-45-8P	519022-46-9P	519022-47-0P	519022-48-1P
	519022-49-2P	519022-50-5P	519022-51-6P	519022-52-7P	519022-53-8P
	519022-54-9P	519022-55-0P	519022-56-1P	519022-57-2P	519022-58-3P
	519022-59-4P	519022-61-8P	519022-63-0P	519022-65-2P	519022-66-3P
	519022-67-4P	519022-68-5P	519022-70-9P	519022-71-0P	519022-72-1P
	519022-73-2P	519022-74-3P	519022-75-4P	519022-76-5P	519022-77-6P
	519022-78-7P	519022-79-8P	519022-80-1P	519022-81-2P	519022-82-3P

**Serial#: 10/595,734**

519022-83-4P	519022-84-5P	519022-85-6P	519022-86-7P	519022-87-8P
519022-88-9P	519022-89-0P	519022-90-3P	519022-91-4P	519022-92-5P
519022-93-6P	519022-95-8P	519022-97-0P	519022-98-1P	519022-99-2P
519023-00-8P	519023-01-9P	519023-02-0P	519023-03-1P	519023-04-2P
519023-05-3P	519023-07-5P	519023-09-7P	519023-10-0P	519023-11-1P
519023-12-2P	519023-13-3P	519023-14-4P	519023-15-5P	519023-16-6P
519023-17-7P	519023-18-8P	519023-19-9P	519023-20-2P	519023-21-3P
519023-22-4P	519023-23-5P	519023-24-6P	519023-25-7P	519023-26-8P
519023-27-9P	519023-28-0P	519023-29-1P	519023-30-4P	519023-31-5P
519023-32-6P	519023-33-7P	519023-34-8P	519023-35-9P	519023-36-0P
519023-37-1P	519023-38-2P	519023-39-3P	519023-40-6P	519023-41-7P
519023-42-8P	519023-43-9P	519023-44-0P	519023-45-1P	519023-46-2P
519023-47-3P	519023-48-4P	519023-49-5P	519023-50-8P	519023-51-9P
519023-52-0P	519023-53-1P	519023-54-2P	519023-55-3P	
519023-56-4P	519023-57-5P	519023-58-6P		
519023-59-7P	519023-60-0P	519023-61-1P		
519023-62-2P	519023-63-3P	519023-64-4P		
519023-65-5P	519023-66-6P	519023-67-7P		
519023-68-8P	519023-69-9P	519023-70-2P		
519023-71-3P	519023-72-4P	519023-73-5P		
519023-75-7P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dihydroxypyrimidine carboxamide inhibitors of HIV integrase)

IT	519023-77-9P	519023-78-0P	519023-79-1P		
	519023-80-4P	519023-82-6P	519023-83-7P		
	519023-85-9P	519023-86-0P	519023-87-1P		
	519023-88-2P	519023-89-3P	519023-90-6P		
	519023-91-7P	519023-92-8P	519023-93-9P		
	519023-95-1P	519023-96-2P	519023-97-3P		
	519023-98-4P	519023-99-5P	519024-00-1P		
	519024-02-3P	519024-04-5P	519024-05-6P		
	519024-07-8P	519024-08-9P	519024-09-0P		
	519024-11-4P	519024-13-6P	519024-14-7P		
	519024-15-8P	519024-16-9P	519024-17-0P		
	519024-19-2P	519024-20-5P	519024-22-7P		
	519024-24-9P	519024-26-1P	519024-28-3P		
	519024-30-7P	519024-32-9P	519024-33-0P		
	519024-34-1P	519024-35-2P	519024-37-4P		
	519024-38-5P	519024-39-6P	519024-40-9P		
	519024-41-0P	519024-43-2P	519024-45-4P		
	519024-47-6P	519024-49-8P	519024-51-2P		
	519024-53-4P	519024-54-5P	519024-55-6P		
	519024-57-8P	519024-59-0P	519024-61-4P		
	519024-63-6P	519024-65-8P	519024-67-0P		
	519024-68-1P	519024-69-2P	519024-70-5P		
	519024-71-6P	519024-72-7P	519024-73-8P		
	519024-75-0P	519024-77-2P	519024-79-4P		
	519024-81-8P	519024-83-0P	519024-85-2P		
	519024-87-4P	519024-89-6P	519024-91-0P		
	519024-92-1P	519024-93-2P	519024-95-4P		
	519024-97-6P	519024-99-8P	519025-01-5P		
	519025-02-6P	519025-03-7P	519025-04-8P		
	519025-05-9P	519025-07-1P	519025-09-3P		
	519025-11-7P	519025-13-9P	519025-14-0P		
	519025-15-1P	519025-16-2P	519025-17-3P		
	519025-18-4P	519025-19-5P	519025-21-9P		
	519025-22-0P	519025-23-1P	519025-25-3P		
	519025-27-5P	519025-28-6P	519025-29-7P	519025-30-0P	
	519025-31-1P	519025-32-2P	519025-33-3P	519025-34-4P	519025-36-6P

**Serial#: 10/595,734**

519025-38-8P	519025-39-9P	519025-41-3P	519025-42-4P	519025-43-5P
519025-44-6P	519025-45-7P	519025-46-8P	519025-47-9P	519025-48-0P
519025-49-1P	519025-50-4P	519025-51-5P	519025-52-6P	519025-53-7P
519025-54-8P	519025-55-9P	519025-57-1P	519025-58-2P	519025-59-3P
519025-60-6P	519025-61-7P	519025-62-8P	519025-63-9P	519025-65-1P
519025-66-2P	519025-67-3P	519025-68-4P	519025-69-5P	519025-70-8P
519025-71-9P	519025-72-0P	519025-73-1P	519025-74-2P	519025-75-3P
519025-76-4P	519025-77-5P	519025-78-6P	519025-79-7P	519025-80-0P
519025-81-1P	519025-82-2P	519025-83-3P	519025-84-4P	519025-85-5P
519025-86-6P	519025-87-7P	519025-88-8P	519025-89-9P	519025-91-3P
519025-92-4P	519025-93-5P	519025-95-7P	519025-96-8P	519025-97-9P
519025-98-0P	519025-99-1P	519026-00-7P	519026-02-9P	519026-05-2P
519026-06-3P	519026-07-4P	519026-08-5P	519026-09-6P	519026-10-9P
519026-11-0P	519026-12-1P	519026-13-2P	519026-14-3P	519026-15-4P
519026-16-5P	519026-17-6P	519026-18-7P	519026-19-8P	519026-20-1P
519026-21-2P	519026-23-4P	519026-25-6P	519026-26-7P	519026-27-8P
519026-28-9P	519026-29-0P	519026-30-3P	519026-31-4P	519026-32-5P
519026-34-7P	519026-35-8P	519026-36-9P	519026-37-0P	519026-39-2P
519026-40-5P	519026-41-6P	519026-43-8P	519026-45-0P	519026-47-2P
519026-49-4P	519026-50-7P	519026-51-8P	519026-52-9P	519026-53-0P
519026-54-1P	519026-55-2P	519026-56-3P	519026-57-4P	519026-58-5P
519026-59-6P	519026-60-9P	519026-61-0P	519026-62-1P	519026-63-2P
519026-64-3P	519026-65-4P	519026-66-5P	519026-67-6P	519026-68-7P
519026-69-8P	519026-70-1P	519026-71-2P	519026-72-3P	519026-74-5P
519026-75-6P	519026-76-7P			

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

IT (preparation of dihydroxypyrimidine carboxamide inhibitors of HIV integrase)  
93-97-0, Benzoic anhydride 98-88-4, Benzoyl chloride 98-98-6, Picolinic acid 109-89-7, Diethylamine, reactions 110-91-8, Morpholine, reactions 140-75-0, 4-Fluorobenzylamine 762-42-5, Dimethyl acetylenedicarboxylate 3240-94-6, 4-(2-Chloroethyl)morpholine 3731-51-9, 2-Picolylamine 4393-09-3, 2,3-Dimethoxybenzylamine 53370-51-7, N-Hydroxythiophene-2-carboximidamide 64900-65-8, 2-Chlorobenzenesulfonyl isocyanate 77873-76-8, 3-Morpholinecarboxylic acid 121370-60-3 144688-70-0, tert-Butyl 2-cyanopyrrolidine-1-carboxylate 172843-97-9 182137-48-0  
519032-06-5 519032-07-6 519032-08-7 519032-09-8  
519032-10-1, 4-Fluoro-2-(methylsulfonyl)benzylamine 519032-11-2  
519032-12-3 519032-13-4 519032-14-5 519032-15-6 519032-16-7  
519032-17-8, Methyl 2-benzyl-5-[(tert-butoxycarbonyl)oxy]-6-hydroxypyrimidine-4-carboxylate

RL: RCT (Reactant); RACT (Reactant or reagent)

IT (preparation of dihydroxypyrimidine carboxamide inhibitors of HIV integrase)  
519023-55-3P 519023-56-4P 519023-57-5P  
519023-58-6P 519023-59-7P 519023-60-0P  
519023-61-1P 519023-62-2P 519023-63-3P  
519023-64-4P 519023-65-5P 519023-66-6P  
519023-67-7P 519023-68-8P 519023-69-9P  
519023-70-2P 519023-71-3P 519023-72-4P  
519023-73-5P 519023-75-7P 519023-77-9P  
519023-78-0P 519023-79-1P 519023-80-4P  
519023-82-6P 519023-83-7P 519023-85-9P  
519023-86-0P 519023-87-1P 519023-88-2P  
519023-89-3P 519023-90-6P 519023-91-7P  
519023-92-8P 519023-93-9P 519023-95-1P  
519023-96-2P 519023-97-3P 519023-98-4P  
519023-99-5P 519024-00-1P 519024-02-3P  
519024-04-5P 519024-05-6P 519024-07-8P  
519024-08-9P 519024-09-0P 519024-11-4P

**Serial#: 10/595,734**

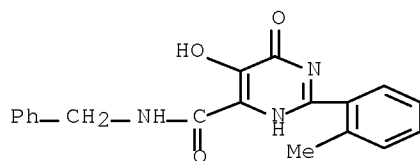
519024-13-6P	519024-14-7P	519024-15-8P
519024-16-9P	519024-17-0P	519024-19-2P
519024-20-5P	519024-22-7P	519024-24-9P
519024-26-1P	519024-28-3P	519024-30-7P
519024-32-9P	519024-33-0P	519024-34-1P
519024-35-2P	519024-37-4P	519024-38-5P
519024-39-6P	519024-40-9P	519024-41-0P
519024-43-2P	519024-45-4P	519024-47-6P
519024-49-8P	519024-51-2P	519024-53-4P
519024-54-5P	519024-55-6P	519024-57-8P
519024-59-0P	519024-61-4P	519024-63-6P
519024-65-8P	519024-67-0P	519024-68-1P
519024-69-2P	519024-70-5P	519024-71-6P
519024-72-7P	519024-73-8P	519024-75-0P
519024-77-2P	519024-79-4P	519024-81-8P
519024-83-0P	519024-85-2P	519024-87-4P
519024-89-6P	519024-91-0P	519024-92-1P
519024-93-2P	519024-95-4P	519024-97-6P
519024-99-8P	519025-01-5P	519025-02-6P
519025-03-7P	519025-04-8P	519025-05-9P
519025-07-1P	519025-09-3P	519025-11-7P
519025-13-9P	519025-14-0P	519025-15-1P
519025-16-2P	519025-17-3P	519025-18-4P
519025-19-5P	519025-21-9P	519025-22-0P
519025-23-1P	519025-25-3P	519025-27-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dihydroxypyrimidine carboxamide inhibitors of HIV integrase)

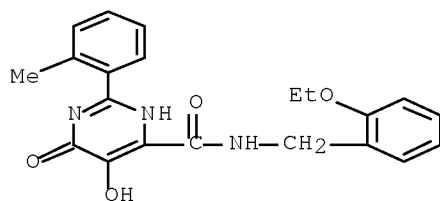
RN 519023-55-3 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-2-(2-methylphenyl)-6-oxo-N-(phenylmethyl)- (CA INDEX NAME)



RN 519023-56-4 HCAPLUS

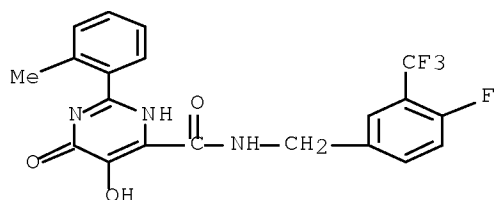
CN 4-Pyrimidinecarboxamide, N-[(2-ethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-2-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



**Serial#: 10/595,734**

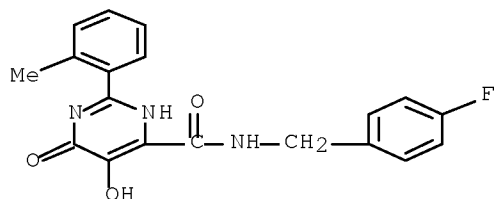
RN 519023-57-5 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-1,6-dihydro-5-hydroxy-2-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



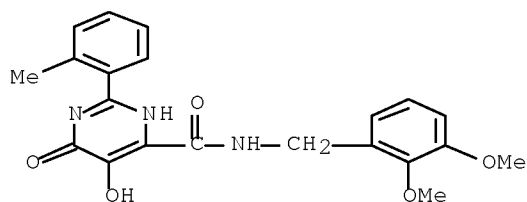
RN 519023-58-6 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519023-59-7 HCAPLUS

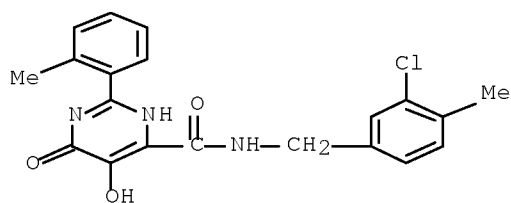
CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-2-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519023-60-0 HCAPLUS

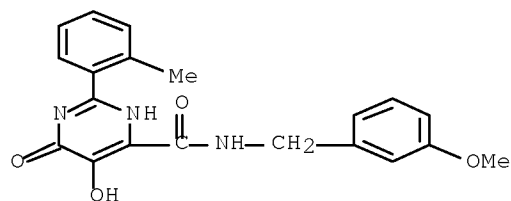
CN 4-Pyrimidinecarboxamide, N-[(3-chloro-4-methylphenyl)methyl]-1,6-dihydro-5-hydroxy-2-(2-methylphenyl)-6-oxo- (CA INDEX NAME)

**Serial#: 10/595,734**



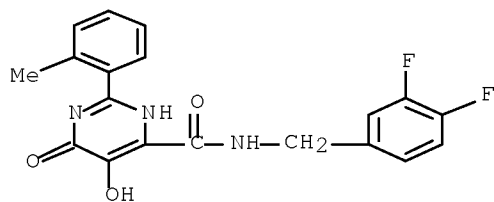
RN 519023-61-1 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-N-[(3-methoxyphenyl)methyl]-2-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



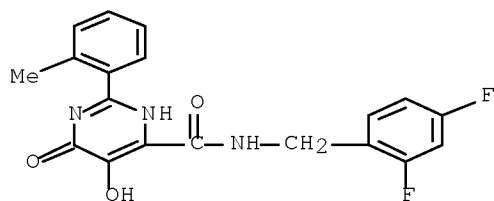
RN 519023-62-2 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(3,4-difluorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519023-63-3 HCAPLUS

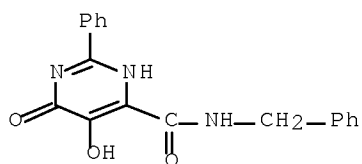
CN 4-Pyrimidinecarboxamide, N-[(2,4-difluorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



**Serial#: 10/595,734**

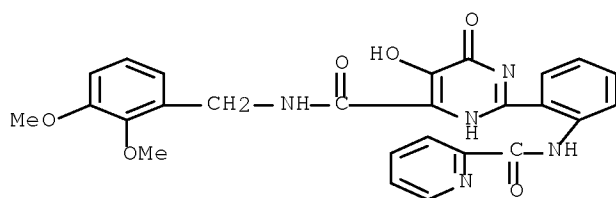
RN 519023-64-4 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-6-oxo-2-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



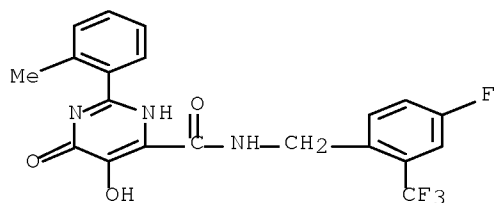
RN 519023-65-5 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[2-[(2-pyridinylcarbonyl)amino]phenyl]- (CA INDEX NAME)



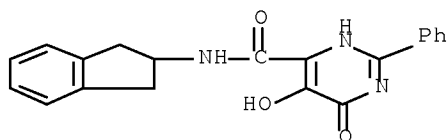
RN 519023-66-6 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[[4-fluoro-2-(trifluoromethyl)phenyl]methyl]-1,6-dihydro-5-hydroxy-2-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519023-67-7 HCAPLUS

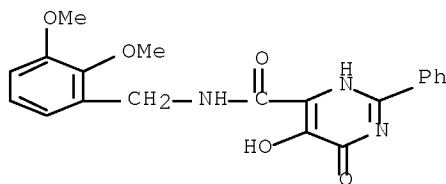
CN 4-Pyrimidinecarboxamide, N-(2,3-dihydro-1H-inden-2-yl)-1,6-dihydro-5-hydroxy-6-oxo-2-phenyl- (CA INDEX NAME)



**Serial#: 10/595,734**

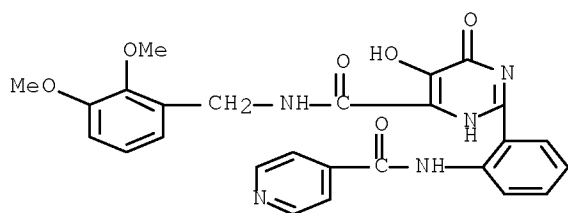
RN 519023-68-8 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-phenyl- (CA INDEX NAME)



RN 519023-69-9 HCAPLUS

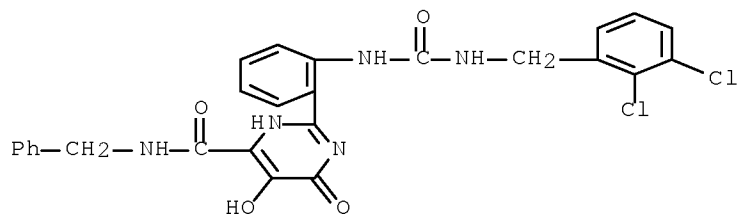
CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[2-[(4-pyridinylcarbonyl)amino]phenyl]-, hydrochloride (1:?) (CA INDEX NAME)



● x HCl

RN 519023-70-2 HCAPLUS

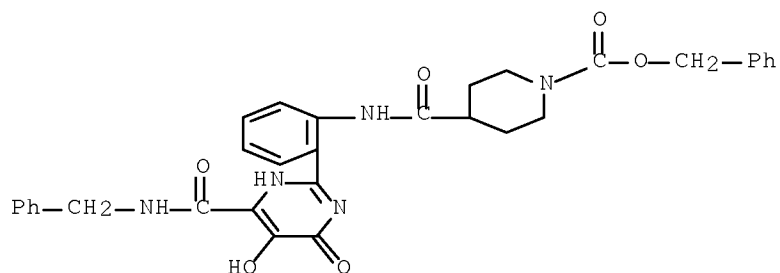
CN 4-Pyrimidinecarboxamide, 2-[2-[[[(2,3-dichlorophenyl)methyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-N-(phenylmethyl)- (CA INDEX NAME)



RN 519023-71-3 HCAPLUS

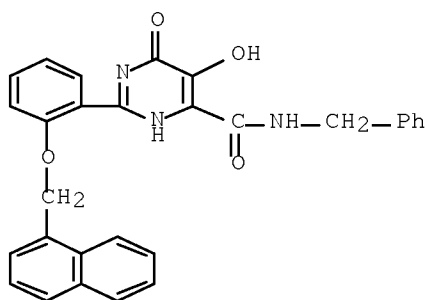
CN 1-Piperidinecarboxylic acid, 4-[[[2-[1,6-dihydro-5-hydroxy-6-oxo-4-[[[(phenylmethyl)amino]carbonyl]-2-pyrimidinyl]phenyl]amino]carbonyl]-, phenylmethyl ester (CA INDEX NAME)





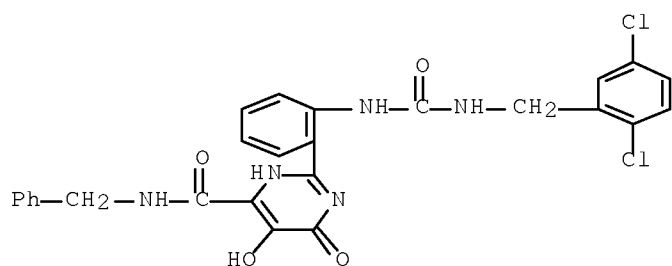
RN 519023-72-4 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-2-[2-(1-naphthalenylmethoxy)phenyl]-6-oxo-N-(phenylmethyl)- (CA INDEX NAME)



RN 519023-73-5 HCAPLUS

CN 4-Pyrimidinecarboxamide, 2-[2-[[[(2,5-dichlorophenyl)methyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-N-(phenylmethyl)- (CA INDEX NAME)



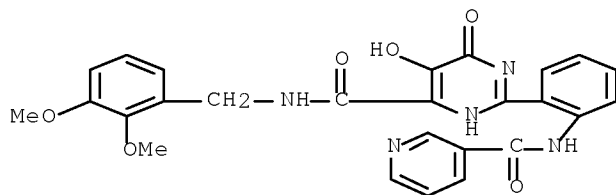
RN 519023-75-7 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[2-[(3-pyridinylcarbonyl)amino]phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

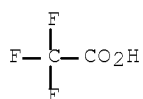
**Serial#: 10/595,734**

CRN 519023-74-6  
CMF C26 H23 N5 O6



CM 2

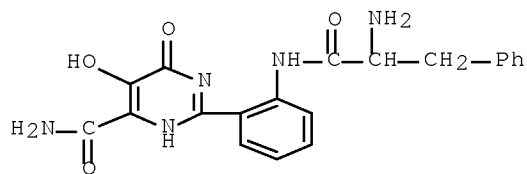
CRN 76-05-1  
CMF C2 H F3 O2



RN 519023-77-9 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 2-[2-[(2-amino-1-oxo-3-phenylpropyl)amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

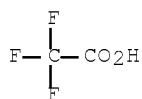
CM 1

CRN 519023-76-8  
CMF C20 H19 N5 O4



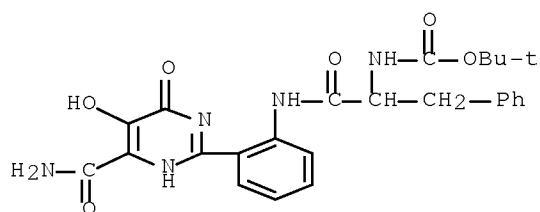
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



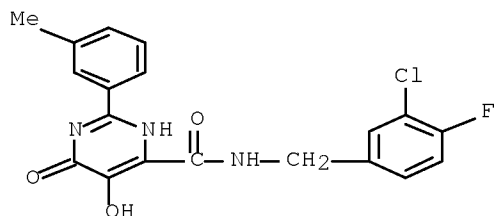
RN 519023-78-0 HCAPLUS

CN Carbamic acid, [2-[[2-[6-(aminocarbonyl)-1,4-dihydro-5-hydroxy-4-oxo-2-pyrimidinyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



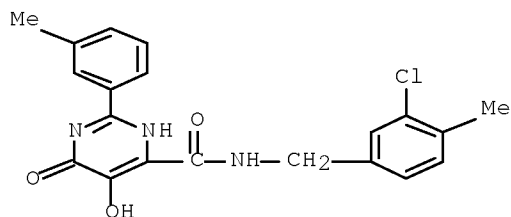
RN 519023-79-1 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(3-chloro-4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-(3-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519023-80-4 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(3-chloro-4-methylphenyl)methyl]-1,6-dihydro-5-hydroxy-2-(3-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519023-82-6 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-

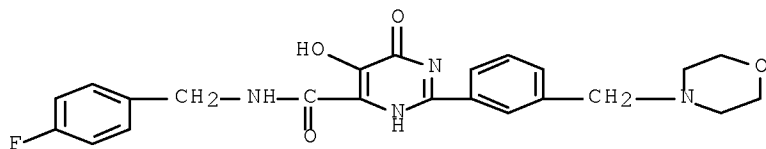
**Serial#: 10/595,734**

2-[3-(4-morpholinylmethyl)phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?)  
(CA INDEX NAME)

CM 1

CRN 519023-81-5

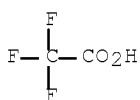
CMF C23 H23 F N4 O4



CM 2

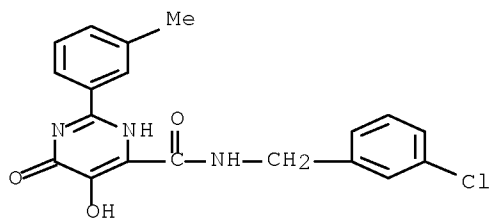
CRN 76-05-1

CMF C2 H F3 O2



RN 519023-83-7 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(3-chlorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-(3-methylphenyl)-6-oxo- (CA INDEX NAME)



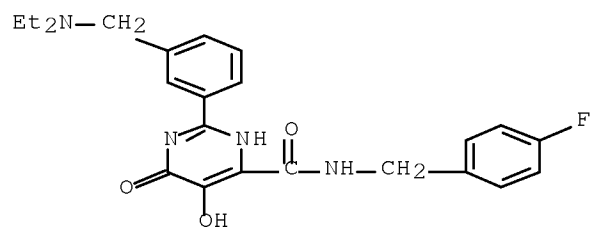
RN 519023-85-9 HCAPLUS

CN 4-Pyrimidinecarboxamide, 2-[3-[(diethylamino)methyl]phenyl]-N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

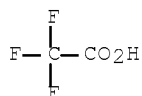
CRN 519023-84-8

CMF C23 H25 F N4 O3

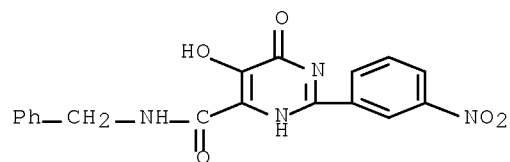


CM 2

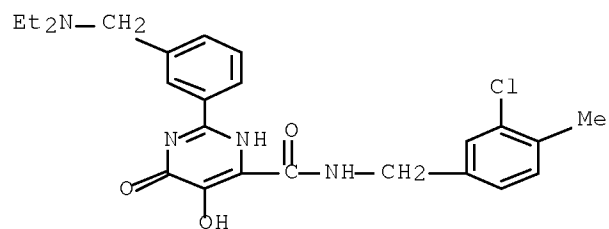
CRN 76-05-1  
CMF C2 H F3 O2



RN 519023-86-0 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-2-(3-nitrophenyl)-6-oxo-N-(phenylmethyl)- (CA INDEX NAME)



RN 519023-87-1 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(3-chloro-4-methylphenyl)methyl]-2-[3-[(diethylamino)methyl]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-, hydrochloride (1:?) (CA INDEX NAME)

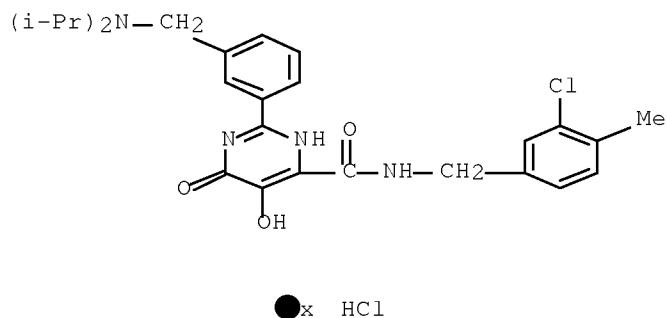


●x HCl

**Serial#: 10/595,734**

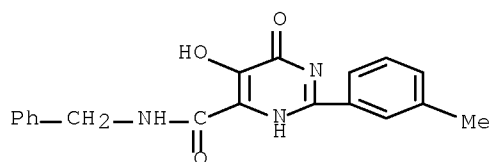
RN 519023-88-2 HCAPLUS

CN 4-Pyrimidinecarboxamide, 2-[3-[[bis(1-methylethyl)amino]methyl]phenyl]-N-  
[(3-chloro-4-methylphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-,  
hydrochloride (1:?) (CA INDEX NAME)



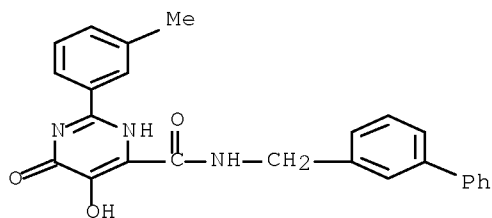
RN 519023-89-3 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-2-(3-methylphenyl)-6-oxo-N-  
(phenylmethyl)- (CA INDEX NAME)



RN 519023-90-6 HCAPLUS

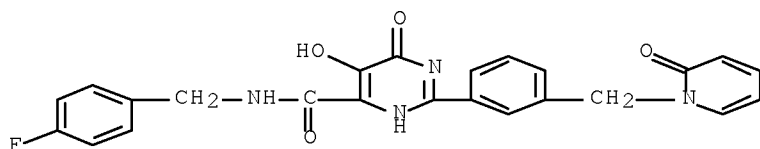
CN 4-Pyrimidinecarboxamide, N-([1,1'-biphenyl]-3-ylmethyl)-1,6-dihydro-5-  
hydroxy-2-(3-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519023-91-7 HCAPLUS

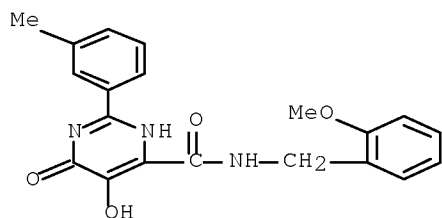
CN 4-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-  
6-oxo-2-[3-[(2-oxo-1(2H)-pyridinyl)methyl]phenyl]- (CA INDEX NAME)

**Serial#: 10/595,734**



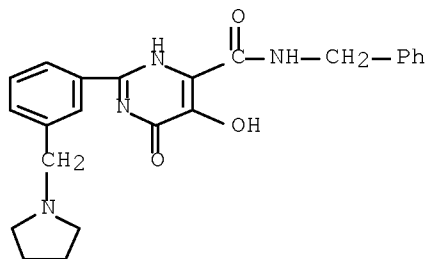
RN 519023-92-8 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-N-[(2-methoxyphenyl)methyl]-2-(3-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519023-93-9 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-6-oxo-N-(phenylmethyl)-2-[3-(1-pyrrolidinylmethyl)phenyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

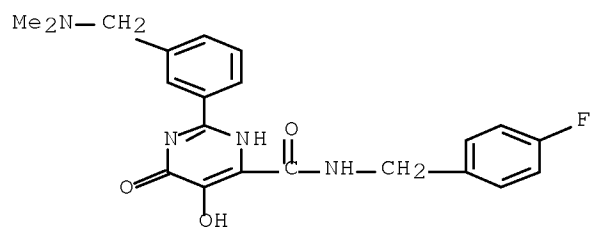
RN 519023-95-1 HCAPLUS

CN 4-Pyrimidinecarboxamide, 2-[3-[(dimethylamino)methyl]phenyl]-N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519023-94-0

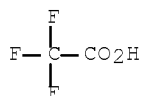
CMF C21 H21 F N4 O3



CM 2

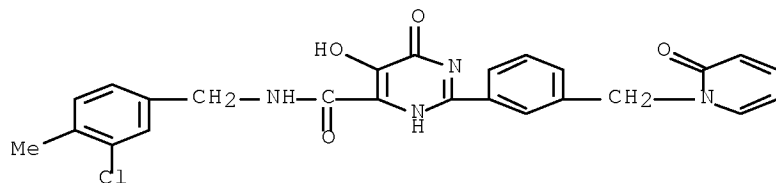
CRN 76-05-1

CMF C2 H F3 O2



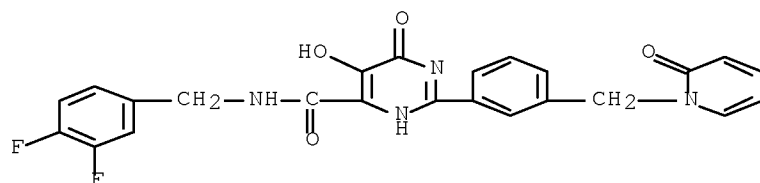
RN 519023-96-2 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(3-chloro-4-methylphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[3-[(2-oxo-1(2H)-pyridinyl)methyl]phenyl]- (CA INDEX NAME)



RN 519023-97-3 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(3,4-difluorophenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[3-[(2-oxo-1(2H)-pyridinyl)methyl]phenyl]- (CA INDEX NAME)

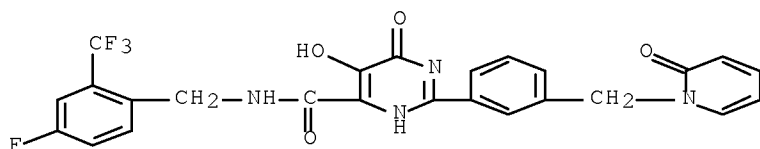




**Serial#: 10/595,734**

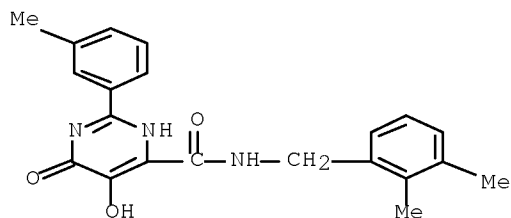
RN 519023-98-4 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[[4-fluoro-2-(trifluoromethyl)phenyl]methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[3-[(2-oxo-1(2H)-pyridinyl)methyl]phenyl]-(CA INDEX NAME)



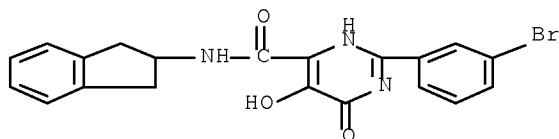
RN 519023-99-5 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethylphenyl)methyl]-1,6-dihydro-5-hydroxy-2-(3-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519024-00-1 HCAPLUS

CN 4-Pyrimidinecarboxamide, 2-(3-bromophenyl)-N-(2,3-dihydro-1H-inden-2-yl)-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



RN 519024-02-3 HCAPLUS

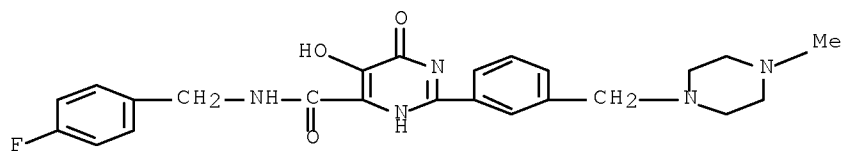
CN 4-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519024-01-2

CMF C24 H26 F N5 O3

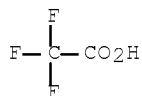
**Serial#: 10/595,734**



CM 2

CRN 76-05-1

CMF C2 H F3 O2



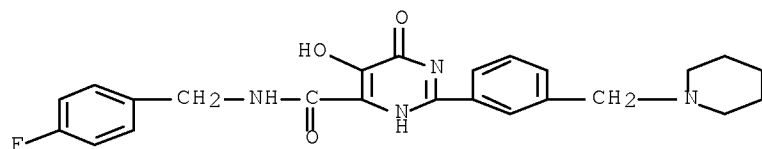
RN 519024-04-5 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[3-(1-piperidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:?)  
(CA INDEX NAME)

CM 1

CRN 519024-03-4

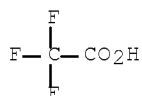
CMF C24 H25 F N4 O3



CM 2

CRN 76-05-1

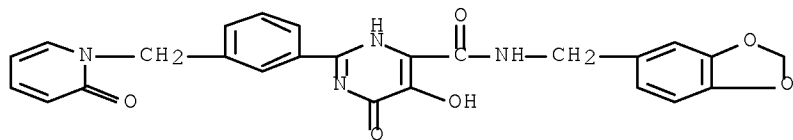
CMF C2 H F3 O2



**Serial#: 10/595,734**

RN 519024-05-6 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-(1,3-benzodioxol-5-ylmethyl)-1,6-dihydro-5-hydroxy-6-oxo-2-[3-[(2-oxo-1(2H)-pyridinyl)methyl]phenyl]- (CA INDEX NAME)



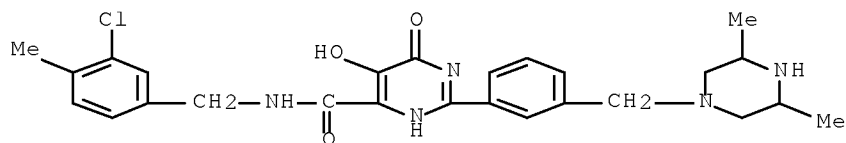
RN 519024-07-8 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(3-chloro-4-methylphenyl)methyl]-2-[3-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519024-06-7

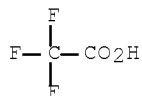
CMF C26 H30 Cl N5 O3



CM 2

CRN 76-05-1

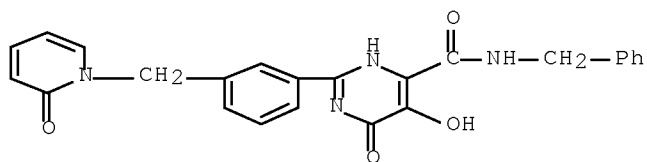
CMF C2 H F3 O2



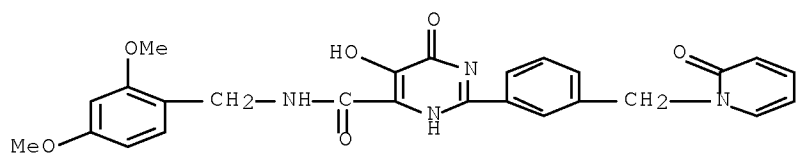
RN 519024-08-9 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-6-oxo-2-[3-[(2-oxo-1(2H)-pyridinyl)methyl]phenyl]-N-(phenylmethyl)- (CA INDEX NAME)

**Serial#: 10/595,734**



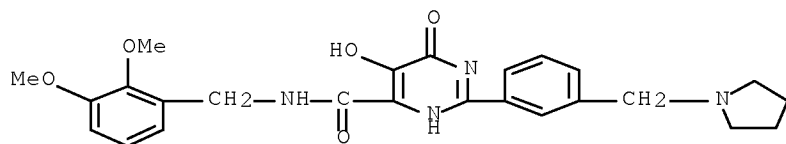
RN 519024-09-0 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(2,4-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[3-[(2-oxo-1(2H)-pyridinyl)methyl]phenyl]- (CA INDEX NAME)



RN 519024-11-4 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[3-(1-pyrrolidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

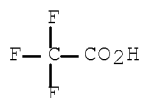
CM 1

CRN 519024-10-3  
CMF C25 H28 N4 O5



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

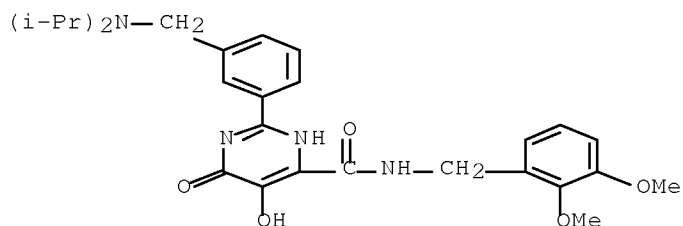


**Serial#: 10/595,734**

RN 519024-13-6 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 2-[3-[[bis(1-methylethyl)amino]methyl]phenyl]-N-  
[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-,  
2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

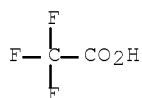
CM 1

CRN 519024-12-5  
CMF C27 H34 N4 O5

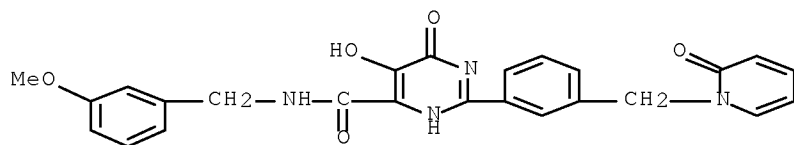


CM 2

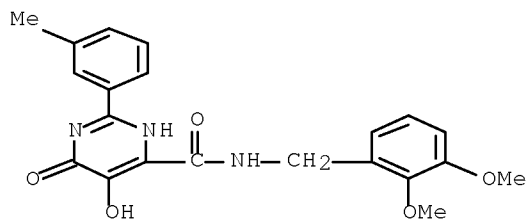
CRN 76-05-1  
CMF C2 H F3 O2



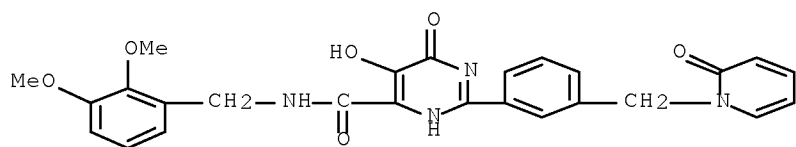
RN 519024-14-7 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-N-[(3-methoxyphenyl)methyl]-  
6-oxo-2-[3-[(2-oxo-1(2H)-pyridinyl)methyl]phenyl]- (CA INDEX NAME)



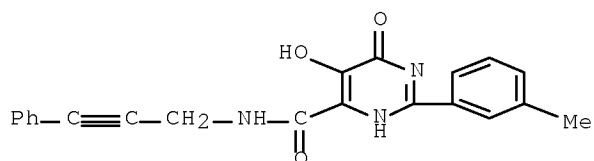
RN 519024-15-8 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-  
hydroxy-2-(3-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519024-16-9 HCAPLUS  
 CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[3-[(2-oxo-1(2H)-pyridinyl)methyl]phenyl]- (CA INDEX NAME)



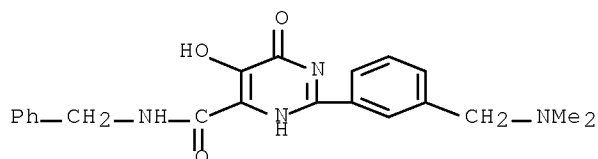
RN 519024-17-0 HCAPLUS  
 CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-2-(3-methylphenyl)-6-oxo-N-(3-phenyl-2-propyn-1-yl)- (CA INDEX NAME)



RN 519024-19-2 HCAPLUS  
 CN 4-Pyrimidinecarboxamide, 2-[3-[(dimethylamino)methyl]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-N-(phenylmethyl)-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

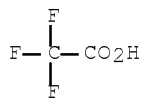
CM 1

CRN 519024-18-1  
 CMF C21 H22 N4 O3

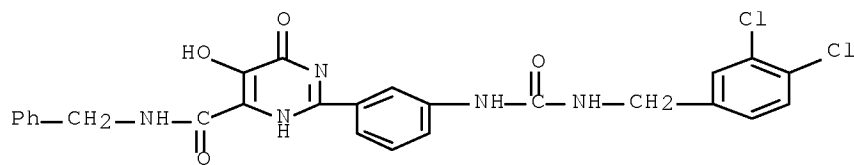


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



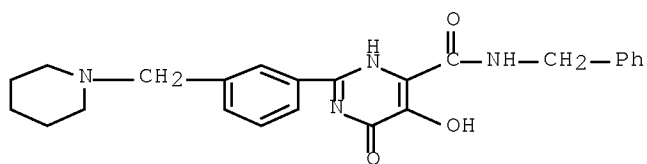
RN 519024-20-5 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 2-[3-[[[(3,4-dichlorophenyl)methyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-N-(phenylmethyl)- (CA INDEX NAME)



RN 519024-22-7 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-6-oxo-N-(phenylmethyl)-2-[3-(1-piperidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

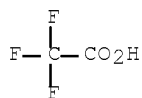
CM 1

CRN 519024-21-6  
CMF C24 H26 N4 O3



CM 2

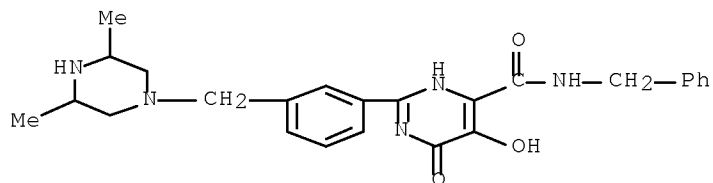
CRN 76-05-1  
CMF C2 H F3 O2



RN 519024-24-9 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 2-[3-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-N-(phenylmethyl)-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

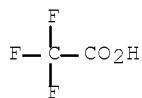
CM 1

CRN 519024-23-8  
CMF C25 H29 N5 O3



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



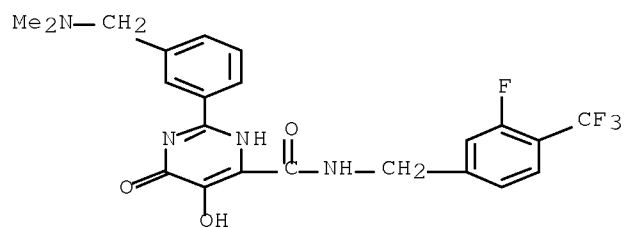
RN 519024-26-1 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 2-[3-[(dimethylamino)methyl]phenyl]-N-[[3-fluoro-4-(trifluoromethyl)phenyl]methyl]-1,6-dihydro-5-hydroxy-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519024-25-0  
CMF C22 H20 F4 N4 O3



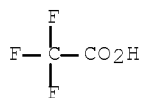
**Serial#: 10/595,734**



CM 2

CRN 76-05-1

CMF C2 H F3 O2



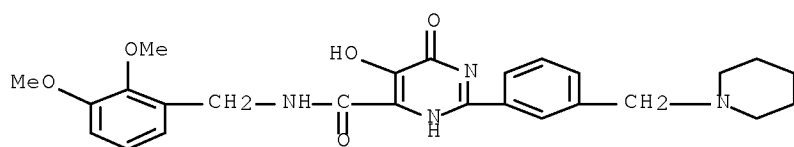
RN 519024-28-3 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[3-(1-piperidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519024-27-2

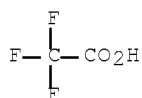
CMF C26 H30 N4 O5



CM 2

CRN 76-05-1

CMF C2 H F3 O2

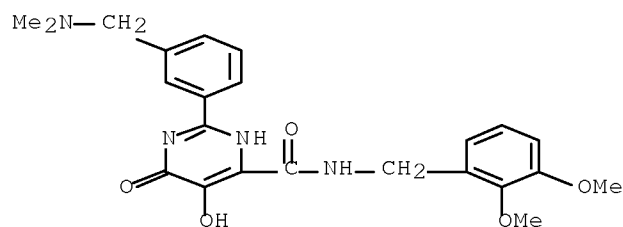


**Serial#: 10/595,734**

RN 519024-30-7 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-2-[3-[(dimethylamino)methyl]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

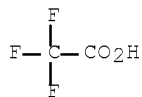
CM 1

CRN 519024-29-4  
CMF C23 H26 N4 O5



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

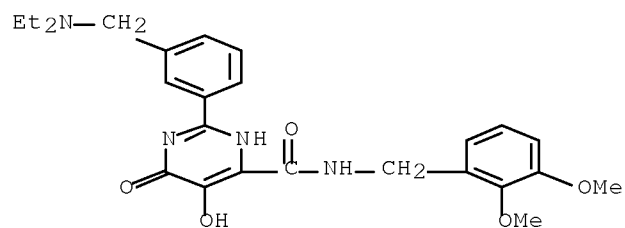


RN 519024-32-9 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 2-[3-[(diethylamino)methyl]phenyl]-N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519024-31-8  
CMF C25 H30 N4 O5

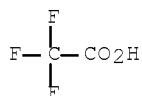
**Serial#: 10/595,734**



CM 2

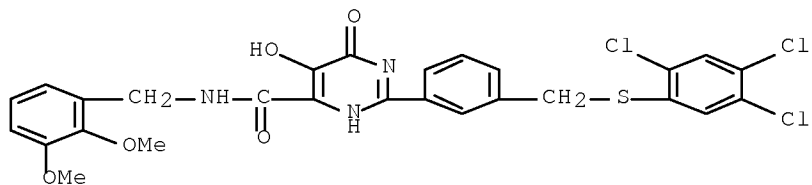
CRN 76-05-1

CMF C2 H F3 O2



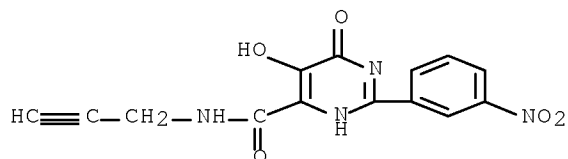
RN 519024-33-0 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[3-[(2,4,5-trichlorophenyl)thio]methyl]phenyl]- (CA INDEX NAME)



RN 519024-34-1 HCAPLUS

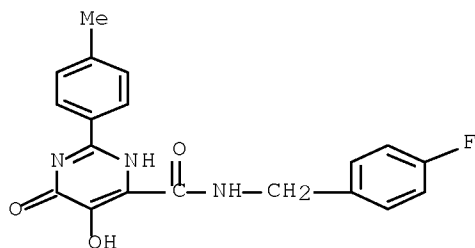
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-2-(3-nitrophenyl)-6-oxo-N-2-propyn-1-yl- (CA INDEX NAME)



RN 519024-35-2 HCAPLUS

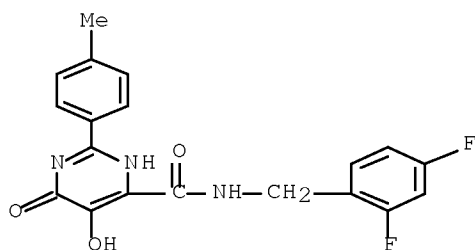
**Serial#: 10/595,734**

CN 4-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



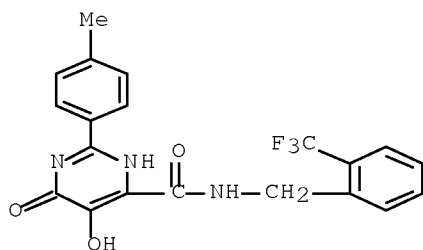
RN 519024-37-4 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(2,4-difluorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519024-38-5 HCAPLUS

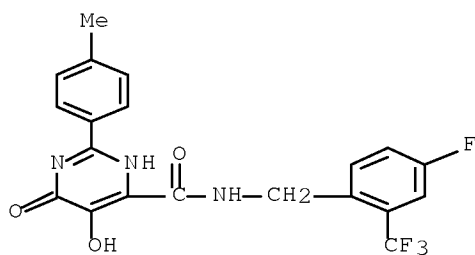
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo-N-[[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



RN 519024-39-6 HCAPLUS

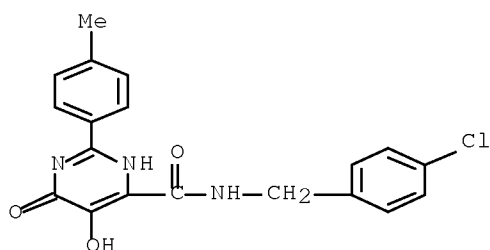
CN 4-Pyrimidinecarboxamide, N-[[4-fluoro-2-(trifluoromethyl)phenyl]methyl]-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)

**Serial#: 10/595,734**



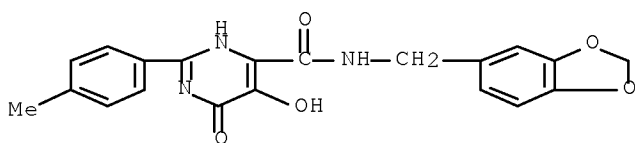
RN 519024-40-9 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(4-chlorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519024-41-0 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-(1,3-benzodioxol-5-ylmethyl)-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519024-43-2 HCAPLUS

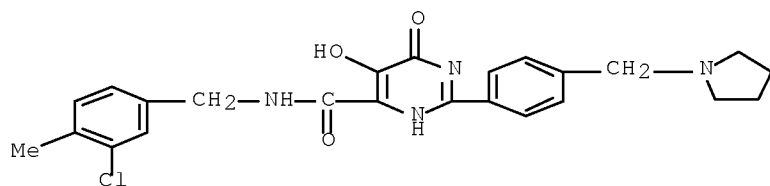
CN 4-Pyrimidinecarboxamide, N-[(3-chloro-4-methylphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[4-(1-pyrrolidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519024-42-1

CMF C24 H25 Cl N4 O3

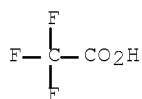
**Serial#: 10/595,734**



CM 2

CRN 76-05-1

CMF C2 H F3 O2



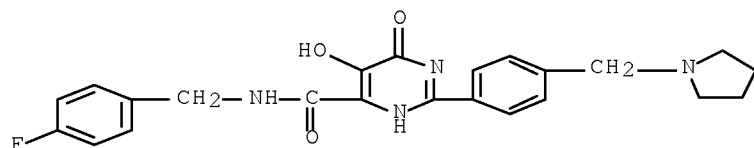
RN 519024-45-4 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[4-(1-pyrrolidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:?)  
(CA INDEX NAME)

CM 1

CRN 519024-44-3

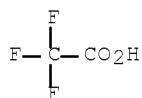
CMF C23 H23 F N4 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2

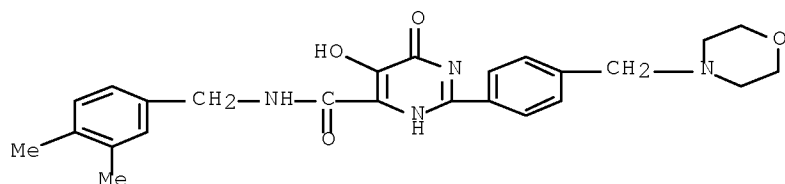


**Serial#: 10/595,734**

RN 519024-47-6 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(3,4-dimethylphenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-(4-morpholinylmethyl)phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

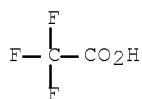
CM 1

CRN 519024-46-5  
CMF C25 H28 N4 O4



CM 2

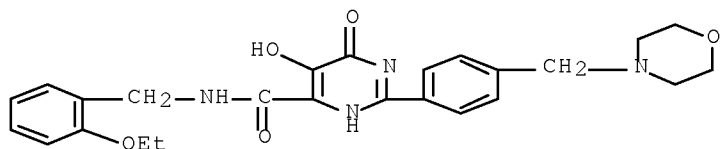
CRN 76-05-1  
CMF C2 H F3 O2



RN 519024-49-8 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(2-ethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-(4-morpholinylmethyl)phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

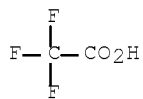
CRN 519024-48-7  
CMF C25 H28 N4 O5



CM 2

**Serial#: 10/595,734**

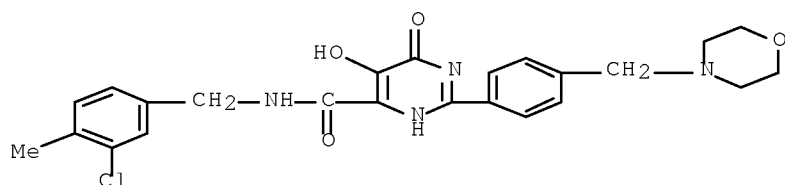
CRN 76-05-1  
CMF C2 H F3 O2



RN 519024-51-2 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(3-chloro-4-methylphenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-(4-morpholinylmethyl)phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

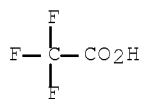
CM 1

CRN 519024-50-1  
CMF C24 H25 Cl N4 O4



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



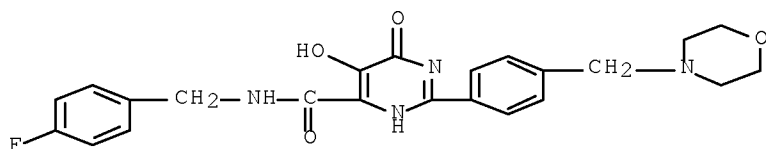
RN 519024-53-4 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-(4-morpholinylmethyl)phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519024-52-3  
CMF C23 H23 F N4 O4



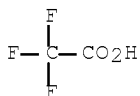
**Serial#: 10/595,734**



CM 2

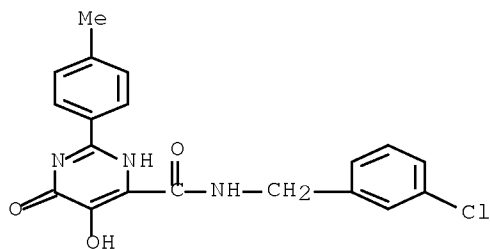
CRN 76-05-1

CMF C2 H F3 O2



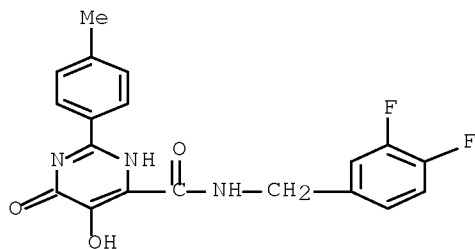
RN 519024-54-5 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(3-chlorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519024-55-6 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(3,4-difluorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519024-57-8 HCAPLUS

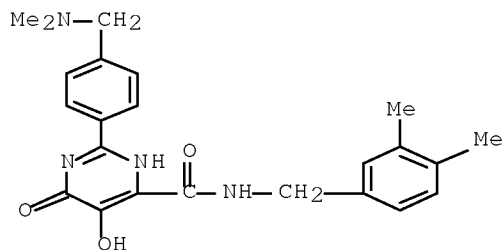
**Serial#: 10/595,734**

CN 4-Pyrimidinecarboxamide, 2-[4-[(dimethylamino)methyl]phenyl]-N-[(3,4-dimethylphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-,  
2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519024-56-7

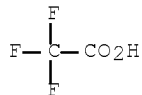
CMF C23 H26 N4 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



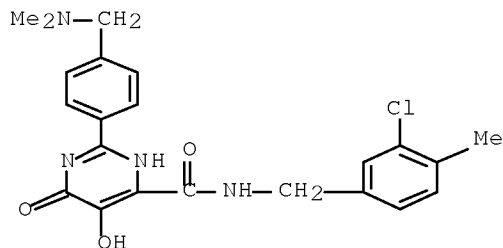
RN 519024-59-0 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(3-chloro-4-methylphenyl)methyl]-2-[4-[(dimethylamino)methyl]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-,  
2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

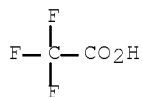
CRN 519024-58-9

CMF C22 H23 Cl N4 O3



CM 2

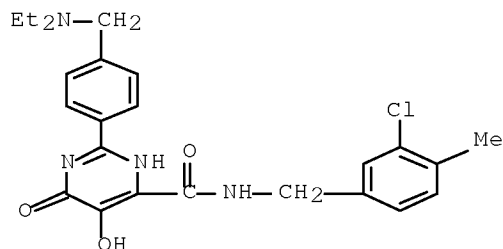
CRN 76-05-1  
CMF C2 H F3 O2



RN 519024-61-4 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(3-chloro-4-methylphenyl)methyl]-2-[4-  
[(diethylamino)methyl]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-,  
2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

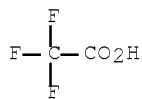
CM 1

CRN 519024-60-3  
CMF C24 H27 Cl N4 O3



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

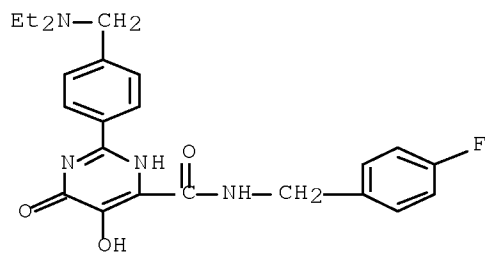


RN 519024-63-6 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 2-[4-[(diethylamino)methyl]phenyl]-N-[(4-  
fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-, 2,2,2-trifluoroacetate  
(1:?) (CA INDEX NAME)

CM 1

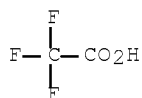
**Serial#: 10/595,734**

CRN 519024-62-5  
CMF C23 H25 F N4 O3



CM 2

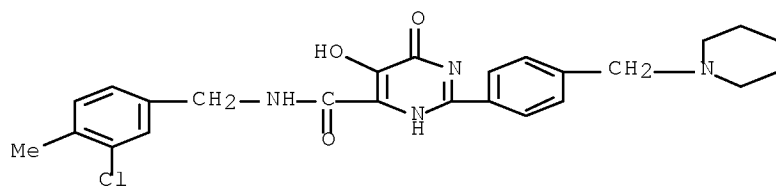
CRN 76-05-1  
CMF C2 H F3 O2



RN 519024-65-8 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(3-chloro-4-methylphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[4-(1-piperidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

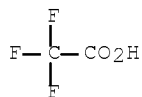
CRN 519024-64-7  
CMF C25 H27 Cl N4 O3



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

**Serial#: 10/595,734**



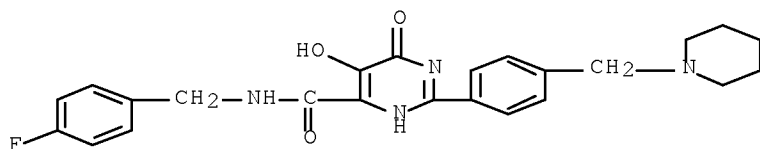
RN 519024-67-0 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[4-(1-piperidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:?)  
(CA INDEX NAME)

CM 1

CRN 519024-66-9

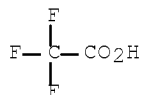
CMF C24 H25 F N4 O3



CM 2

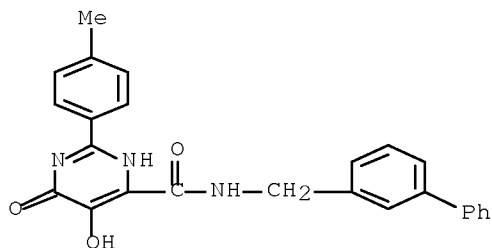
CRN 76-05-1

CMF C2 H F3 O2



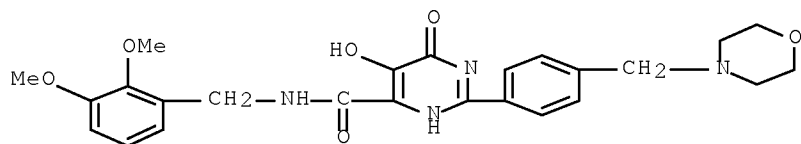
RN 519024-68-1 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-([1,1'-biphenyl]-3-ylmethyl)-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



**Serial#: 10/595,734**

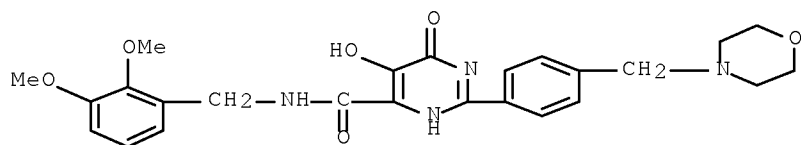
RN 519024-69-2 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-(4-morpholinylmethyl)phenyl]-6-oxo- (CA INDEX NAME)



RN 519024-70-5 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-(4-morpholinylmethyl)phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

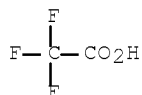
CM 1

CRN 519024-69-2  
CMF C25 H28 N4 O6

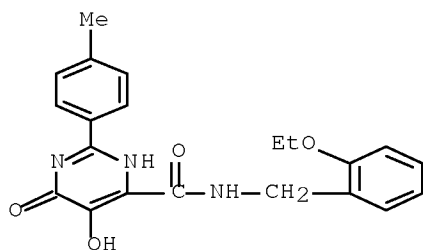


CM 2

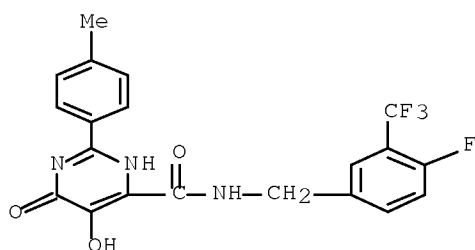
CRN 76-05-1  
CMF C2 H F3 O2



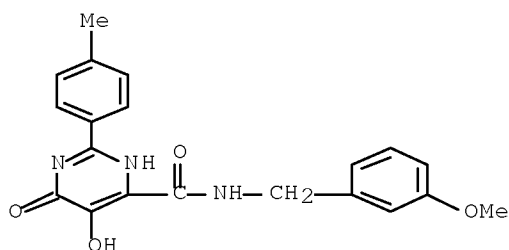
RN 519024-71-6 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(2-ethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519024-72-7 HCAPLUS  
 CN 4-Pyrimidinecarboxamide, N-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519024-73-8 HCAPLUS  
 CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-N-[(3-methoxyphenyl)methyl]-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)

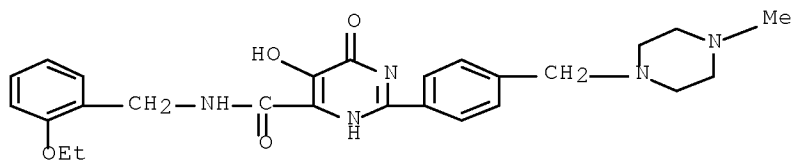


RN 519024-75-0 HCAPLUS  
 CN 4-Pyrimidinecarboxamide, N-[(2-ethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519024-74-9  
 CMF C26 H31 N5 O4

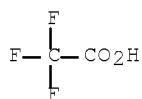
**Serial#: 10/595,734**



CM 2

CRN 76-05-1

CMF C2 H F3 O2



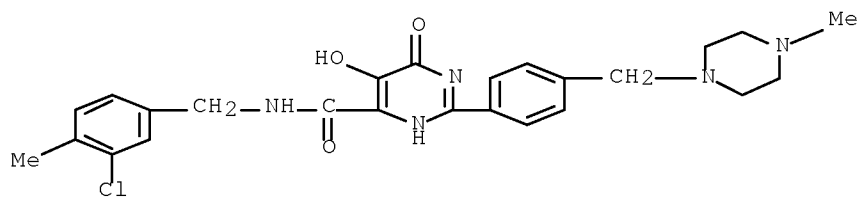
RN 519024-77-2 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(3-chloro-4-methylphenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519024-76-1

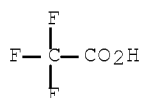
CMF C25 H28 Cl N5 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



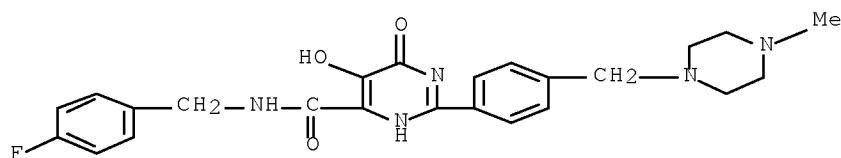


**Serial#: 10/595,734**

RN 519024-79-4 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

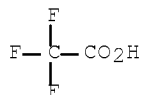
CM 1

CRN 519024-78-3  
CMF C24 H26 F N5 O3



CM 2

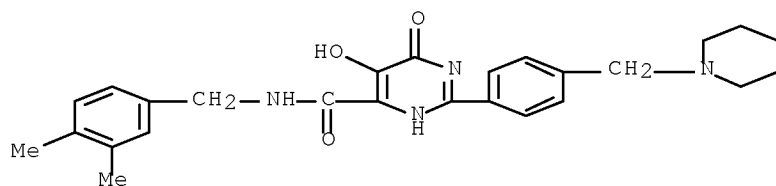
CRN 76-05-1  
CMF C2 H F3 O2



RN 519024-81-8 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(3,4-dimethylphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[4-(1-piperidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519024-80-7  
CMF C26 H30 N4 O3

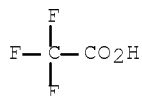


**Serial#: 10/595,734**

CM 2

CRN 76-05-1

CMF C2 H F3 O2



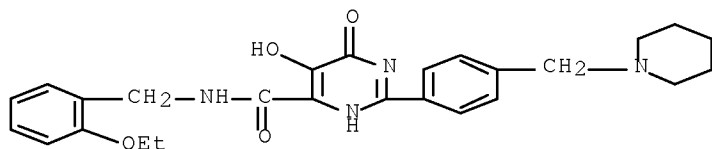
RN 519024-83-0 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(2-ethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[4-(1-piperidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:?)  
(CA INDEX NAME)

CM 1

CRN 519024-82-9

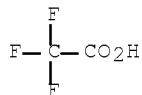
CMF C26 H30 N4 O4



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 519024-85-2 HCAPLUS

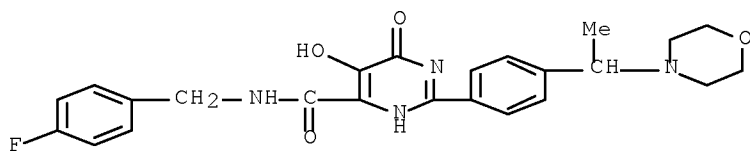
CN 4-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-[1-(4-morpholinyl)ethyl]phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?)  
(CA INDEX NAME)

CM 1

CRN 519024-84-1

CMF C24 H25 F N4 O4

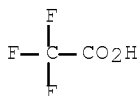
**Serial#: 10/595,734**



CM 2

CRN 76-05-1

CMF C2 H F3 O2



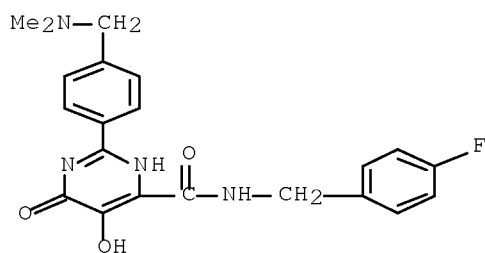
RN 519024-87-4 HCAPLUS

CN 4-Pyrimidinecarboxamide, 2-[4-[(dimethylamino)methyl]phenyl]-N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519024-86-3

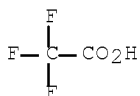
CMF C21 H21 F N4 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2

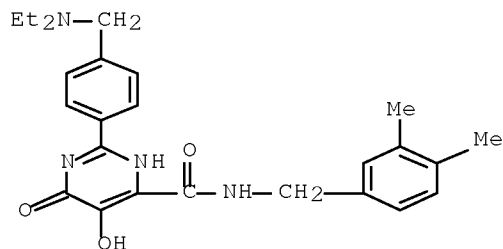


**Serial#: 10/595,734**

RN 519024-89-6 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 2-[4-[(diethylamino)methyl]phenyl]-N-[(3,4-dimethylphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-,  
2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

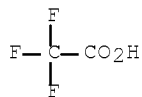
CM 1

CRN 519024-88-5  
CMF C25 H30 N4 O3



CM 2

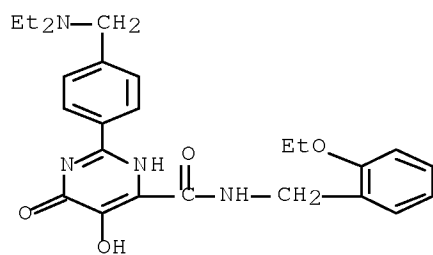
CRN 76-05-1  
CMF C2 H F3 O2



RN 519024-91-0 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 2-[4-[(diethylamino)methyl]phenyl]-N-[(2-ethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-, 2,2,2-trifluoroacetate  
(1:?) (CA INDEX NAME)

CM 1

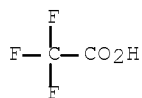
CRN 519024-90-9  
CMF C25 H30 N4 O4



CM 2

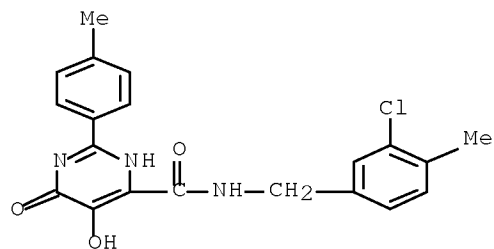
CRN 76-05-1

CMF C2 H F3 O2



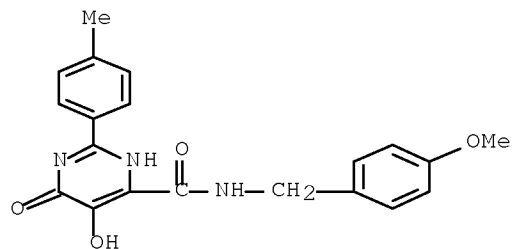
RN 519024-92-1 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(3-chloro-4-methylphenyl)methyl]-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519024-93-2 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-N-[(4-methoxyphenyl)methyl]-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)

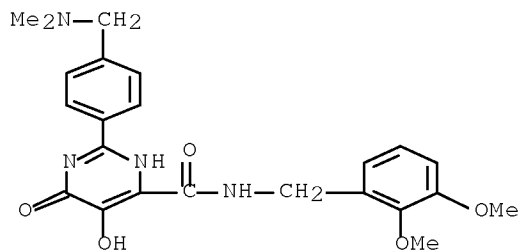


**Serial#: 10/595,734**

RN 519024-95-4 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-2-[4-[(dimethylamino)methyl]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

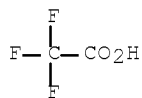
CM 1

CRN 519024-94-3  
CMF C23 H26 N4 O5



CM 2

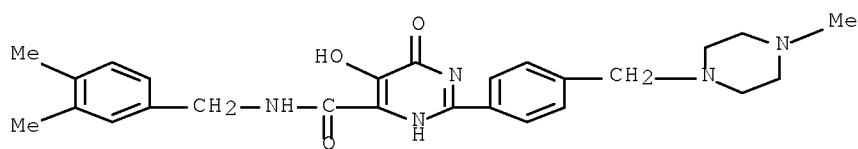
CRN 76-05-1  
CMF C2 H F3 O2



RN 519024-97-6 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(3,4-dimethylphenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

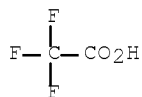
CRN 519024-96-5  
CMF C26 H31 N5 O3



**Serial#: 10/595,734**

CM 2

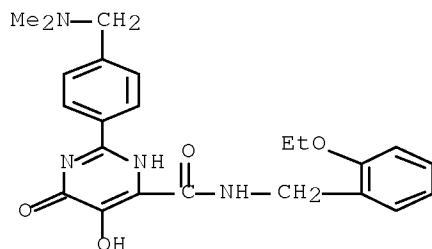
CRN 76-05-1  
CMF C2 H F3 O2



RN 519024-99-8 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 2-[4-[(dimethylamino)methyl]phenyl]-N-[(2-ethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

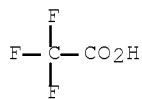
CM 1

CRN 519024-98-7  
CMF C23 H26 N4 O4



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

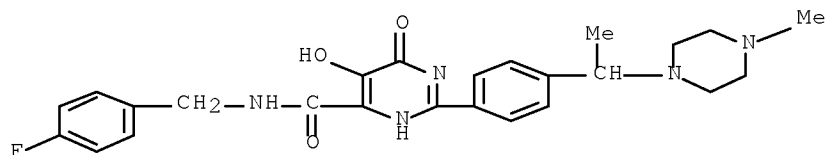


RN 519025-01-5 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-[1-(4-methyl-1-piperazinyl)ethyl]phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

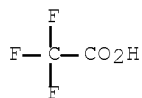
**Serial#: 10/595,734**

CRN 519025-00-4  
CMF C25 H28 F N5 O3

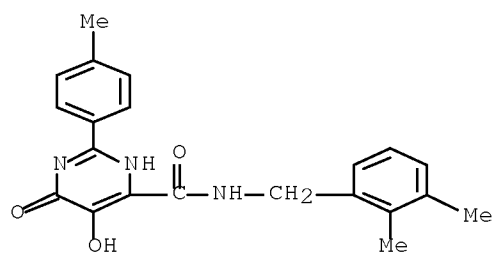


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



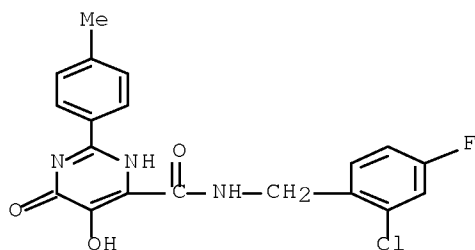
RN 519025-02-6 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethylphenyl)methyl]-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519025-03-7 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(2-chloro-4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)

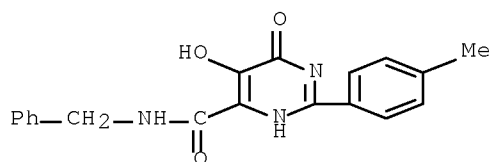


**Serial#: 10/595,734**



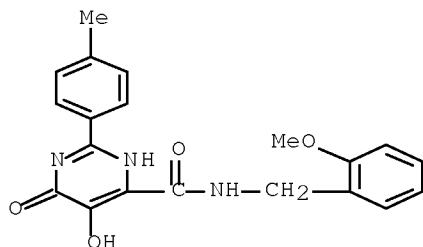
RN 519025-04-8 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo-N-(phenylmethyl)- (CA INDEX NAME)



RN 519025-05-9 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-N-[(2-methoxyphenyl)methyl]-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519025-07-1 HCAPLUS

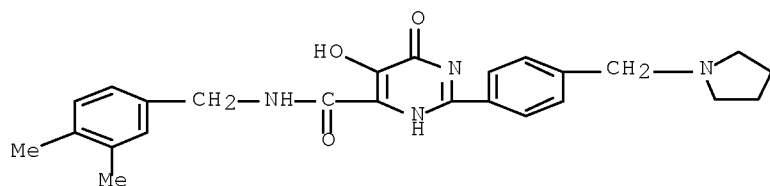
CN 4-Pyrimidinecarboxamide, N-[(3,4-dimethylphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[4-(1-pyrrolidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519025-06-0

CMF C25 H28 N4 O3

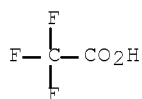
**Serial#: 10/595,734**



CM 2

CRN 76-05-1

CMF C2 H F3 O2



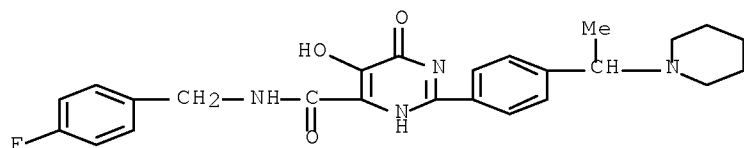
RN 519025-09-3 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[4-[1-(1-piperidinyl)ethyl]phenyl]-, 2,2,2-trifluoroacetate (1:?)  
(CA INDEX NAME)

CM 1

CRN 519025-08-2

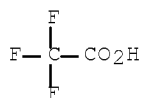
CMF C25 H27 F N4 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2

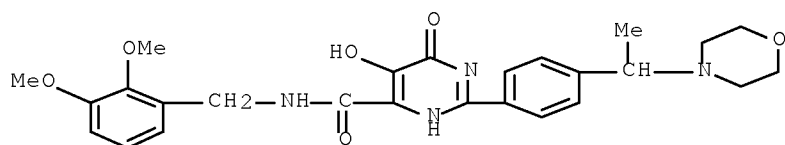


**Serial#: 10/595,734**

RN 519025-11-7 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-[1-(4-morpholinyl)ethyl]phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

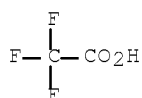
CM 1

CRN 519025-10-6  
CMF C26 H30 N4 O6



CM 2

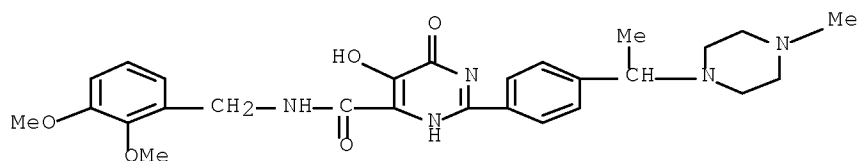
CRN 76-05-1  
CMF C2 H F3 O2



RN 519025-13-9 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-[1-(4-methyl-1-piperazinyl)ethyl]phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

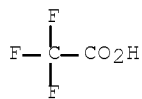
CRN 519025-12-8  
CMF C27 H33 N5 O5



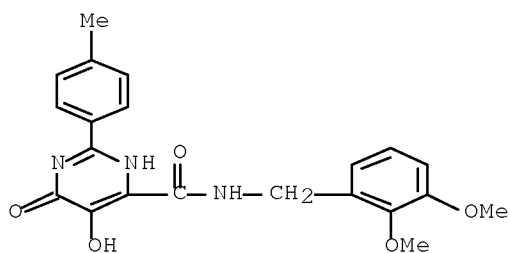
CM 2

**Serial#: 10/595,734**

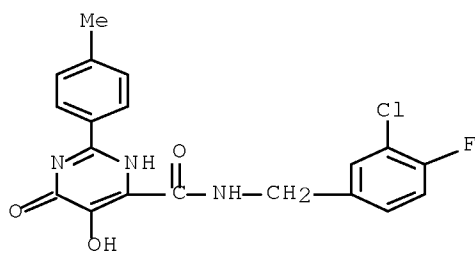
CRN 76-05-1  
CMF C2 H F3 O2



RN 519025-14-0 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)

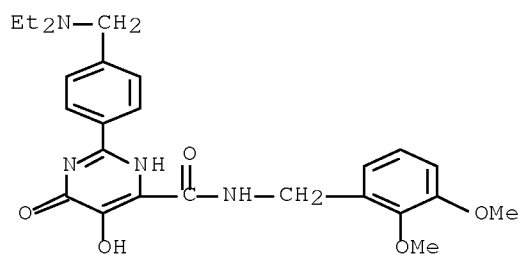


RN 519025-15-1 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-[(3-chloro-4-fluorophenyl)methyl]-1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 519025-16-2 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 2-[4-[(diethylamino)methyl]phenyl]-N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)

**Serial#: 10/595,734**



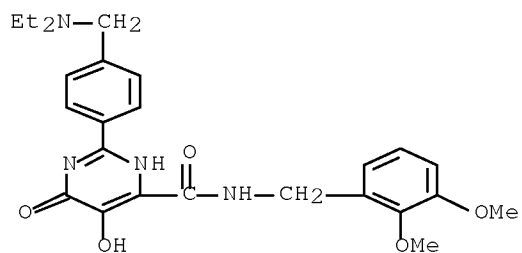
RN 519025-17-3 HCAPLUS

CN 4-Pyrimidinecarboxamide, 2-[4-[(diethylamino)methyl]phenyl]-N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519025-16-2

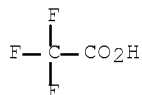
CMF C25 H30 N4 O5



CM 2

CRN 76-05-1

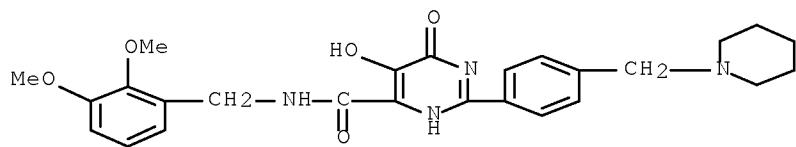
CMF C2 H F3 O2



RN 519025-18-4 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[4-(1-piperidinylmethyl)phenyl]- (CA INDEX NAME)

**Serial#: 10/595,734**



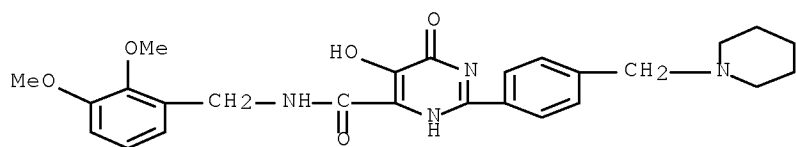
RN 519025-19-5 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[4-(1-piperidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519025-18-4

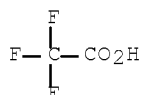
CMF C26 H30 N4 O5



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 519025-21-9 HCAPLUS

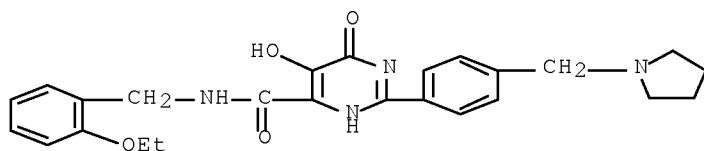
CN 4-Pyrimidinecarboxamide, N-[(2-ethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[4-(1-pyrrolidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519025-20-8

CMF C25 H28 N4 O4

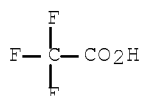
**Serial#: 10/595,734**



CM 2

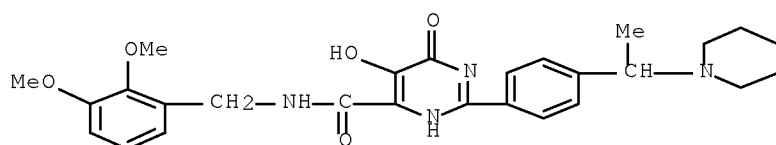
CRN 76-05-1

CMF C2 H F3 O2



RN 519025-22-0 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[4-[1-(1-piperidinyl)ethyl]phenyl]- (CA INDEX NAME)



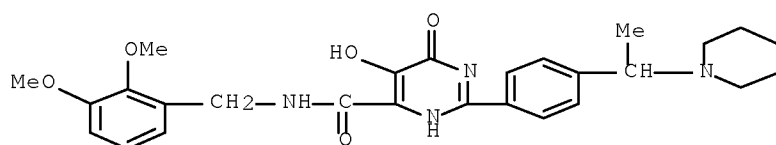
RN 519025-23-1 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[4-[1-(1-piperidinyl)ethyl]phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519025-22-0

CMF C27 H32 N4 O5

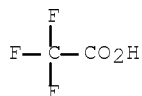


**Serial#: 10/595,734**

CM 2

CRN 76-05-1

CMF C2 H F3 O2



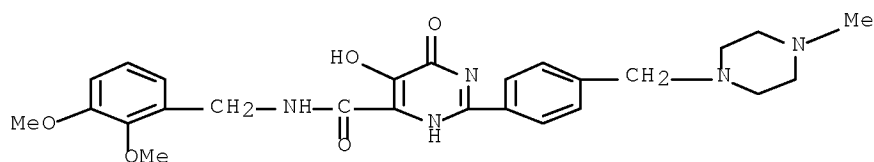
RN 519025-25-3 HCAPLUS

CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-2-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-6-oxo-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519025-24-2

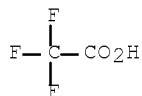
CMF C26 H31 N5 O5



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 519025-27-5 HCAPLUS

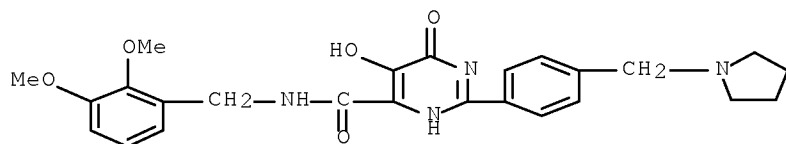
CN 4-Pyrimidinecarboxamide, N-[(2,3-dimethoxyphenyl)methyl]-1,6-dihydro-5-hydroxy-6-oxo-2-[4-(1-pyrrolidinylmethyl)phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 519025-26-4

CMF C25 H28 N4 O5

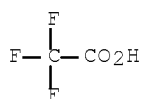




CM 2

CRN 76-05-1

CMF C2 H F3 O2



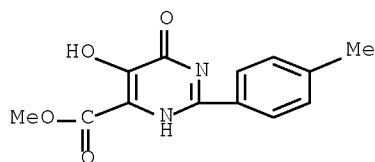
IT 519032-06-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of dihydroxypyrimidine carboxamide inhibitors of HIV integrase)

RN 519032-06-5 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 14 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:202645 HCAPLUS Full-text

DOCUMENT NUMBER: 138:238195

TITLE: Preparation of glycine-substituted thieno[2,3-d]pyrimidines with combined LH and FSH agonistic activity

INVENTOR(S): Hanssen, Robert Gerard Jules Marie; Timmers, Cornelis Marius; Kelder, Jan

PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.

SOURCE: PCT Int. Appl., 58 pp.

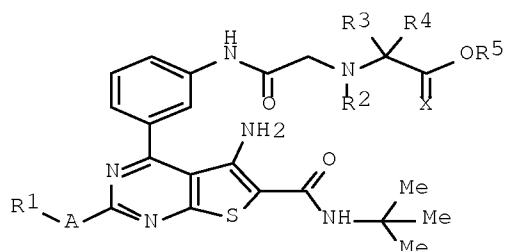
CODEN: PIXXD2

DOCUMENT TYPE: Patent

**Serial#: 10/595,734**

LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020727	A1	20030313	WO 2002-EP9648	20020829 <--
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, RO, RU, SG, SI, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2457212	A1	20030313	CA 2002-2457212	20020829 <--
AU 2002333750	A1	20030318	AU 2002-333750	20020829 <--
AU 2002333750	B2	20080221		
EP 1427734	A1	20040616	EP 2002-797646	20020829 <--
EP 1427734	B1	20051109		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012173	A	20040720	BR 2002-12173	20020829 <--
HU 2004001443	A2	20041129	HU 2004-1443	20020829 <--
HU 2004001443	A3	20080528		
CN 1551883	A	20041201	CN 2002-817240	20020829 <--
CN 1261437	C	20060628		
JP 2005504784	T	20050217	JP 2003-524997	20020829 <--
JP 4263094	B2	20090513		
NZ 531375	A	20050624	NZ 2002-531375	20020829 <--
AT 309251	T	20051115	AT 2002-797646	20020829 <--
ES 2252540	T3	20060516	ES 2002-797646	20020829 <--
RU 2294331	C2	20070227	RU 2004-110036	20020829 <--
IL 160194	A	20090615	IL 2002-160194	20020829 <--
ZA 2004001459	A	20041122	ZA 2004-1459	20040223 <--
HR 2004000194	A2	20040831	HR 2004-194	20040226 <--
US 20040180907	A1	20040916	US 2004-488483	20040226 <--
US 7375109	B2	20080520		
KR 891630	B1	20090403	KR 2004-703096	20040302 <--
NO 2004000922	A	20040303	NO 2004-922	20040303 <--
NO 328792	B1	20100518		
MX 2004002046	A	20040716	MX 2004-2046	20040303 <--
IN 2004CN00468	A	20051223	IN 2004-CN468	20040304 <--
IN 213079	A1	20080328		
PRIORITY APPLN. INFO.:			EP 2001-203328	A 20010904 <--
			WO 2002-EP9648	W 20020829 <--
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): MARPAT 138:238195				
GI				



I

AB The title compds. [I; X = O or H,H; A = S, NH, NR6, O, a bond; R1 = alkyl, alkenyl, (un)substituted Ph, heteroaryl; R2 = H, alkyl, alkoxyalkyl, hydroxyalkyl; R3, R4 = H, alkyl, hydroxyalkyl; R5 = H, alkyl; R6 can be selected from the same groups as described for R1] which have LH as well as FSH receptor activating activity and can be used in fertility regulating therapies, were prepared E.g., a 9-step synthesis of I.TFA [X = H,H; A = S; R1, R2 = Me; R3-R5 = H], starting from S-methylisothioureia sulfate, 3-nitrobenzaldehyde and Et cyanoacetate, was given. All twenty-six exemplified compds. I were tested for LH/FSH in vitro and in vivo bioactivity and data were given. IPCI C07D0495-04 [ICM,7]; C07D0495-00 [ICM,7,C\*]; A61K0031-505 [ICS,7];

A61P0015-08 [ICS,7]; A61P0015-00 [ICS,7,C\*]

IPCR A61K0031-505 [I,C\*]; A61K0031-505 [I,A]; A61K0031-519 [I,C\*]; A61K0031-519 [I,A]; A61P0015-00 [I,C\*]; A61P0015-08 [I,A]; C07D0495-00 [I,C\*]; C07D0495-04 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 2, 34

IT 54610-73-0P, 2-Furancarboximidamide 301847-68-7P, Ethyl  
5-amino-4-(3-nitrophenyl)-2-methylthiothieno[2,3-d]pyrimidine-6-carboxylate 301847-69-8P, tert-Butyl  
5-amino-4-(3-aminophenyl)-2-methylthiothieno[2,3-d]pyrimidine-6-carboxamide 301847-70-1P, Ethyl 5-amino-4-(3-aminophenyl)-2-methylthiothieno[2,3-d]pyrimidine-6-carboxylate 301847-71-2P,  
5-Amino-4-(3-aminophenyl)-2-methylthiothieno[2,3-d]pyrimidine-6-carboxylic acid 405891-22-7P, 5-Cyano-4-(3-nitrophenyl)-2-methylthio-6-hydroxypyrimidine 405891-23-8P, 6-Chloro-5-cyano-4-(3-nitrophenyl)-2-methylthioprimidine 405891-24-9P,  
5-Cyano-4-(3-nitrophenyl)-2-methylthio-6-(ethoxycarbonylmethylthio)pyrimidine 405891-45-4P,  
5-Cyano-4-(3-nitrophenyl)-2-phenyl-6-hydroxypyrimidine 405891-46-5P, 6-Chloro-5-cyano-4-(3-nitrophenyl)-2-phenylpyrimidine 405891-47-6P, 5-Cyano-4-(3-nitrophenyl)-2-phenyl-6-(ethoxycarbonylmethylthio)pyrimidine 405891-48-7P, Ethyl 5-amino-4-(3-nitrophenyl)-2-phenylthieno[2,3-d]pyrimidine-6-carboxylate 405891-49-8P, Ethyl  
5-amino-4-(3-aminophenyl)-2-phenylthieno[2,3-d]pyrimidine-6-carboxylate 405891-50-1P, 5-Amino-4-(3-aminophenyl)-2-phenylthieno[2,3-d]pyrimidine-6-carboxylic acid 405891-51-2P, tert-Butyl  
5-amino-4-(3-aminophenyl)-2-phenylthieno[2,3-d]pyrimidine-6-carboxamide 501444-96-8P, tert-Butyl 5-amino-2-methylthio-4-(3-(2-bromoacetamido)phenyl)thieno[2,3-d]pyrimidine-6-carboxamide 501651-56-5P, tert-Butyl 5-amino-4-[3-(2-bromoacetamido)phenyl]-2-phenylthieno[2,3-d]pyrimidine-6-carboxamide 501651-57-6P,  
5-Cyano-4-(3-nitrophenyl)-2-(2-furyl)-6-hydroxypyrimidine 501651-58-7P, 6-Chloro-5-cyano-4-(3-nitrophenyl)-2-(2-furyl)pyrimidine 501651-59-8P, 5-Cyano-4-(3-nitrophenyl)-2-(2-furyl)-6-(ethoxycarbonylmethylthio)pyrimidine 501651-60-1P, Ethyl  
5-amino-4-(3-nitrophenyl)-2-(2-furyl)thieno[2,3-d]pyrimidine-6-carboxylate 501651-61-2P, Ethyl 5-amino-4-(3-aminophenyl)-2-(2-furyl)thieno[2,3-d]pyrimidine-6-carboxylate 501651-62-3P,  
5-Amino-4-(3-aminophenyl)-2-(2-furyl)thieno[2,3-d]pyrimidine-6-carboxylic acid 501651-63-4P, tert-Butyl 5-amino-4-(3-aminophenyl)-2-(2-furyl)thieno[2,3-d]pyrimidine-6-carboxamide 501651-64-5P, tert-Butyl  
5-amino-4-[3-(2-bromoacetamido)phenyl]-2-(2-furyl)thieno[2,3-d]pyrimidine-6-carboxamide 501651-66-7P, 5-Cyano-4-(3-nitrophenyl)-2-(2-thienyl)-6-hydroxypyrimidine 501651-67-8P, 6-Chloro-5-cyano-4-(3-nitrophenyl)-2-(2-thienyl)pyrimidine 501651-68-9P,  
5-Cyano-4-(3-nitrophenyl)-2-(2-thienyl)-6-(ethoxycarbonylmethylthio)pyrimidine 501651-70-3P, Ethyl  
5-amino-4-(3-nitrophenyl)-2-(2-thienyl)thieno[2,3-d]pyrimidine-6-

**Serial#: 10/595,734**

carboxylate 501651-71-4P, Ethyl 5-amino-4-(3-aminophenyl)-2-(2-thienyl)thieno[2,3-d]pyrimidine-6-carboxylate 501651-72-5P, 5-Amino-4-(3-aminophenyl)-2-(2-thienyl)thieno[2,3-d]pyrimidine-6-carboxylic acid 501651-73-6P, tert-Butyl 5-amino-4-(3-aminophenyl)-2-(2-thienyl)thieno[2,3-d]pyrimidine-6-carboxamide 501651-74-7P, tert-Butyl 5-amino-4-[3-(2-bromoacetamido)phenyl]-2-(2-thienyl)thieno[2,3-d]pyrimidine-6-carboxamide 501651-75-8P 501651-76-9P 501651-77-0P 501651-78-1P, 5-Cyano-4-(3-nitrophenyl)-2-(4-pyridyl)-6-hydroxypyrimidine 501651-79-2P, 6-Chloro-5-cyano-4-(3-nitrophenyl)-2-(4-pyridyl)pyrimidine 501651-80-5P, 5-Cyano-4-(3-nitrophenyl)-2-(4-pyridyl)-6-(ethoxycarbonylmethylthio)pyrimidine 501651-81-6P, Ethyl 5-amino-4-(3-nitrophenyl)-2-(4-pyridyl)thieno[2,3-d]pyrimidine-6-carboxylate 501651-82-7P, Ethyl 5-amino-4-(3-aminophenyl)-2-(4-pyridyl)thieno[2,3-d]pyrimidine-6-carboxylate 501651-83-8P, 5-Amino-4-(3-aminophenyl)-2-(4-pyridyl)thieno[2,3-d]pyrimidine-6-carboxylic acid 501651-84-9P, tert-Butyl 5-amino-4-(3-aminophenyl)-2-(4-pyridyl)thieno[2,3-d]pyrimidine-6-carboxamide 501651-85-0P, tert-Butyl 5-amino-4-(3-(2-bromoacetamido)phenyl)-2-(4-pyridyl)thieno[2,3-d]pyrimidine-6-carboxamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of glycine-substituted thieno[2,3-d]pyrimidines with combined LH and FSH agonistic activity)

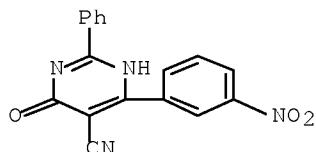
IT ~~405891-45-4P~~, 5-Cyano-4-(3-nitrophenyl)-2-phenyl-6-hydroxypyrimidine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of glycine-substituted thieno[2,3-d]pyrimidines with combined LH and FSH agonistic activity)

RN 405891-45-4 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-(3-nitrophenyl)-6-oxo-2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 15 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:754194 HCAPLUS Full-text

DOCUMENT NUMBER: 137:263054

TITLE: Preparation of aromatic carboxylic acids as Flt-1 ligands.

INVENTOR(S): Arrhenius, Thomas; Huang, Yujin; Zhang, Lin; Serafimov, Rossy; Nadzan, Alex; Spinella, Dominic

PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

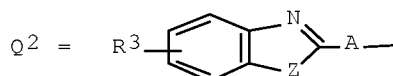
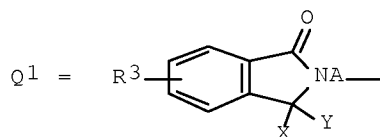
SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

**Serial#: 10/595,734**

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

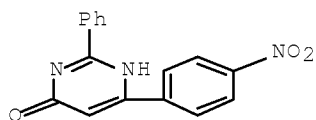
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2002076438	A2	20021003	WO 2002-US8862	20020321 <--
WO 2002076438	A3	20030501		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002247402	A1	20021008	AU 2002-247402	20020321 <--
EP 1370250	A2	20031217	EP 2002-715187	20020321 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004525139	T	20040819	JP 2002-574954	20020321 <--
US 20040110757	A1	20040610	US 2003-472631	20030922 <--
PRIORITY APPLN. INFO.:			US 2001-278156P	P 20010323 <--
			WO 2002-US8862	W 20020321 <--
OTHER SOURCE(S):		MARPAT 137:263054		
GI				



AB R1R2WAr [W = Ph, pyridyl, pyrimidyl, oxadiazolyl, triazolyl; Ar = (substituted) aryl; R1 = R4VB, Q1, Q2; A = (substituted) Ph, amino, amido, ester, O; B = oxy, alkoxy, arylcarbonyl, arylcarbonylamino, bond, carbonyloxy; V = Ph, furyl, thienyl, pyridyl, pyrrolyl; X, Y = H; XY = O; Z = O, N, S; R2 = aryl, H, OH, halo, CO2H, R1; R3 = 1-2 of OH, alkoxy, NO2, sulfoxy, carboxy ester, etc.; R4 = 1-2 of amino, alkoxy, NO2, CO2H, OH, alkoxyalkyl, alkylthio, halo, haloalkyl, alkyl, Ph, pyridyl, etc.; ≥1 of R3, R4 = CO2H, CO2H-substituted radical], were prepared Thus, Et 4-nitrobenzoylacetate and benzamidine hydrochloride were refluxed 5 h in EtOH to give 88% 6-(4-nitrophenyl)-2-phenylpyrimidin-4-ol. The latter was heated with Me 4-bromomethylbenzoate Et3N in DMF at 80° for 1 h to give 93% Me 4-[[[6-(4-nitrophenyl)-2-phenylpyrimidin-4-yl]oxy]methyl]benzoate. Reflux of this with Fe and HOAc in EtOH for 8 h gave 97% Me 4-[[[6-(4-aminophenyl)-2-phenylpyrimidin-4-yl]oxy]methyl]benzoate. The amine was heated with 1,2,4-benzenetricarboxylic anhydride in PhMe at 150° for 30 min. to give 66% 2-[4-[6-[[4-(methoxycarbonyl)benzyl]oxy]-2-phenylpyrimidin-4-yl]phenyl]-1,3-dioxoisindoline-5-carboxylic acid, which was stirred with aqueous KOH in THF for 24 h to give 35% 2-[4-[6-[(4-carboxybenzyl)oxy]-2-phenylpyrimidin-4-yl]phenyl]-1,3-dioxoisindoline-5-carboxylic acid. IPCI A61K0031-00 [ICM, 7]

**Serial#: 10/595,734**

IPCR A61K0031-4245 [I,C\*]; A61K0031-4245 [I,A]; A61K0031-4427 [I,C\*];  
A61K0031-4439 [I,A]; A61K0031-505 [I,C\*]; A61K0031-505 [I,A]; A61K0031-506  
[I,C\*]; A61K0031-506 [I,A]; A61K0031-53 [I,C\*]; A61K0031-53 [I,A];  
A61P0009-00 [I,C\*]; A61P0009-00 [I,A]; A61P0009-10 [I,A]; A61P0017-00  
[I,C\*]; A61P0017-00 [I,A]; A61P0017-02 [I,A]; A61P0017-06 [I,A];  
A61P0019-00 [I,C\*]; A61P0019-00 [I,A]; A61P0019-02 [I,A]; A61P0027-00  
[I,C\*]; A61P0027-02 [I,A]; A61P0029-00 [I,C\*]; A61P0029-00 [I,A];  
A61P0035-00 [I,C\*]; A61P0035-00 [I,A]; A61P0035-04 [I,A]; A61P0043-00  
[I,C\*]; A61P0043-00 [I,A]; C07D0239-00 [I,C\*]; C07D0239-26 [I,A];  
C07D0401-00 [I,C\*]; C07D0401-10 [I,A]; C07D0401-12 [I,A]; C07D0401-14  
[I,A]; C07D0403-00 [I,C\*]; C07D0403-10 [I,A]; C07D0403-14 [I,A];  
C07D0405-00 [I,C\*]; C07D0405-14 [I,A]; C07D0413-00 [I,C\*]; C07D0413-14  
[I,A]  
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 25, 27  
IT 68820-65-5P 88272-84-8P 102692-42-2P 130090-21-0P 132434-56-1P  
462128-55-8P 462128-56-9P 462128-57-0P 462128-58-1P  
462128-59-2P 462128-60-5P 462128-61-6P 462128-62-7P 462128-63-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of aromatic carboxylic acids as Flt-1 ligands)  
IT 462128-55-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of aromatic carboxylic acids as Flt-1 ligands)  
RN 462128-55-8 HCAPLUS  
CN 4(3H)-Pyrimidinone, 6-(4-nitrophenyl)-2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)  
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 16 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2002:220584 HCAPLUS Full-text  
DOCUMENT NUMBER: 136:247584  
TITLE: Preparation of pyrazolamines and analogs as protein  
kinase inhibitors for treatment of cancer, diabetes,  
and Alzheimer's disease  
INVENTOR(S): Bebbington, David; Knegtel, Ronald; Golec, Julian M.  
C.; Li, Pan; Davies, Robert; Charrier, Jean-Damien  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 356 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 15  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----

**Serial#: 10/595,734**

WO 2002022608 A1 20020321 WO 2001-US42152 20010914 <--  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,  
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,  
US, UZ, VN, YU, ZA, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
CA 2422380 A1 20020321 CA 2001-2422380 20010914 <--  
CA 2422380 C 20090324  
AU 2001096871 A 20020326 AU 2001-96871 20010914 <--  
US 20030055044 A1 20030320 US 2001-953505 20010914 <--  
US 6638926 B2 20031028  
US 20030064981 A1 20030403 US 2001-952836 20010914 <--  
US 6613776 B2 20030902  
US 20030064982 A1 20030403 US 2001-952875 20010914 <--  
US 7473691 B2 20090106  
US 20030073687 A1 20030417 US 2001-952671 20010914 <--  
US 6660731 B2 20031209  
US 20030078166 A1 20030424 US 2001-955601 20010914 <--  
US 6696452 B2 20040224  
US 20030083327 A1 20030501 US 2001-952833 20010914 <--  
US 6610677 B2 20030826  
EP 1317452 A1 20030611 EP 2001-977779 20010914 <--  
EP 1317452 B1 20060517  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
ZA 2003001701 A 20040301 ZA 2003-1701 20010914 <--  
ZA 2003001703 A 20040302 ZA 2003-1703 20010914 <--  
JP 2004509118 T 20040325 JP 2002-526861 20010914 <--  
US 20040097501 A1 20040520 US 2001-953471 20010914 <--  
US 7115739 B2 20061003  
HU 2004001819 A2 20041228 HU 2004-1819 20010914 <--  
HU 2004001819 A3 20090629  
US 20050004110 A1 20050106 US 2001-952878 20010914 <--  
US 7098330 B2 20060829  
PT 1317448 E 20050831 PT 2001-971006 20010914 <--  
ES 2242771 T3 20051116 ES 2001-971006 20010914 <--  
AT 326458 T 20060615 AT 2001-970969 20010914 <--  
AT 327990 T 20060615 AT 2001-970971 20010914 <--  
AT 327992 T 20060615 AT 2001-971082 20010914 <--  
AT 327991 T 20060615 AT 2001-973050 20010914 <--  
AT 326459 T 20060615 AT 2001-977779 20010914 <--  
EP 1698627 A1 20060906 EP 2006-10798 20010914 <--  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
PT 1318997 E 20061031 PT 2001-971082 20010914 <--  
AT 346064 T 20061215 AT 2001-975210 20010914 <--  
ES 2266258 T3 20070301 ES 2001-970971 20010914 <--  
ES 2266259 T3 20070301 ES 2001-971082 20010914 <--  
AT 363284 T 20070615 AT 2001-977783 20010914 <--  
NZ 545284 A 20070629 NZ 1984-5452 20010914 <--  
CN 100355750 C 20071219 CN 2001-817427 20010914 <--  
TW 303636 B 20081201 TW 2001-90122889 20010914 <--  
IL 154747 A 20100517 IL 2001-154747 20010914 <--  
CA 2432303 A1 20020829 CA 2001-2432303 20011219 <--  
CA 2432303 C 20100413  
AU 2002255452 A1 20020904 AU 2002-255452 20011219 <--  
AU 2002255452 B2 20060608

**Serial#: 10/595,734**

CA 2432223	A1	20020906	CA 2001-2432223	20011219 <--
CA 2432223	C	20080520		
AU 2001297619	A1	20020912	AU 2001-297619	20011219 <--
AU 2001297619	B2	20060608		
EP 1345922	A1	20030924	EP 2001-271061	20011219 <--
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219 <--
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219 <--
JP 2004518743	T	20040624	JP 2002-565976	20011219 <--
JP 2004519479	T	20040702	JP 2002-567928	20011219 <--
JP 4234435	B2	20090304		
HU 2004000842	A2	20040728	HU 2004-842	20011219 <--
NZ 526473	A	20050624	NZ 2001-526473	20011219 <--
EP 1702920	A1	20060920	EP 2006-11799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
KR 947185	B1	20100315	KR 2003-708397	20011219 <--
ZA 2003001697	A	20040301	ZA 2003-1697	20030228 <--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228 <--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228 <--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228 <--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228 <--
IN 2003KN00294	A	20050311	IN 2003-KN294	20030310 <--
NO 2003001188	A	20030513	NO 2003-1188	20030314 <--
MX 2003002299	A	20030606	MX 2003-2299	20030317 <--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609 <--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609 <--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609 <--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609 <--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609 <--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609 <--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609 <--
NO 2003002704	A	20030821	NO 2003-2704	20030613 <--
IN 2003KN00795	A	20050204	IN 2003-KN795	20030619 <--
IN 219078	A1	20080425		
MX 2003005609	A	20031006	MX 2003-5609	20030620 <--
MX 2003005610	A	20031006	MX 2003-5610	20030620 <--
US 20040224944	A1	20041111	US 2003-624800	20030722 <--
US 7008948	B2	20060307		
US 20040116454	A1	20040617	US 2003-692355	20031023 <--
US 7390815	B2	20080624		
US 20040157893	A1	20040812	US 2003-722374	20031125 <--
HK 1057888	A1	20061124	HK 2003-108639	20031126 <--
US 20040132781	A1	20040708	US 2003-736426	20031215 <--
US 7087603	B2	20060808		
US 20040167141	A1	20040826	US 2004-775699	20040210 <--
US 7427681	B2	20080923		
HK 1060347	A1	20061201	HK 2004-101883	20040315 <--
HK 1062565	A1	20090731	HK 2004-105510	20040727 <--
JP 2005097322	A	20050414	JP 2004-366925	20041217 <--
US 20070270444	A1	20071122	US 2006-369220	20060306 <--
US 7691853	B2	20100406		
AU 2006201228	A1	20060413	AU 2006-201228	20060321 <--
AU 2006201228	B2	20100128		
AU 2006201229	A1	20060413	AU 2006-201229	20060321 <--



**Serial#: 10/595,734**

AU 2006201229	B2	20081120		
AU 2006201230	A1	20060413	AU 2006-201230	20060321 <--
AU 2006201230	B2	20080911		
AU 2006201262	A1	20060427	AU 2006-201262	20060321 <--
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321 <--
AU 2006201263	B2	20081030		
AU 2006201264	A1	20060427	AU 2006-201264	20060321 <--
AU 2006201265	A1	20060427	AU 2006-201265	20060321 <--
AU 2006201265	B2	20080904		
AU 2006201266	A1	20060427	AU 2006-201266	20060321 <--
AU 2006201266	B2	20091217		
AU 2006201267	A1	20060427	AU 2006-201267	20060321 <--
US 20060258658	A1	20061116	US 2006-492450	20060725 <--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723 <--
JP 2008115195	A	20080522	JP 2008-15681	20080125 <--
JP 2008189682	A	20080821	JP 2008-95581	20080401 <--
JP 2008260767	A	20081030	JP 2008-95584	20080401 <--
JP 2008222719	A	20080925	JP 2008-97620	20080403 <--
JP 2008189687	A	20080821	JP 2008-98506	20080404 <--
US 20080287444	A1	20081120	US 2008-109598	20080425
JP 2008201808	A	20080904	JP 2008-121723	20080507 <--
JP 2008247920	A	20081016	JP 2008-121724	20080507 <--
JP 2008247921	A	20081016	JP 2008-121727	20080507 <--
AU 2008252044	A1	20090122	AU 2008-252044	20081203 <--
JP 2009155352	A	20090716	JP 2009-101481	20090417 <--
US 20090312543	A1	20091217	US 2009-545347	20090821 <--
JP 2009286805	A	20091210	JP 2009-212566	20090914 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915 <--
			US 2000-257887P	P 20001221 <--
			US 2001-286949P	P 20010427 <--
			AU 2001-296871	A3 20010914 <--
			AU 2001-296875	A3 20010914 <--
			AU 2001-90914	A 20010914 <--
			AU 2001-90944	A3 20010914 <--
			AU 2001-91013	A3 20010914 <--
			AU 2001-94558	A3 20010914 <--
			AU 2001-96871	T0 20010914 <--
			AU 2001-96875	T0 20010914 <--
			EP 2001-971082	A3 20010914 <--
			JP 2002-526860	A3 20010914 <--
			US 2001-952671	A3 20010914 <--
			US 2001-953471	A3 20010914 <--
			US 2001-955601	A3 20010914 <--
			WO 2001-US42152	W 20010914 <--
			AU 2002-234047	A3 20011219 <--
			AU 2002-245198	A3 20011219 <--
			AU 2002-246731	A3 20011219 <--
			AU 2002-34047	T0 20011219 <--
			EP 2001-273861	A 20011219 <--
			EP 2001-994323	A3 20011219 <--
			JP 2002-551561	A3 20011219 <--
			JP 2002-557938	A3 20011219 <--
			JP 2002-559413	A3 20011219 <--
			JP 2002-563142	A3 20011219 <--
			JP 2002-565976	A3 20011219 <--
			JP 2002-567928	A3 20011219 <--
			US 2001-26966	A1 20011219 <--
			US 2001-26992	A1 20011219 <--
			WO 2001-US49139	W 20011219 <--
			WO 2001-US50312	W 20011219 <--

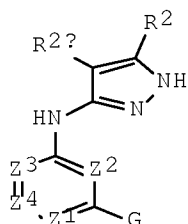
**Serial#: 10/595,734**

JP 2002-551562	A3 20011220 <--
JP 2002-559414	A3 20011220 <--
US 2001-34019	A3 20011220 <--
US 2001-34683	A1 20011220 <--
IN 2003-KN795	A3 20030619 <--
US 2003-624800	A3 20030722 <--
US 2004-775699	A1 20040210
JP 2004-366925	A3 20041217
AU 2006-201396	A3 20060404

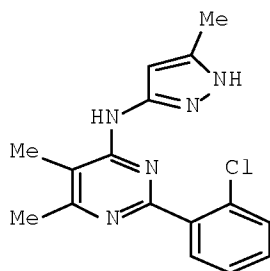
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:247584

GI



I



II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyrimidinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 = CR9; Z2 and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2.

IPCI C07D0403-12 [ICM,7]; C07D0401-14 [ICS,7]; C07D0401-00 [ICS,7,C\*];  
 A61K0031-506 [ICS,7]; A61K0031-53 [ICS,7]; A61P0035-00 [ICS,7];  
 C07D0403-14 [ICS,7]; C07D0403-00 [ICS,7,C\*]; C07D0405-14 [ICS,7];  
 C07D0405-00 [ICS,7,C\*]; C07D0521-00 [ICS,7]

IPCR C07D0403-00 [I,C\*]; C07D0403-12 [I,A]; A61K0031-415 [I,C\*]; A61K0031-415  
 [I,A]; A61K0031-4353 [I,C\*]; A61K0031-437 [I,A]; A61K0031-4709 [I,C\*];  
 A61K0031-4709 [I,A]; A61K0031-472 [I,C\*]; A61K0031-4725 [I,A];  
 A61K0031-501 [I,C\*]; A61K0031-501 [I,A]; A61K0031-5025 [I,C\*];  
 A61K0031-5025 [I,A]; A61K0031-506 [I,C\*]; A61K0031-506 [I,A]; A61K0031-517

**Serial#: 10/595,734**

[I,C\*]; A61K0031-517 [I,A]; A61K0031-519 [I,C\*]; A61K0031-519 [I,A];  
A61K0031-52 [I,A]; A61K0031-53 [I,C\*]; A61K0031-53 [I,A]; A61K0031-5375  
[I,C\*]; A61K0031-5377 [I,A]; A61K0031-541 [I,C\*]; A61K0031-541 [I,A];  
A61K0031-55 [I,C\*]; A61K0031-55 [I,A]; A61K0045-00 [I,C\*]; A61K0045-00  
[I,A]; A61P0001-00 [I,C\*]; A61P0001-16 [I,A]; A61P0003-00 [I,C\*];  
A61P0003-08 [I,A]; A61P0003-10 [I,A]; A61P0003-14 [I,A]; A61P0005-00  
[I,C\*]; A61P0005-00 [I,A]; A61P0009-00 [I,C\*]; A61P0009-00 [I,A];  
A61P0009-10 [I,A]; A61P0011-00 [I,C\*]; A61P0011-06 [I,A]; A61P0017-00  
[I,C\*]; A61P0017-06 [I,A]; A61P0019-00 [I,C\*]; A61P0019-00 [I,A];  
A61P0019-02 [I,A]; A61P0019-10 [I,A]; A61P0025-00 [I,C\*]; A61P0025-18  
[I,A]; A61P0025-28 [I,A]; A61P0029-00 [I,C\*]; A61P0029-00 [I,A];  
A61P0031-00 [I,C\*]; A61P0031-12 [I,A]; A61P0035-00 [I,C\*]; A61P0035-00  
[I,A]; A61P0035-02 [I,A]; A61P0037-00 [I,C\*]; A61P0037-02 [I,A];  
A61P0037-06 [I,A]; A61P0037-08 [I,A]; A61P0043-00 [I,C\*]; A61P0043-00  
[I,A]; C07D0239-00 [I,C\*]; C07D0239-26 [I,A]; C07D0239-36 [I,A];  
C07D0239-70 [I,A]; C07D0239-88 [I,A]; C07D0401-00 [I,C\*]; C07D0401-12  
[I,A]; C07D0401-14 [I,A]; C07D0403-14 [I,A]; C07D0405-00 [I,C\*];  
C07D0405-14 [I,A]; C07D0407-00 [I,C\*]; C07D0407-14 [I,A]; C07D0409-00  
[I,C\*]; C07D0409-14 [I,A]; C07D0413-00 [I,C\*]; C07D0413-14 [I,A];  
C07D0417-00 [I,C\*]; C07D0417-14 [I,A]; C07D0471-00 [I,C\*]; C07D0471-04  
[I,A]; C07D0473-00 [I,C\*]; C07D0473-16 [I,A]; C07D0475-00 [I,C\*];  
C07D0475-10 [I,A]; C07D0487-00 [I,C\*]; C07D0487-04 [I,A]; C07D0491-00  
[I,C\*]; C07D0491-04 [I,A]; C07D0491-044 [I,A]; C07D0491-048 [I,A];  
C07D0491-052 [I,A]; C07D0491-107 [I,A]; C07D0491-113 [I,A]; C07D0491-20  
[I,A]; C07D0493-00 [I,C\*]; C07D0493-04 [I,A]; C07D0495-00 [I,C\*];  
C07D0495-04 [I,A]; C07D0498-00 [I,C\*]; C07D0498-04 [I,A]; C07D0513-00  
[I,C\*]; C07D0513-04 [I,A]; C07D0521-00 [I,C\*]; C07D0521-00 [I,A]  
CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1  
IT 607-68-1P, 2,4-Dichloroquinazoline 41339-17-7P,  
5-Nitro-1H-indazol-3-ylamine 61272-71-7P, 5-Bromo-1H-indazol-3-ylamine  
61272-72-8P, 5-Fluoro-1H-indazol-3-ylamine 404826-17-1P,  
2-(2-Trifluoromethylphenyl)pyrido[2,3-d][1,3]oxazin-4-one 404826-18-2P,  
2-(2-Trifluoromethylbenzoylamino)nicotinamide 404826-19-3P,  
4-Chloro-2-(3,5-dichlorophenyl)quinazoline 404826-26-2P,  
[4-(4-Methylpiperidin-1-yl)pyrimidin-2-yl](5-methyl-2H-pyrazol-3-yl)amine  
404827-60-7P, 7-Fluoro-1H-indazol-3-ylamine 404827-65-2P,  
5,7-Difluoro-1H-indazol-3-ylamine 404827-75-4P,  
6-Fluoro-1H-indazol-3-ylamine 404827-76-5P,  
7-Fluoro-6-trifluoromethyl-1H-indazol-3-ylamine 404827-77-6P,  
6-Bromo-1H-indazol-3-ylamine 404827-78-7P, 4-Fluoro-1H-indazol-3-ylamine  
404827-79-8P, 4-Pyrrol-1-yl-1H-indazol-3-ylamine 404827-80-1P,  
4-Chloro-5,6-dimethyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-81-2P, 4-Chloro-2-(2-chlorophenyl)-5,6-dimethylpyrimidine  
404827-82-3P, 4-Chloro-6-methyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-83-4P, 4-Chloro-6-cyclohexyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-84-5P, 4-Chloro-6-phenyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-85-6P, 4-Chloro-2-(2,4-dichlorophenyl)-5,6-dimethylpyrimidine  
404827-86-7P, 4-Chloro-6-(2-chlorophenyl)-2-(2-  
trifluoromethylphenyl)pyrimidine 404827-87-8P,  
4-Chloro-6-(2-fluorophenyl)-2-(2-trifluoromethylphenyl)pyrimidine  
404827-88-9P, 4-Chloro-6-pyridin-2-yl-2-(2-  
trifluoromethylphenyl)pyrimidine 404827-89-0P,  
6-Benzyl-4-chloro-2-(2-trifluoromethylphenyl)-5,6,7,8-tetrahydropyrido[4,3-  
d]pyrimidine 404827-90-3P, 7-Benzyl-4-chloro-2-(2-trifluoromethylphenyl)-  
5,6,7,8-tetrahydropyrido[3,4-d]pyrimidine 404827-91-4P,  
4-Chloro-2-(4-fluoro-2-trifluoromethylphenyl)quinazoline 404827-92-5P,  
4-Chloro-2-(2-chloro-5-trifluoromethylphenyl)quinazoline 404827-93-6P,  
4-Chloro-2-(2-chloro-4-nitrophenyl)quinazoline 404827-94-7P,  
4-Chloro-2-(2-trifluoromethylphenyl)quinazoline 404827-95-8P,  
4-Chloro-2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidine

**Serial#: 10/595,734**

404827-96-9P, 4-Chloro-2-(2-chlorophenyl)-6,7,8,9-tetrahydro-5H-cycloheptapyrimidine 404827-97-0P,  
4-Chloro-2-(2-trifluoromethylphenyl)-5,6,7,8,9,10-hexahydrocyclooctapyrimidine 404827-98-1P,  
4-Chloro-8-methoxy-2-(2-trifluoromethylphenyl)quinazoline 404828-00-8P,  
2-(4-Chloroquinazolin-2-yl)benzonitrile 404828-01-9P,  
6-Methyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one 404828-02-0P,  
6-Cyclohexyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one 404828-03-1P,  
2-(2-Chloro-5-trifluoromethylphenyl)-3H-quinazolin-4-one 404828-04-2P,  
2-(4-Fluoro-2-trifluoromethylphenyl)-3H-quinazolin-4-one 404828-05-3P,  
2-(4-Nitro-2-chlorophenyl)-3H-quinazolin-4-one 404828-06-4P,  
2-(5-Fluoro-2-trifluoromethylphenyl)-3H-quinazolin-4-one 404828-30-4P,  
(2-Chloroquinazolin-4-yl)(5-methyl-1H-pyrazol-3-yl)amine 404829-31-8P,  
(6-Chloro-2-phenylpyrimidin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404829-59-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

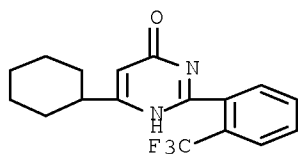
IT 404828-02-0P, 6-Cyclohexyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404828-02-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-cyclohexyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 17 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:220583 HCAPLUS Full-text

DOCUMENT NUMBER: 136:247583

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Davies, Robert; Bebbington, David; Knegetel, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia; Pierce, Albert; Kay, David

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 373 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

**Serial#: 10/595,734**

FAMILY ACC. NUM. COUNT: 15

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022607	A1	20020321	WO 2001-US28940	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422379	A1	20020321	CA 2001-2422379	20010914 <--
CA 2422379	C	20081118		
AU 2001091013	A	20020326	AU 2001-91013	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
BR 2001014088	A	20030617	BR 2001-14088	20010914 <--
EP 1318997	A1	20030618	EP 2001-971082	20010914 <--
EP 1318997	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003002173	A2	20030929	HU 2003-2173	20010914 <--
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509117	T	20040325	JP 2002-526860	20010914 <--
JP 4170752	B2	20081022		
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
NZ 525008	A	20041224	NZ 2001-525008	20010914 <--
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
PT 1317448	E	20050831	PT 2001-971006	20010914 <--
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	E	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--
CN 1926132	A	20070307	CN 2001-817434	20010914 <--
AT 363284	T	20070615	AT 2001-977783	20010914 <--

**Serial#: 10/595,734**

NZ 545284	A	20070629	NZ 1984-5452	20010914	<--
CN 100355750	C	20071219	CN 2001-817427	20010914	<--
TW 303636	B	20081201	TW 2001-90122889	20010914	<--
RU 2340611	C2	20081210	RU 2003-110575	20010914	<--
IL 154784	A	20100428	IL 2001-154784	20010914	<--
IL 154747	A	20100517	IL 2001-154747	20010914	<--
CA 2432303	A1	20020829	CA 2001-2432303	20011219	<--
CA 2432303	C	20100413			
AU 2002255452	A1	20020904	AU 2002-255452	20011219	<--
AU 2002255452	B2	20060608			
CA 2432223	A1	20020906	CA 2001-2432223	20011219	<--
CA 2432223	C	20080520			
AU 2001297619	A1	20020912	AU 2001-297619	20011219	<--
AU 2001297619	B2	20060608			
EP 1345922	A1	20030924	EP 2001-271061	20011219	<--
EP 1345922	B1	20060531			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
EP 1355905	A1	20031029	EP 2001-273861	20011219	<--
EP 1355905	B1	20070221			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
NZ 526472	A	20040430	NZ 2001-526472	20011219	<--
JP 2004518743	T	20040624	JP 2002-565976	20011219	<--
JP 2004519479	T	20040702	JP 2002-567928	20011219	<--
JP 4234435	B2	20090304			
HU 2004000842	A2	20040728	HU 2004-842	20011219	<--
NZ 526473	A	20050624	NZ 2001-526473	20011219	<--
EP 1702920	A1	20060920	EP 2006-11799	20011219	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
KR 947185	B1	20100315	KR 2003-708397	20011219	<--
ZA 2003001697	A	20040301	ZA 2003-1697	20030228	<--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228	<--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228	<--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228	<--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228	<--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228	<--
IN 2003KN00295	A	20060922	IN 2003-KN295	20030310	<--
IN 225439	A1	20081114			
NO 2003001191	A	20030513	NO 2003-1191	20030314	<--
NO 327708	B1	20090914			
KR 896664	B1	20090514	KR 2003-703787	20030314	<--
MX 2003002291	A	20030606	MX 2003-2291	20030317	<--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609	<--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609	<--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609	<--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609	<--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609	<--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609	<--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609	<--
NO 2003002704	A	20030821	NO 2003-2704	20030613	<--
IN 2003KN00795	A	20050204	IN 2003-KN795	20030619	<--
IN 219078	A1	20080425			
MX 2003005609	A	20031006	MX 2003-5609	20030620	<--
MX 2003005610	A	20031006	MX 2003-5610	20030620	<--
US 20040224944	A1	20041111	US 2003-624800	20030722	<--
US 7008948	B2	20060307			
US 20040116454	A1	20040617	US 2003-692355	20031023	<--
US 7390815	B2	20080624			
US 20040157893	A1	20040812	US 2003-722374	20031125	<--

**Serial#: 10/595,734**

US 20040132781	A1	20040708	US 2003-736426	20031215 <--
US 7087603	B2	20060808		
HK 1058356	A1	20061201	HK 2003-109140	20031215 <--
US 20040167141	A1	20040826	US 2004-775699	20040210 <--
US 7427681	B2	20080923		
HK 1060347	A1	20061201	HK 2004-101883	20040315 <--
HK 1062565	A1	20090731	HK 2004-105510	20040727 <--
JP 2005097322	A	20050414	JP 2004-366925	20041217 <--
US 20070270444	A1	20071122	US 2006-369220	20060306 <--
US 7691853	B2	20100406		
AU 2006201228	A1	20060413	AU 2006-201228	20060321 <--
AU 2006201228	B2	20100128		
AU 2006201229	A1	20060413	AU 2006-201229	20060321 <--
AU 2006201229	B2	20081120		
AU 2006201230	A1	20060413	AU 2006-201230	20060321 <--
AU 2006201230	B2	20080911		
AU 2006201262	A1	20060427	AU 2006-201262	20060321 <--
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321 <--
AU 2006201263	B2	20081030		
AU 2006201264	A1	20060427	AU 2006-201264	20060321 <--
AU 2006201265	A1	20060427	AU 2006-201265	20060321 <--
AU 2006201265	B2	20080904		
AU 2006201266	A1	20060427	AU 2006-201266	20060321 <--
AU 2006201266	B2	20091217		
AU 2006201267	A1	20060427	AU 2006-201267	20060321 <--
US 20060258658	A1	20061116	US 2006-492450	20060725 <--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723 <--
JP 2008115195	A	20080522	JP 2008-15681	20080125 <--
JP 2008189682	A	20080821	JP 2008-95581	20080401 <--
JP 2008260767	A	20081030	JP 2008-95584	20080401 <--
JP 2008222719	A	20080925	JP 2008-97620	20080403 <--
JP 2008189687	A	20080821	JP 2008-98506	20080404 <--
US 20080287444	A1	20081120	US 2008-109598	20080425 <--
JP 2008201808	A	20080904	JP 2008-121723	20080507 <--
JP 2008247920	A	20081016	JP 2008-121724	20080507 <--
JP 2008247921	A	20081016	JP 2008-121727	20080507 <--
AU 2008252044	A1	20090122	AU 2008-252044	20081203 <--
JP 2009155352	A	20090716	JP 2009-101481	20090417 <--
US 20090312543	A1	20091217	US 2009-545347	20090821 <--
JP 2009286805	A	20091210	JP 2009-212566	20090914 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915 <--
			US 2000-257887P	P 20001221 <--
			US 2001-286949P	P 20010427 <--
			AU 2001-296871	A3 20010914 <--
			AU 2001-296875	A3 20010914 <--
			AU 2001-90914	A 20010914 <--
			AU 2001-90944	A3 20010914 <--
			AU 2001-91013	A3 20010914 <--
			AU 2001-94558	A3 20010914 <--
			AU 2001-96871	T0 20010914 <--
			AU 2001-96875	T0 20010914 <--
			EP 2001-971082	A3 20010914 <--
			JP 2002-526860	A3 20010914 <--
			US 2001-952671	A3 20010914 <--
			US 2001-953471	A3 20010914 <--
			US 2001-955601	A3 20010914 <--
			WO 2001-US28940	W 20010914 <--
			AU 2002-234047	A3 20011219 <--
			AU 2002-245198	A3 20011219 <--
			AU 2002-246731	A3 20011219 <--

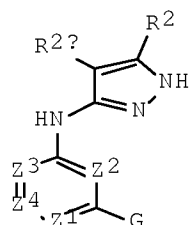
**Serial#: 10/595,734**

AU	2002-34047	T0	20011219	<--
EP	2001-273861	A	20011219	<--
EP	2001-994323	A3	20011219	<--
JP	2002-551561	A3	20011219	<--
JP	2002-557938	A3	20011219	<--
JP	2002-559413	A3	20011219	<--
JP	2002-563142	A3	20011219	<--
JP	2002-565976	A3	20011219	<--
JP	2002-567928	A3	20011219	<--
US	2001-26966	A1	20011219	<--
US	2001-26992	A1	20011219	<--
WO	2001-US49139	W	20011219	<--
WO	2001-US50312	W	20011219	<--
JP	2002-551562	A3	20011220	<--
JP	2002-559414	A3	20011220	<--
US	2001-34019	A3	20011220	<--
US	2001-34683	A1	20011220	<--
IN	2003-KN795	A3	20030619	<--
US	2003-624800	A3	20030722	<--
US	2004-775699	A1	20040210	
JP	2004-366925	A3	20041217	
AU	2006-201396	A3	20060404	

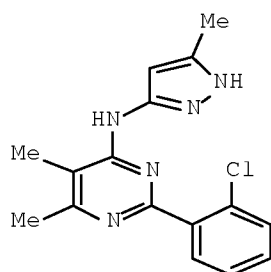
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:247583

GI



I



II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z<sup>1</sup> = N or CR<sup>9</sup>; Z<sup>2</sup> = N or CH; Z<sup>3</sup> = N or CR<sub>x</sub>; Z<sup>4</sup> = N or CR<sub>y</sub>; R<sub>x</sub> and R<sub>y</sub> = independently TR<sub>3</sub>, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R<sup>2</sup> and R<sup>2a</sup> = independently R, TWR<sub>6</sub>; or C<sub>2</sub>R<sub>2</sub>R<sup>2a</sup> = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R<sub>6</sub>)<sub>2</sub>O, C(R<sub>6</sub>)<sub>2</sub>S<sub>0-2</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>, CO, CO<sub>2</sub>, CR<sub>6</sub>OCO, CR<sub>6</sub>OCONR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CO, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CO<sub>2</sub>, CR<sub>6</sub>:NNR<sub>6</sub>, CR<sub>6</sub>:NO, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>NR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>SO<sub>2</sub>NR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CONR<sub>6</sub>, or CONR<sub>6</sub>; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R<sub>3</sub> = R, halo, O, OR, COR, CO<sub>2</sub>R, COCOR, COCH<sub>2</sub>COR, NO<sub>2</sub>, CN, SO<sub>0-2</sub>R, N(R<sub>4</sub>)<sub>2</sub>, CON(R<sub>4</sub>)<sub>2</sub>, SO<sub>2</sub>N(R<sub>4</sub>)<sub>2</sub>, OCOR, NR<sub>4</sub>COR, NR<sub>4</sub>CO<sub>2</sub>(aliphatic), NR<sub>4</sub>N(R<sub>4</sub>)<sub>2</sub>, C:NN(R<sub>4</sub>)<sub>2</sub>, C:NOR, NR<sub>4</sub>CO(R<sub>4</sub>)<sub>2</sub>, NR<sub>4</sub>SO<sub>2</sub>N(R<sub>4</sub>)<sub>2</sub>, NR<sub>4</sub>SO<sub>2</sub>R, or OCON(R<sub>4</sub>)<sub>2</sub>; R<sub>4</sub> = R<sub>7</sub>, COR<sub>7</sub>, CO<sub>2</sub>(aliphatic), CON(R<sub>7</sub>)<sub>2</sub>, or SO<sub>2</sub>R<sub>7</sub>; or N(R<sub>4</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; R<sub>6</sub> and R<sub>7</sub> = independently H or (un)substituted aliphatic group; or N(R<sub>6</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; or N(R<sub>7</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; R<sub>9</sub> = R, halo, OR, COR, CO<sub>2</sub>R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and



**Serial#: 10/595,734**

Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CR<sub>x</sub>; Z4 = CR<sub>y</sub>; G = Ring C]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited K<sub>i</sub> values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2. IPCI C07D0403-12 [ICM, 7]; C07D0401-14 [ICS, 7]; A61K0031-506 [ICS, 7];

A61K0031-4155 [ICS, 7]; A61P0035-00 [ICS, 7]; C07D0403-14 [ICS, 7]; C07D0403-00 [ICS, 7, C\*]; C07D0405-14 [ICS, 7]; C07D0405-00 [ICS, 7, C\*]; C07D0409-14 [ICS, 7]; C07D0409-00 [ICS, 7, C\*]; C07D0471-04 [ICS, 7]; C07D0471-00 [ICS, 7, C\*]; C07D0487-04 [ICS, 7]; C07D0487-00 [ICS, 7, C\*]; C07D0401-12 [ICS, 7]; C07D0401-00 [ICS, 7, C\*]; C07D0493-04 [ICS, 7]; C07D0493-00 [ICS, 7, C\*]; C07D0498-04 [ICS, 7]; C07D0498-00 [ICS, 7, C\*]; C07D0513-04 [ICS, 7]; C07D0513-00 [ICS, 7, C\*]

IPCR C07D0403-00 [I, C\*]; C07D0403-12 [I, A]; A61K0031-415 [I, C\*]; A61K0031-415 [I, A]; A61K0031-4353 [I, C\*]; A61K0031-437 [I, A]; A61K0031-4709 [I, C\*]; A61K0031-4709 [I, A]; A61K0031-472 [I, C\*]; A61K0031-4725 [I, A]; A61K0031-501 [I, C\*]; A61K0031-501 [I, A]; A61K0031-5025 [I, C\*]; A61K0031-5025 [I, A]; A61K0031-506 [I, C\*]; A61K0031-506 [I, A]; A61K0031-517 [I, C\*]; A61K0031-517 [I, A]; A61K0031-519 [I, C\*]; A61K0031-519 [I, A]; A61K0031-52 [I, A]; A61K0031-53 [I, C\*]; A61K0031-53 [I, A]; A61K0031-5375 [I, C\*]; A61K0031-5377 [I, A]; A61K0031-541 [I, C\*]; A61K0031-541 [I, A]; A61K0031-55 [I, C\*]; A61K0031-55 [I, A]; A61K0045-00 [I, C\*]; A61K0045-00 [I, A]; A61P0001-00 [I, C\*]; A61P0001-16 [I, A]; A61P0003-00 [I, C\*]; A61P0003-08 [I, A]; A61P0003-10 [I, A]; A61P0003-14 [I, A]; A61P0005-00 [I, C\*]; A61P0005-00 [I, A]; A61P0009-00 [I, C\*]; A61P0009-00 [I, A]; A61P0009-10 [I, A]; A61P0011-00 [I, C\*]; A61P0011-06 [I, A]; A61P0017-00 [I, C\*]; A61P0017-06 [I, A]; A61P0019-00 [I, C\*]; A61P0019-00 [I, A]; A61P0019-02 [I, A]; A61P0019-10 [I, A]; A61P0025-00 [I, C\*]; A61P0025-18 [I, A]; A61P0025-28 [I, A]; A61P0029-00 [I, C\*]; A61P0029-00 [I, A]; A61P0031-00 [I, C\*]; A61P0031-12 [I, A]; A61P0035-00 [I, C\*]; A61P0035-00 [I, A]; A61P0035-02 [I, A]; A61P0037-00 [I, C\*]; A61P0037-02 [I, A]; A61P0037-06 [I, A]; A61P0037-08 [I, A]; A61P0043-00 [I, C\*]; A61P0043-00 [I, A]; C07D0239-00 [I, C\*]; C07D0239-26 [I, A]; C07D0239-36 [I, A]; C07D0239-70 [I, A]; C07D0239-88 [I, A]; C07D0401-00 [I, C\*]; C07D0401-12 [I, A]; C07D0401-14 [I, A]; C07D0403-14 [I, A]; C07D0405-00 [I, C\*]; C07D0405-14 [I, A]; C07D0407-00 [I, C\*]; C07D0407-14 [I, A]; C07D0409-00 [I, C\*]; C07D0409-14 [I, A]; C07D0413-00 [I, C\*]; C07D0413-14 [I, A]; C07D0417-00 [I, C\*]; C07D0417-14 [I, A]; C07D0471-00 [I, C\*]; C07D0471-04 [I, A]; C07D0473-00 [I, C\*]; C07D0473-16 [I, A]; C07D0475-00 [I, C\*]; C07D0475-10 [I, A]; C07D0487-00 [I, C\*]; C07D0487-04 [I, A]; C07D0491-00 [I, C\*]; C07D0491-04 [I, A]; C07D0491-044 [I, A]; C07D0491-048 [I, A]; C07D0491-052 [I, A]; C07D0491-107 [I, A]; C07D0491-113 [I, A]; C07D0491-20 [I, A]; C07D0493-00 [I, C\*]; C07D0493-04 [I, A]; C07D0495-00 [I, C\*]; C07D0495-04 [I, A]; C07D0498-00 [I, C\*]; C07D0498-04 [I, A]; C07D0513-00 [I, C\*]; C07D0513-04 [I, A]; C07D0521-00 [I, C\*]; C07D0521-00 [I, A]

CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1

IT 607-68-1P, 2,4-Dichloroquinazoline 41339-17-7P,  
5-Nitro-1H-indazol-3-ylamine 61272-71-7P, 5-Bromo-1H-indazol-3-ylamine  
61272-72-8P, 5-Fluoro-1H-indazol-3-ylamine 404826-17-1P,  
2-(2-Trifluoromethylphenyl)pyrido[2,3-d][1,3]oxazin-4-one 404826-18-2P,  
2-(2-Trifluoromethylbenzoylamino)nicotinamide 404826-19-3P,  
4-Chloro-2-(3,5-dichlorophenyl)quinazoline 404826-26-2P,  
[4-(4-Methylpiperidin-1-yl)pyrimidin-2-yl](5-methyl-2H-pyrazol-3-yl)amine  
404827-60-7P, 7-Fluoro-1H-indazol-3-ylamine 404827-65-2P,  
5,7-Difluoro-1H-indazol-3-ylamine 404827-75-4P,  
6-Fluoro-1H-indazol-3-ylamine 404827-76-5P,  
7-Fluoro-6-trifluoromethyl-1H-indazol-3-ylamine 404827-77-6P,  
6-Bromo-1H-indazol-3-ylamine 404827-78-7P, 4-Fluoro-1H-indazol-3-ylamine

**Serial#: 10/595,734**

404827-79-8P, 4-Pyrrol-1-yl-1H-indazol-3-ylamine 404827-80-1P,  
4-Chloro-5,6-dimethyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-81-2P, 4-Chloro-2-(2-chlorophenyl)-5,6-dimethylpyrimidine  
404827-82-3P, 4-Chloro-6-methyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-83-4P, 4-Chloro-6-cyclohexyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-84-5P, 4-Chloro-6-phenyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-85-6P, 4-Chloro-2-(2,4-dichlorophenyl)-5,6-dimethylpyrimidine  
404827-86-7P, 4-Chloro-6-(2-chlorophenyl)-2-(2-  
trifluoromethylphenyl)pyrimidine 404827-87-8P,  
4-Chloro-6-(2-fluorophenyl)-2-(2-trifluoromethylphenyl)pyrimidine  
404827-88-9P, 4-Chloro-6-pyridin-2-yl-2-(2-  
trifluoromethylphenyl)pyrimidine 404827-89-0P,  
6-Benzyl-4-chloro-2-(2-trifluoromethylphenyl)-5,6,7,8-tetrahydropyrido[4,3-  
d]pyrimidine 404827-90-3P, 7-Benzyl-4-chloro-2-(2-trifluoromethylphenyl)-  
5,6,7,8-tetrahydropyrido[3,4-d]pyrimidine 404827-91-4P,  
4-Chloro-2-(4-fluoro-2-trifluoromethylphenyl)quinazoline 404827-92-5P,  
4-Chloro-2-(2-chloro-5-trifluoromethylphenyl)quinazoline 404827-93-6P,  
4-Chloro-2-(2-chloro-4-nitrophenyl)quinazoline 404827-94-7P,  
4-Chloro-2-(2-trifluoromethylphenyl)quinazoline 404827-95-8P,  
4-Chloro-2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidine  
404827-96-9P, 4-Chloro-2-(2-chlorophenyl)-6,7,8,9-tetrahydro-5H-  
cycloheptapyrimidine 404827-97-0P,  
4-Chloro-2-(2-trifluoromethylphenyl)-5,6,7,8,9,10-  
hexahydrocyclooctapyrimidine 404827-98-1P,  
4-Chloro-8-methoxy-2-(2-trifluoromethylphenyl)quinazoline 404828-00-8P,  
2-(4-Chloroquinazolin-2-yl)benzonitrile 404828-01-9P,  
6-Methyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one  
404828-02-0P, 6-Cyclohexyl-2-(2-trifluoromethylphenyl)-3H-  
pyrimidin-4-one 404828-03-1P, 2-(2-Chloro-5-trifluoromethylphenyl)-3H-  
quinazolin-4-one 404828-04-2P, 2-(4-Fluoro-2-trifluoromethylphenyl)-3H-  
quinazolin-4-one 404828-05-3P, 2-(4-Nitro-2-chlorophenyl)-3H-quinazolin-  
4-one 404828-06-4P, 2-(5-Fluoro-2-trifluoromethylphenyl)-3H-quinazolin-4-  
one 404828-30-4P, (2-Chloroquinazolin-4-yl)(5-methyl-1H-pyrazol-3-  
yl)amine 404829-31-8P, (6-Chloro-2-phenylpyrimidin-4-yl)(5-methyl-2H-  
pyrazol-3-yl)amine 404829-59-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(intermediate; preparation of heterocyclylpyrazolamines and analogs as  
protein kinase inhibitors for treatment of cancer, diabetes, and  
Alzheimer's disease)

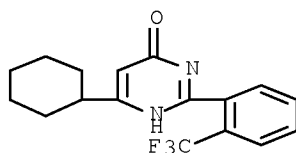
IT 404828-02-0P, 6-Cyclohexyl-2-(2-trifluoromethylphenyl)-3H-  
pyrimidin-4-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(intermediate; preparation of heterocyclylpyrazolamines and analogs as  
protein kinase inhibitors for treatment of cancer, diabetes, and  
Alzheimer's disease)

RN 404828-02-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-cyclohexyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX  
NAME)



**Serial#: 10/595,734**

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS  
RECORD (10 CITINGS)  
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 18 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2002:220582 HCAPLUS Full-text  
DOCUMENT NUMBER: 136:247582  
TITLE: Preparation of pyrazolamines and analogs as protein  
kinase inhibitors for treatment of cancer, diabetes,  
and Alzheimer's disease  
INVENTOR(S): Bebbington, David; Binch, Hayley; Knegt, Ronald;  
Golec, Julian M. C.; Patel, Sanjay; Charrier,  
Jean-Damien; Kay, David; Davies, Robert; Li, Pan;  
Wannamaker, Marion; Forster, Cornelia; Pierce, Albert  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 355 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 15  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022606	A1	20020321	WO 2001-US28803	20010914 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2422378	A1	20020321	CA 2001-2422378	20010914 <--
CA 2422378	C	20100427		
AU 2001090944	A	20020326	AU 2001-90944	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317448	A1	20030611	EP 2001-971006	20010914 <--
EP 1317448	B1	20050504		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
HU 2003002411	A2	20031128	HU 2003-2411	20010914 <--
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509116	T	20040325	JP 2002-526859	20010914 <--
JP 4105949	B2	20080625		
US 20040097501	A1	20040520	US 2001-953471	20010914 <--

**Serial#: 10/595,734**

US 7115739	B2	20061003		
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
AT 294797	T	20050515	AT 2001-971006	20010914 <--
PT 1317448	E	20050831	PT 2001-971006	20010914 <--
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	E	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--
AT 363284	T	20070615	AT 2001-977783	20010914 <--
NZ 545284	A	20070629	NZ 1984-5452	20010914 <--
CN 100355750	C	20071219	CN 2001-817427	20010914 <--
TW 303636	B	20081201	TW 2001-90122889	20010914 <--
IL 154747	A	20100517	IL 2001-154747	20010914 <--
CA 2432303	A1	20020829	CA 2001-2432303	20011219 <--
CA 2432303	C	20100413		
AU 2002255452	A1	20020904	AU 2002-255452	20011219 <--
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219 <--
CA 2432223	C	20080520		
AU 2001297619	A1	20020912	AU 2001-297619	20011219 <--
AU 2001297619	B2	20060608		
EP 1345922	A1	20030924	EP 2001-271061	20011219 <--
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219 <--
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219 <--
JP 2004518743	T	20040624	JP 2002-565976	20011219 <--
JP 2004519479	T	20040702	JP 2002-567928	20011219 <--
JP 4234435	B2	20090304		
HU 2004000842	A2	20040728	HU 2004-842	20011219 <--
NZ 526473	A	20050624	NZ 2001-526473	20011219 <--
EP 1702920	A1	20060920	EP 2006-11799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
KR 947185	B1	20100315	KR 2003-708397	20011219 <--
ZA 2003001697	A	20040301	ZA 2003-1697	20030228 <--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228 <--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228 <--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228 <--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228 <--
IN 2003KN00293	A	20050311	IN 2003-KN293	20030310 <--
NO 2003001189	A	20030513	NO 2003-1189	20030314 <--
NO 326850	B1	20090302		
KR 876069	B1	20081226	KR 2003-703800	20030314 <--
MX 2003002293	A	20030606	MX 2003-2293	20030317 <--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609 <--

**Serial#: 10/595,734**

ZA 2003004469	A	20040624	ZA 2003-4469	20030609	<--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609	<--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609	<--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609	<--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609	<--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609	<--
NO 2003002704	A	20030821	NO 2003-2704	20030613	<--
IN 2003KN00795	A	20050204	IN 2003-KN795	20030619	<--
IN 219078	A1	20080425			
MX 2003005609	A	20031006	MX 2003-5609	20030620	<--
MX 2003005610	A	20031006	MX 2003-5610	20030620	<--
US 20040224944	A1	20041111	US 2003-624800	20030722	<--
US 7008948	B2	20060307			
US 20040116454	A1	20040617	US 2003-692355	20031023	<--
US 7390815	B2	20080624			
US 20040157893	A1	20040812	US 2003-722374	20031125	<--
HK 1057890	A1	20051216	HK 2003-108726	20031128	<--
US 20040132781	A1	20040708	US 2003-736426	20031215	<--
US 7087603	B2	20060808			
US 20040167141	A1	20040826	US 2004-775699	20040210	<--
US 7427681	B2	20080923			
HK 1060347	A1	20061201	HK 2004-101883	20040315	<--
HK 1062565	A1	20090731	HK 2004-105510	20040727	<--
JP 2005097322	A	20050414	JP 2004-366925	20041217	<--
US 20070270444	A1	20071122	US 2006-369220	20060306	<--
US 7691853	B2	20100406			
AU 2006201228	A1	20060413	AU 2006-201228	20060321	<--
AU 2006201228	B2	20100128			
AU 2006201229	A1	20060413	AU 2006-201229	20060321	<--
AU 2006201229	B2	20081120			
AU 2006201230	A1	20060413	AU 2006-201230	20060321	<--
AU 2006201230	B2	20080911			
AU 2006201262	A1	20060427	AU 2006-201262	20060321	<--
AU 2006201262	B2	20080904			
AU 2006201263	A1	20060427	AU 2006-201263	20060321	<--
AU 2006201263	B2	20081030			
AU 2006201264	A1	20060427	AU 2006-201264	20060321	<--
AU 2006201265	A1	20060427	AU 2006-201265	20060321	<--
AU 2006201265	B2	20080904			
AU 2006201266	A1	20060427	AU 2006-201266	20060321	<--
AU 2006201266	B2	20091217			
AU 2006201267	A1	20060427	AU 2006-201267	20060321	<--
US 20060258658	A1	20061116	US 2006-492450	20060725	<--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723	<--
JP 2008115195	A	20080522	JP 2008-15681	20080125	<--
JP 2008189682	A	20080821	JP 2008-95581	20080401	<--
JP 2008260767	A	20081030	JP 2008-95584	20080401	<--
JP 2008222719	A	20080925	JP 2008-97620	20080403	<--
JP 2008189687	A	20080821	JP 2008-98506	20080404	<--
US 20080287444	A1	20081120	US 2008-109598	20080425	
JP 2008201808	A	20080904	JP 2008-121723	20080507	<--
JP 2008247920	A	20081016	JP 2008-121724	20080507	<--
JP 2008247921	A	20081016	JP 2008-121727	20080507	<--
AU 2008252044	A1	20090122	AU 2008-252044	20081203	<--
JP 2009155352	A	20090716	JP 2009-101481	20090417	<--
US 20090312543	A1	20091217	US 2009-545347	20090821	<--
JP 2009286805	A	20091210	JP 2009-212566	20090914	<--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915 <--
			US 2000-257887P	P	20001221 <--
			US 2001-286949P	P	20010427 <--
			AU 2001-296871	A3	20010914 <--

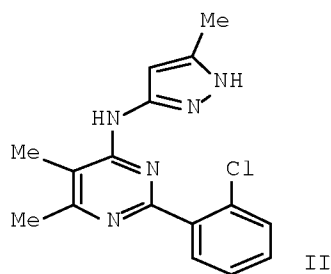
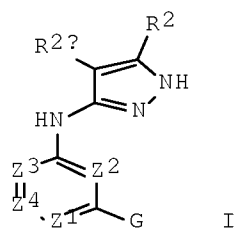
**Serial#: 10/595,734**

AU 2001-296875	A3 20010914 <--
AU 2001-90914	A 20010914 <--
AU 2001-90944	A3 20010914 <--
AU 2001-91013	A3 20010914 <--
AU 2001-94558	A3 20010914 <--
AU 2001-96871	T0 20010914 <--
AU 2001-96875	T0 20010914 <--
EP 2001-971082	A3 20010914 <--
JP 2002-526860	A3 20010914 <--
US 2001-952671	A3 20010914 <--
US 2001-953471	A3 20010914 <--
US 2001-955601	A3 20010914 <--
WO 2001-US28803	W 20010914 <--
AU 2002-234047	A3 20011219 <--
AU 2002-245198	A3 20011219 <--
AU 2002-246731	A3 20011219 <--
AU 2002-34047	T0 20011219 <--
EP 2001-273861	A 20011219 <--
EP 2001-994323	A3 20011219 <--
JP 2002-551561	A3 20011219 <--
JP 2002-557938	A3 20011219 <--
JP 2002-559413	A3 20011219 <--
JP 2002-563142	A3 20011219 <--
JP 2002-565976	A3 20011219 <--
JP 2002-567928	A3 20011219 <--
US 2001-26966	A1 20011219 <--
US 2001-26992	A1 20011219 <--
WO 2001-US49139	W 20011219 <--
WO 2001-US50312	W 20011219 <--
JP 2002-551562	A3 20011220 <--
JP 2002-559414	A3 20011220 <--
US 2001-34019	A3 20011220 <--
US 2001-34683	A1 20011220 <--
IN 2003-KN795	A3 20030619 <--
US 2003-624800	A3 20030722 <--
US 2004-775699	A1 20040210
JP 2004-366925	A3 20041217
AU 2006-201396	A3 20060404

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S) : MARPAT 136:247582

GI



AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph,

**Serial#: 10/595,734**

pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR<sub>9</sub>; Z2 = N or CH; Z3 = N or CR<sub>x</sub>; Z4 = N or CR<sub>y</sub>; R<sub>x</sub> and R<sub>y</sub> = independently TR<sub>3</sub>, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R<sub>2</sub> and R<sub>2a</sub> = independently R, TWR<sub>6</sub>; or C<sub>2</sub>R<sub>2</sub>R<sub>2a</sub> = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R<sub>6</sub>)<sub>2</sub>O, C(R<sub>6</sub>)<sub>2</sub>SO<sub>2</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>, CO, CO<sub>2</sub>, CR<sub>6</sub>OCO, CR<sub>6</sub>CONR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CO, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CO<sub>2</sub>, CR<sub>6</sub>:NNR<sub>6</sub>, CR<sub>6</sub>:NO, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>NR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>SO<sub>2</sub>NR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CONR<sub>6</sub>, or CONR<sub>6</sub>; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R<sub>3</sub> = R, halo, O, OR, COR, CO<sub>2</sub>R, COCOR, COCH<sub>2</sub>COR, NO<sub>2</sub>, CN, SO<sub>2</sub>-2R, N(R<sub>4</sub>)<sub>2</sub>, CON(R<sub>4</sub>)<sub>2</sub>, SO<sub>2</sub>N(R<sub>4</sub>)<sub>2</sub>, OCOR, NR<sub>4</sub>COR, NR<sub>4</sub>CO<sub>2</sub>(aliphatic), NR<sub>4</sub>N(R<sub>4</sub>)<sub>2</sub>, C:NN(R<sub>4</sub>)<sub>2</sub>, C:NOR, NR<sub>4</sub>CO(R<sub>4</sub>)<sub>2</sub>, NR<sub>4</sub>SO<sub>2</sub>N(R<sub>4</sub>)<sub>2</sub>, NR<sub>4</sub>SO<sub>2</sub>R, or OCON(R<sub>4</sub>)<sub>2</sub>; R<sub>4</sub> = R<sub>7</sub>, COR<sub>7</sub>, CO<sub>2</sub>(aliphatic), CON(R<sub>7</sub>)<sub>2</sub>, or SO<sub>2</sub>R<sub>7</sub>; or N(R<sub>4</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; R<sub>6</sub> and R<sub>7</sub> = independently H or (un)substituted aliphatic group; or N(R<sub>6</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; or N(R<sub>7</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; R<sub>9</sub> = R, halo, OR, COR, CO<sub>2</sub>R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CR<sub>x</sub>; Z4 = CR<sub>y</sub>; G = Ring D]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β<sub>3</sub>, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited K<sub>i</sub> values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2. IPCI C07D0403-12 [ICM, 7]; C07D0401-14 [ICS, 7]; C07D0401-00 [ICS, 7, C\*];

A61K0031-506 [ICS, 7]; A61K0031-4155 [ICS, 7]; A61P0035-00 [ICS, 7]; C07D0403-14 [ICS, 7]; C07D0403-00 [ICS, 7, C\*]; C07D0405-14 [ICS, 7]; C07D0405-00 [ICS, 7, C\*]; C07D0521-00 [ICS, 7]; C07D0493-04 [ICS, 7]; C07D0493-00 [ICS, 7, C\*]; C07D0495-04 [ICS, 7]; C07D0495-00 [ICS, 7, C\*]; C07D0471-04 [ICS, 7]; C07D0471-00 [ICS, 7, C\*]; C07D0473-16 [ICS, 7]; C07D0473-00 [ICS, 7, C\*]

IPCR C07D0403-00 [I, C\*]; C07D0403-12 [I, A]; A61K0031-415 [I, C\*]; A61K0031-415 [I, A]; A61K0031-4353 [I, C\*]; A61K0031-437 [I, A]; A61K0031-4709 [I, C\*]; A61K0031-4709 [I, A]; A61K0031-472 [I, C\*]; A61K0031-4725 [I, A]; A61K0031-501 [I, C\*]; A61K0031-501 [I, A]; A61K0031-5025 [I, C\*]; A61K0031-5025 [I, A]; A61K0031-506 [I, C\*]; A61K0031-506 [I, A]; A61K0031-517 [I, C\*]; A61K0031-517 [I, A]; A61K0031-519 [I, C\*]; A61K0031-519 [I, A]; A61K0031-52 [I, A]; A61K0031-53 [I, C\*]; A61K0031-53 [I, A]; A61K0031-5375 [I, C\*]; A61K0031-5377 [I, A]; A61K0031-541 [I, C\*]; A61K0031-541 [I, A]; A61K0031-55 [I, C\*]; A61K0031-55 [I, A]; A61K0045-00 [I, C\*]; A61K0045-00 [I, A]; A61P0001-00 [I, C\*]; A61P0001-16 [I, A]; A61P0003-00 [I, C\*]; A61P0003-08 [I, A]; A61P0003-10 [I, A]; A61P0003-14 [I, A]; A61P0005-00 [I, C\*]; A61P0005-00 [I, A]; A61P0009-00 [I, C\*]; A61P0009-00 [I, A]; A61P0009-10 [I, A]; A61P0011-00 [I, C\*]; A61P0011-06 [I, A]; A61P0017-00 [I, C\*]; A61P0017-06 [I, A]; A61P0019-00 [I, C\*]; A61P0019-00 [I, A]; A61P0019-02 [I, A]; A61P0019-10 [I, A]; A61P0025-00 [I, C\*]; A61P0025-18 [I, A]; A61P0025-28 [I, A]; A61P0029-00 [I, C\*]; A61P0029-00 [I, A]; A61P0031-00 [I, C\*]; A61P0031-12 [I, A]; A61P0035-00 [I, C\*]; A61P0035-00 [I, A]; A61P0035-02 [I, A]; A61P0037-00 [I, C\*]; A61P0037-02 [I, A]; A61P0037-06 [I, A]; A61P0037-08 [I, A]; A61P0043-00 [I, C\*]; A61P0043-00 [I, A]; C07D0239-00 [I, C\*]; C07D0239-26 [I, A]; C07D0239-36 [I, A]; C07D0239-70 [I, A]; C07D0239-88 [I, A]; C07D0401-00 [I, C\*]; C07D0401-12 [I, A]; C07D0401-14 [I, A]; C07D0403-14 [I, A]; C07D0405-00 [I, C\*]; C07D0405-14 [I, A]; C07D0407-00 [I, C\*]; C07D0407-14 [I, A]; C07D0409-00 [I, C\*]; C07D0409-14 [I, A]; C07D0413-00 [I, C\*]; C07D0413-14 [I, A]; C07D0417-00 [I, C\*]; C07D0417-14 [I, A]; C07D0471-00 [I, C\*]; C07D0471-04 [I, A]; C07D0473-00 [I, C\*]; C07D0473-16 [I, A]; C07D0475-00 [I, C\*]; C07D0475-10 [I, A]; C07D0487-00 [I, C\*]; C07D0487-04 [I, A]; C07D0491-00 [I, C\*]; C07D0491-04 [I, A]; C07D0491-044 [I, A]; C07D0491-048 [I, A]; C07D0491-052 [I, A]; C07D0491-107 [I, A]; C07D0491-113 [I, A]; C07D0491-20 [I, A]; C07D0493-00 [I, C\*]; C07D0493-04 [I, A]; C07D0495-00 [I, C\*]; C07D0495-04 [I, A]; C07D0498-00 [I, C\*]; C07D0498-04 [I, A]; C07D0513-00

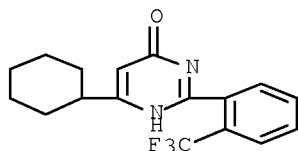
**Serial#: 10/595,734**

[I,C\*]; C07D0513-04 [I,A]; C07D0521-00 [I,C\*]; C07D0521-00 [I,A]  
CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1  
IT 607-68-1P, 2,4-Dichloroquinazoline 41339-17-7P,  
5-Nitro-1H-indazol-3-ylamine 61272-71-7P, 5-Bromo-1H-indazol-3-ylamine  
61272-72-8P, 5-Fluoro-1H-indazol-3-ylamine 404826-17-1P,  
2-(2-Trifluoromethylphenyl)pyrido[2,3-d][1,3]oxazin-4-one 404826-18-2P,  
2-(2-Trifluoromethylbenzoylamino)nicotinamide 404826-19-3P,  
4-Chloro-2-(3,5-dichlorophenyl)quinazoline 404826-26-2P,  
[4-(4-Methylpiperidin-1-yl)pyrimidin-2-yl](5-methyl-2H-pyrazol-3-yl)amine  
404827-60-7P, 7-Fluoro-1H-indazol-3-ylamine 404827-65-2P,  
5,7-Difluoro-1H-indazol-3-ylamine 404827-75-4P,  
6-Fluoro-1H-indazol-3-ylamine 404827-76-5P,  
7-Fluoro-6-trifluoromethyl-1H-indazol-3-ylamine 404827-77-6P,  
6-Bromo-1H-indazol-3-ylamine 404827-78-7P, 4-Fluoro-1H-indazol-3-ylamine  
404827-79-8P, 4-Pyrrol-1-yl-1H-indazol-3-ylamine 404827-80-1P,  
4-Chloro-5,6-dimethyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-81-2P, 4-Chloro-2-(2-chlorophenyl)-5,6-dimethylpyrimidine  
404827-82-3P, 4-Chloro-6-methyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-83-4P, 4-Chloro-6-cyclohexyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-84-5P, 4-Chloro-6-phenyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-85-6P, 4-Chloro-2-(2,4-dichlorophenyl)-5,6-dimethylpyrimidine  
404827-86-7P, 4-Chloro-6-(2-chlorophenyl)-2-(2-  
trifluoromethylphenyl)pyrimidine 404827-87-8P,  
4-Chloro-6-(2-fluorophenyl)-2-(2-trifluoromethylphenyl)pyrimidine  
404827-88-9P, 4-Chloro-6-pyridin-2-yl-2-(2-  
trifluoromethylphenyl)pyrimidine 404827-89-0P,  
6-Benzyl-4-chloro-2-(2-trifluoromethylphenyl)-5,6,7,8-tetrahydropyrido[4,3-  
d]pyrimidine 404827-90-3P, 7-Benzyl-4-chloro-2-(2-trifluoromethylphenyl)-  
5,6,7,8-tetrahydropyrido[3,4-d]pyrimidine 404827-91-4P,  
4-Chloro-2-(4-fluoro-2-trifluoromethylphenyl)quinazoline 404827-92-5P,  
4-Chloro-2-(2-chloro-5-trifluoromethylphenyl)quinazoline 404827-93-6P,  
4-Chloro-2-(2-chloro-4-nitrophenyl)quinazoline 404827-94-7P,  
4-Chloro-2-(2-trifluoromethylphenyl)quinazoline 404827-95-8P,  
4-Chloro-2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidine  
404827-96-9P, 4-Chloro-2-(2-chlorophenyl)-6,7,8,9-tetrahydro-5H-  
cycloheptapyrimidine 404827-97-0P,  
4-Chloro-2-(2-trifluoromethylphenyl)-5,6,7,8,9,10-  
hexahydrocyclooctapyrimidine 404827-98-1P,  
4-Chloro-8-methoxy-2-(2-trifluoromethylphenyl)quinazoline 404828-00-8P,  
2-(4-Chloroquinazolin-2-yl)benzonitrile 404828-01-9P,  
6-Methyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one  
404828-02-0P, 6-Cyclohexyl-2-(2-trifluoromethylphenyl)-3H-  
pyrimidin-4-one 404828-03-1P, 2-(2-Chloro-5-trifluoromethylphenyl)-3H-  
quinazolin-4-one 404828-04-2P, 2-(4-Fluoro-2-trifluoromethylphenyl)-3H-  
quinazolin-4-one 404828-05-3P, 2-(4-Nitro-2-chlorophenyl)-3H-quinazolin-  
4-one 404828-06-4P, 2-(5-Fluoro-2-trifluoromethylphenyl)-3H-quinazolin-4-  
one 404828-30-4P, (2-Chloroquinazolin-4-yl)(5-methyl-1H-pyrazol-3-  
yl)amine 404829-31-8P, (6-Chloro-2-phenylpyrimidin-4-yl)(5-methyl-2H-  
pyrazol-3-yl)amine 404829-59-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(intermediate; preparation of heterocyclylpyrazolamines and analogs as  
protein kinase inhibitors for treatment of cancer, diabetes, and  
Alzheimer's disease)  
IT 404828-02-0P, 6-Cyclohexyl-2-(2-trifluoromethylphenyl)-3H-  
pyrimidin-4-one  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(intermediate; preparation of heterocyclylpyrazolamines and analogs as  
protein kinase inhibitors for treatment of cancer, diabetes, and



**Serial#: 10/595,734**

Alzheimer's disease)  
RN 404828-02-0 HCAPLUS  
CN 4(3H)-Pyrimidinone, 6-cyclohexyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX  
NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD  
(7 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 19 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2002:220581 HCAPLUS Full-text  
DOCUMENT NUMBER: 136:247581  
TITLE: Preparation of pyrazolamines and analogs as protein  
kinase inhibitors for treatment of cancer, diabetes,  
and Alzheimer's disease  
INVENTOR(S): Golec, Julian M. C.; Charrier, Jean-Damien; Knegt,el,  
Ronald; Bebbington, David; Davies, Robert; Li, Pan  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 357 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 15  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022605	A1	20020321	WO 2001-US28793	20010914 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2422377	A1	20020321	CA 2001-2422377	20010914 <--
CA 2422377	C	20100413		
AU 2001092670	A	20020326	AU 2001-92670	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--

**Serial#: 10/595,734**

US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317449	A1	20030611	EP 2001-973050	20010914 <--
EP 1317449	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509115	T	20040325	JP 2002-526858	20010914 <--
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
PT 1317448	E	20050831	PT 2001-971006	20010914 <--
NZ 525014	A	20050930	NZ 2001-525014	20010914 <--
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	E	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--
AT 363284	T	20070615	AT 2001-977783	20010914 <--
NZ 545284	A	20070629	NZ 1984-5452	20010914 <--
CN 100355750	C	20071219	CN 2001-817427	20010914 <--
TW 303636	B	20081201	TW 2001-90122889	20010914 <--
IL 154747	A	20100517	IL 2001-154747	20010914 <--
CA 2432303	A1	20020829	CA 2001-2432303	20011219 <--
CA 2432303	C	20100413		
AU 2002255452	A1	20020904	AU 2002-255452	20011219 <--
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219 <--
CA 2432223	C	20080520		
AU 2001297619	A1	20020912	AU 2001-297619	20011219 <--
AU 2001297619	B2	20060608		
EP 1345922	A1	20030924	EP 2001-271061	20011219 <--
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219 <--
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219 <--
JP 2004518743	T	20040624	JP 2002-565976	20011219 <--
JP 2004519479	T	20040702	JP 2002-567928	20011219 <--
JP 4234435	B2	20090304		
HU 2004000842	A2	20040728	HU 2004-842	20011219 <--
NZ 526473	A	20050624	NZ 2001-526473	20011219 <--
EP 1702920	A1	20060920	EP 2006-11799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
KR 947185	B1	20100315	KR 2003-708397	20011219 <--
ZA 2003001697	A	20040301	ZA 2003-1697	20030228 <--

**Serial#: 10/595,734**

ZA 2003001699	A	20040301	ZA 2003-1699	20030228 <--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228 <--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228 <--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228 <--
MX 2003002289	A	20030606	MX 2003-2289	20030317 <--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609 <--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609 <--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609 <--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609 <--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609 <--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609 <--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609 <--
NO 2003002704	A	20030821	NO 2003-2704	20030613 <--
IN 2003KN00795	A	20050204	IN 2003-KN795	20030619 <--
IN 219078	A1	20080425		
MX 2003005609	A	20031006	MX 2003-5609	20030620 <--
MX 2003005610	A	20031006	MX 2003-5610	20030620 <--
US 20040224944	A1	20041111	US 2003-624800	20030722 <--
US 7008948	B2	20060307		
US 20040116454	A1	20040617	US 2003-692355	20031023 <--
US 7390815	B2	20080624		
HK 1057887	A1	20061215	HK 2003-108524	20031121 <--
US 20040157893	A1	20040812	US 2003-722374	20031125 <--
US 20040132781	A1	20040708	US 2003-736426	20031215 <--
US 7087603	B2	20060808		
US 20040167141	A1	20040826	US 2004-775699	20040210 <--
US 7427681	B2	20080923		
HK 1060347	A1	20061201	HK 2004-101883	20040315 <--
HK 1062565	A1	20090731	HK 2004-105510	20040727 <--
JP 2005097322	A	20050414	JP 2004-366925	20041217 <--
US 20070270444	A1	20071122	US 2006-369220	20060306 <--
US 7691853	B2	20100406		
AU 2006201228	A1	20060413	AU 2006-201228	20060321 <--
AU 2006201228	B2	20100128		
AU 2006201229	A1	20060413	AU 2006-201229	20060321 <--
AU 2006201229	B2	20081120		
AU 2006201230	A1	20060413	AU 2006-201230	20060321 <--
AU 2006201230	B2	20080911		
AU 2006201262	A1	20060427	AU 2006-201262	20060321 <--
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321 <--
AU 2006201263	B2	20081030		
AU 2006201264	A1	20060427	AU 2006-201264	20060321 <--
AU 2006201265	A1	20060427	AU 2006-201265	20060321 <--
AU 2006201265	B2	20080904		
AU 2006201266	A1	20060427	AU 2006-201266	20060321 <--
AU 2006201266	B2	20091217		
AU 2006201267	A1	20060427	AU 2006-201267	20060321 <--
US 20060258658	A1	20061116	US 2006-492450	20060725 <--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723 <--
JP 2008115195	A	20080522	JP 2008-15681	20080125 <--
JP 2008189682	A	20080821	JP 2008-95581	20080401 <--
JP 2008260767	A	20081030	JP 2008-95584	20080401 <--
JP 2008222719	A	20080925	JP 2008-97620	20080403 <--
JP 2008189687	A	20080821	JP 2008-98506	20080404 <--
US 20080287444	A1	20081120	US 2008-109598	20080425 <--
JP 2008201808	A	20080904	JP 2008-121723	20080507 <--
JP 2008247920	A	20081016	JP 2008-121724	20080507 <--
JP 2008247921	A	20081016	JP 2008-121727	20080507 <--
AU 2008252044	A1	20090122	AU 2008-252044	20081203 <--

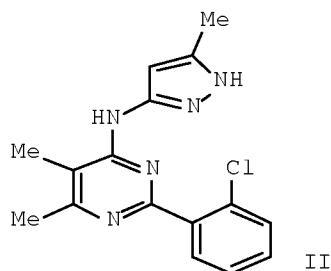
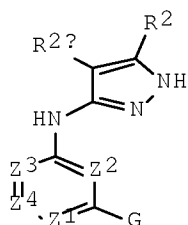
**Serial#: 10/595,734**

JP 2009155352	A	20090716	JP 2009-101481	20090417 <--
US 20090312543	A1	20091217	US 2009-545347	20090821 <--
JP 2009286805	A	20091210	JP 2009-212566	20090914 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915 <--
			US 2000-257887P	P 20001221 <--
			US 2001-286949P	P 20010427 <--
			AU 2001-296871	A3 20010914 <--
			AU 2001-296875	A3 20010914 <--
			AU 2001-90914	A 20010914 <--
			AU 2001-90944	A3 20010914 <--
			AU 2001-91013	A3 20010914 <--
			AU 2001-94558	A3 20010914 <--
			AU 2001-96871	T0 20010914 <--
			AU 2001-96875	T0 20010914 <--
			EP 2001-971082	A3 20010914 <--
			JP 2002-526860	A3 20010914 <--
			US 2001-952671	A3 20010914 <--
			US 2001-953471	A3 20010914 <--
			US 2001-955601	A3 20010914 <--
			WO 2001-US28793	W 20010914 <--
			AU 2002-234047	A3 20011219 <--
			AU 2002-245198	A3 20011219 <--
			AU 2002-246731	A3 20011219 <--
			AU 2002-34047	T0 20011219 <--
			EP 2001-273861	A 20011219 <--
			EP 2001-994323	A3 20011219 <--
			JP 2002-551561	A3 20011219 <--
			JP 2002-557938	A3 20011219 <--
			JP 2002-559413	A3 20011219 <--
			JP 2002-563142	A3 20011219 <--
			JP 2002-565976	A3 20011219 <--
			JP 2002-567928	A3 20011219 <--
			US 2001-26966	A1 20011219 <--
			US 2001-26992	A1 20011219 <--
			WO 2001-US49139	W 20011219 <--
			WO 2001-US50312	W 20011219 <--
			JP 2002-551562	A3 20011220 <--
			JP 2002-559414	A3 20011220 <--
			US 2001-34019	A3 20011220 <--
			US 2001-34683	A1 20011220 <--
			IN 2003-KN795	A3 20030619 <--
			US 2003-624800	A3 20030722 <--
			US 2004-775699	A1 20040210
			JP 2004-366925	A3 20041217
			AU 2006-201396	A3 20060404

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:247581

GI



AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrazolamines and indazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N; at least one of Z1 or Z3 = N]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2. IPCI C07D0403-12 [ICM, 7]; C07D0403-00 [ICM, 7, C\*]; C07D0401-14 [ICS, 7];

C07D0401-00 [ICS, 7, C\*]; C07D0409-14 [ICS, 7]; C07D0409-00 [ICS, 7, C\*];

A61K0031-497 [ICS, 7]; A61K0031-4965 [ICS, 7, C\*]; A61K0031-53 [ICS, 7];

A61P0035-00 [ICS, 7]

IPCR C07D0403-00 [I, C\*]; C07D0403-12 [I, A]; A61K0031-415 [I, C\*]; A61K0031-415

[I, A]; A61K0031-4353 [I, C\*]; A61K0031-437 [I, A]; A61K0031-4709 [I, C\*];

A61K0031-4709 [I, A]; A61K0031-472 [I, C\*]; A61K0031-4725 [I, A];

A61K0031-501 [I, C\*]; A61K0031-501 [I, A]; A61K0031-5025 [I, C\*];

A61K0031-5025 [I, A]; A61K0031-506 [I, C\*]; A61K0031-506 [I, A]; A61K0031-517

[I, C\*]; A61K0031-517 [I, A]; A61K0031-519 [I, C\*]; A61K0031-519 [I, A];

A61K0031-52 [I, A]; A61K0031-53 [I, C\*]; A61K0031-53 [I, A]; A61K0031-5375

[I, C\*]; A61K0031-5377 [I, A]; A61K0031-541 [I, C\*]; A61K0031-541 [I, A];

A61K0031-55 [I, C\*]; A61K0031-55 [I, A]; A61K0045-00 [I, C\*]; A61K0045-00

[I, A]; A61P0001-00 [I, C\*]; A61P0001-16 [I, A]; A61P0003-00 [I, C\*];

A61P0003-08 [I, A]; A61P0003-10 [I, A]; A61P0003-14 [I, A]; A61P0005-00

[I, C\*]; A61P0005-00 [I, A]; A61P0009-00 [I, C\*]; A61P0009-00 [I, A];

A61P0009-10 [I, A]; A61P0011-00 [I, C\*]; A61P0011-06 [I, A]; A61P0017-00

[I, C\*]; A61P0017-06 [I, A]; A61P0019-00 [I, C\*]; A61P0019-00 [I, A];

A61P0019-02 [I, A]; A61P0019-10 [I, A]; A61P0025-00 [I, C\*]; A61P0025-18

[I, A]; A61P0025-28 [I, A]; A61P0029-00 [I, C\*]; A61P0029-00 [I, A];

A61P0031-00 [I, C\*]; A61P0031-12 [I, A]; A61P0035-00 [I, C\*]; A61P0035-00

[I, A]; A61P0035-02 [I, A]; A61P0037-00 [I, C\*]; A61P0037-02 [I, A];

A61P0037-06 [I, A]; A61P0037-08 [I, A]; A61P0043-00 [I, C\*]; A61P0043-00

[I, A]; C07D0239-00 [I, C\*]; C07D0239-26 [I, A]; C07D0239-36 [I, A];

C07D0239-70 [I, A]; C07D0239-88 [I, A]; C07D0401-00 [I, C\*]; C07D0401-12

[I, A]; C07D0401-14 [I, A]; C07D0403-14 [I, A]; C07D0405-00 [I, C\*];

C07D0405-14 [I, A]; C07D0407-00 [I, C\*]; C07D0407-14 [I, A]; C07D0409-00

[I, C\*]; C07D0409-14 [I, A]; C07D0413-00 [I, C\*]; C07D0413-14 [I, A];

C07D0417-00 [I, C\*]; C07D0417-14 [I, A]; C07D0471-00 [I, C\*]; C07D0471-04

[I, A]; C07D0473-00 [I, C\*]; C07D0473-16 [I, A]; C07D0475-00 [I, C\*];

C07D0475-10 [I, A]; C07D0487-00 [I, C\*]; C07D0487-04 [I, A]; C07D0491-00

[I, C\*]; C07D0491-04 [I, A]; C07D0491-044 [I, A]; C07D0491-048 [I, A];

C07D0491-052 [I, A]; C07D0491-107 [I, A]; C07D0491-113 [I, A]; C07D0491-20

**Serial#: 10/595,734**

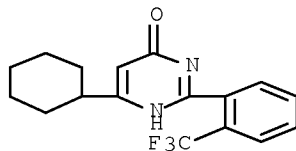
[I,A]; C07D0493-00 [I,C\*]; C07D0493-04 [I,A]; C07D0495-00 [I,C\*];  
C07D0495-04 [I,A]; C07D0498-00 [I,C\*]; C07D0498-04 [I,A]; C07D0513-00  
[I,C\*]; C07D0513-04 [I,A]; C07D0521-00 [I,C\*]; C07D0521-00 [I,A]  
CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1  
IT 607-68-1P, 2,4-Dichloroquinazoline 41339-17-7P,  
5-Nitro-1H-indazol-3-ylamine 61272-71-7P, 5-Bromo-1H-indazol-3-ylamine  
61272-72-8P, 5-Fluoro-1H-indazol-3-ylamine 404826-17-1P,  
2-(2-Trifluoromethylphenyl)pyrido[2,3-d][1,3]oxazin-4-one 404826-18-2P,  
2-(2-Trifluoromethylbenzoylamino)nicotinamide 404826-19-3P,  
4-Chloro-2-(3,5-dichlorophenyl)quinazoline 404826-26-2P,  
[4-(4-Methylpiperidin-1-yl)pyrimidin-2-yl](5-methyl-2H-pyrazol-3-yl)amine  
404827-60-7P, 7-Fluoro-1H-indazol-3-ylamine 404827-65-2P,  
5,7-Difluoro-1H-indazol-3-ylamine 404827-75-4P,  
6-Fluoro-1H-indazol-3-ylamine 404827-76-5P,  
7-Fluoro-6-trifluoromethyl-1H-indazol-3-ylamine 404827-77-6P,  
6-Bromo-1H-indazol-3-ylamine 404827-78-7P, 4-Fluoro-1H-indazol-3-ylamine  
404827-79-8P, 4-Pyrrol-1-yl-1H-indazol-3-ylamine 404827-80-1P,  
4-Chloro-5,6-dimethyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-81-2P, 4-Chloro-2-(2-chlorophenyl)-5,6-dimethylpyrimidine  
404827-82-3P, 4-Chloro-6-methyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-83-4P, 4-Chloro-6-cyclohexyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-84-5P, 4-Chloro-6-phenyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-85-6P, 4-Chloro-2-(2,4-dichlorophenyl)-5,6-dimethylpyrimidine  
404827-86-7P, 4-Chloro-6-(2-chlorophenyl)-2-(2-  
trifluoromethylphenyl)pyrimidine 404827-87-8P,  
4-Chloro-6-(2-fluorophenyl)-2-(2-trifluoromethylphenyl)pyrimidine  
404827-88-9P, 4-Chloro-6-pyridin-2-yl-2-(2-  
trifluoromethylphenyl)pyrimidine 404827-89-0P,  
6-Benzyl-4-chloro-2-(2-trifluoromethylphenyl)-5,6,7,8-tetrahydropyrido[4,3-  
d]pyrimidine 404827-90-3P, 7-Benzyl-4-chloro-2-(2-trifluoromethylphenyl)-  
5,6,7,8-tetrahydropyrido[3,4-d]pyrimidine 404827-91-4P,  
4-Chloro-2-(4-fluoro-2-trifluoromethylphenyl)quinazoline 404827-92-5P,  
4-Chloro-2-(2-chloro-5-trifluoromethylphenyl)quinazoline 404827-93-6P,  
4-Chloro-2-(2-chloro-4-nitrophenyl)quinazoline 404827-94-7P,  
4-Chloro-2-(2-trifluoromethylphenyl)quinazoline 404827-95-8P,  
4-Chloro-2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidine  
404827-96-9P, 4-Chloro-2-(2-chlorophenyl)-6,7,8,9-tetrahydro-5H-  
cycloheptapyrimidine 404827-97-0P,  
4-Chloro-2-(2-trifluoromethylphenyl)-5,6,7,8,9,10-  
hexahydrocyclooctapyrimidine 404827-98-1P,  
4-Chloro-8-methoxy-2-(2-trifluoromethylphenyl)quinazoline 404828-00-8P,  
2-(4-Chloroquinazolin-2-yl)benzonitrile 404828-01-9P,  
6-Methyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one  
404828-02-0P, 6-Cyclohexyl-2-(2-trifluoromethylphenyl)-3H-  
pyrimidin-4-one 404828-03-1P, 2-(2-Chloro-5-trifluoromethylphenyl)-3H-  
quinazolin-4-one 404828-04-2P, 2-(4-Fluoro-2-trifluoromethylphenyl)-3H-  
quinazolin-4-one 404828-05-3P, 2-(4-Nitro-2-chlorophenyl)-3H-quinazolin-  
4-one 404828-06-4P, 2-(5-Fluoro-2-trifluoromethylphenyl)-3H-quinazolin-4-  
one 404828-30-4P, (2-Chloroquinazolin-4-yl)(5-methyl-1H-pyrazol-3-  
yl)amine 404829-31-8P, (6-Chloro-2-phenylpyrimidin-4-yl)(5-methyl-2H-  
pyrazol-3-yl)amine 404829-59-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(intermediate; preparation of heterocyclylpyrazolamines and analogs as  
protein kinase inhibitors for treatment of cancer, diabetes, and  
Alzheimer's disease)  
IT 404828-02-0P, 6-Cyclohexyl-2-(2-trifluoromethylphenyl)-3H-  
pyrimidin-4-one  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

**Serial#: 10/595,734**

(intermediate; preparation of heterocyclpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404828-02-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-cyclohexyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 20 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:220580 HCAPLUS Full-text

DOCUMENT NUMBER: 136:247606

TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.

INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley; Knegetel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 357 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 15

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022604	A1	20020321	WO 2001-US28792	20010914 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2422371	A1	20020321	CA 2001-2422371	20010914 <--
CA 2422371	C	20100518		
AU 2001094558	A	20020326	AU 2001-94558	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--

**Serial#: 10/595,734**

US 7473691	B2	20090106			
US 20030073687	A1	20030417	US 2001-952671	20010914	<--
US 6660731	B2	20031209			
US 20030078166	A1	20030424	US 2001-955601	20010914	<--
US 6696452	B2	20040224			
US 20030083327	A1	20030501	US 2001-952833	20010914	<--
US 6610677	B2	20030826			
EP 1317450	A1	20030611	EP 2001-975210	20010914	<--
EP 1317450	B1	20061122			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
HU 2003002172	A2	20030929	HU 2003-2172	20010914	<--
ZA 2003001701	A	20040301	ZA 2003-1701	20010914	<--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914	<--
JP 2004512277	T	20040422	JP 2002-526857	20010914	<--
JP 4105948	B2	20080625			
US 20040097501	A1	20040520	US 2001-953471	20010914	<--
US 7115739	B2	20061003			
US 20050004110	A1	20050106	US 2001-952878	20010914	<--
US 7098330	B2	20060829			
NZ 525009	A	20050527	NZ 2001-525009	20010914	<--
PT 1317448	E	20050831	PT 2001-971006	20010914	<--
ES 2242771	T3	20051116	ES 2001-971006	20010914	<--
AT 326458	T	20060615	AT 2001-970969	20010914	<--
AT 327990	T	20060615	AT 2001-970971	20010914	<--
AT 327992	T	20060615	AT 2001-971082	20010914	<--
AT 327991	T	20060615	AT 2001-973050	20010914	<--
AT 326459	T	20060615	AT 2001-977779	20010914	<--
EP 1698627	A1	20060906	EP 2006-10798	20010914	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
PT 1318997	E	20061031	PT 2001-971082	20010914	<--
AT 346064	T	20061215	AT 2001-975210	20010914	<--
ES 2266258	T3	20070301	ES 2001-970971	20010914	<--
ES 2266259	T3	20070301	ES 2001-971082	20010914	<--
AT 363284	T	20070615	AT 2001-977783	20010914	<--
NZ 545284	A	20070629	NZ 1984-5452	20010914	<--
CN 100355750	C	20071219	CN 2001-817427	20010914	<--
TW 303636	B	20081201	TW 2001-90122889	20010914	<--
IL 154747	A	20100517	IL 2001-154747	20010914	<--
CA 2432303	A1	20020829	CA 2001-2432303	20011219	<--
CA 2432303	C	20100413			
AU 2002255452	A1	20020904	AU 2002-255452	20011219	<--
AU 2002255452	B2	20060608			
CA 2432223	A1	20020906	CA 2001-2432223	20011219	<--
CA 2432223	C	20080520			
AU 2001297619	A1	20020912	AU 2001-297619	20011219	<--
AU 2001297619	B2	20060608			
EP 1345922	A1	20030924	EP 2001-271061	20011219	<--
EP 1345922	B1	20060531			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
EP 1355905	A1	20031029	EP 2001-273861	20011219	<--
EP 1355905	B1	20070221			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
NZ 526472	A	20040430	NZ 2001-526472	20011219	<--
JP 2004518743	T	20040624	JP 2002-565976	20011219	<--
JP 2004519479	T	20040702	JP 2002-567928	20011219	<--
JP 4234435	B2	20090304			
HU 2004000842	A2	20040728	HU 2004-842	20011219	<--



**Serial#: 10/595,734**

NZ 526473	A	20050624	NZ 2001-526473	20011219 <--
EP 1702920	A1	20060920	EP 2006-11799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
KR 947185	B1	20100315	KR 2003-708397	20011219 <--
ZA 2003001697	A	20040301	ZA 2003-1697	20030228 <--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228 <--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228 <--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228 <--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228 <--
IN 2003KN00289	A	20050311	IN 2003-KN289	20030307 <--
NO 2003001190	A	20030513	NO 2003-1190	20030314 <--
MX 2003002292	A	20030606	MX 2003-2292	20030317 <--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609 <--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609 <--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609 <--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609 <--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609 <--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609 <--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609 <--
NO 2003002704	A	20030821	NO 2003-2704	20030613 <--
IN 2003KN00795	A	20050204	IN 2003-KN795	20030619 <--
IN 219078	A1	20080425		
MX 2003005609	A	20031006	MX 2003-5609	20030620 <--
MX 2003005610	A	20031006	MX 2003-5610	20030620 <--
US 20040224944	A1	20041111	US 2003-624800	20030722 <--
US 7008948	B2	20060307		
US 20040116454	A1	20040617	US 2003-692355	20031023 <--
US 7390815	B2	20080624		
US 20040157893	A1	20040812	US 2003-722374	20031125 <--
HK 1057748	A1	20070615	HK 2003-108588	20031125 <--
US 20040132781	A1	20040708	US 2003-736426	20031215 <--
US 7087603	B2	20060808		
US 20040167141	A1	20040826	US 2004-775699	20040210 <--
US 7427681	B2	20080923		
HK 1060347	A1	20061201	HK 2004-101883	20040315 <--
HK 1062565	A1	20090731	HK 2004-105510	20040727 <--
JP 2005097322	A	20050414	JP 2004-366925	20041217 <--
US 20070270444	A1	20071122	US 2006-369220	20060306 <--
US 7691853	B2	20100406		
AU 2006201228	A1	20060413	AU 2006-201228	20060321 <--
AU 2006201228	B2	20100128		
AU 2006201229	A1	20060413	AU 2006-201229	20060321 <--
AU 2006201229	B2	20081120		
AU 2006201230	A1	20060413	AU 2006-201230	20060321 <--
AU 2006201230	B2	20080911		
AU 2006201262	A1	20060427	AU 2006-201262	20060321 <--
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321 <--
AU 2006201263	B2	20081030		
AU 2006201264	A1	20060427	AU 2006-201264	20060321 <--
AU 2006201265	A1	20060427	AU 2006-201265	20060321 <--
AU 2006201265	B2	20080904		
AU 2006201266	A1	20060427	AU 2006-201266	20060321 <--
AU 2006201266	B2	20091217		
AU 2006201267	A1	20060427	AU 2006-201267	20060321 <--
US 20060258658	A1	20061116	US 2006-492450	20060725 <--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723 <--
JP 2008115195	A	20080522	JP 2008-15681	20080125 <--
JP 2008189682	A	20080821	JP 2008-95581	20080401 <--

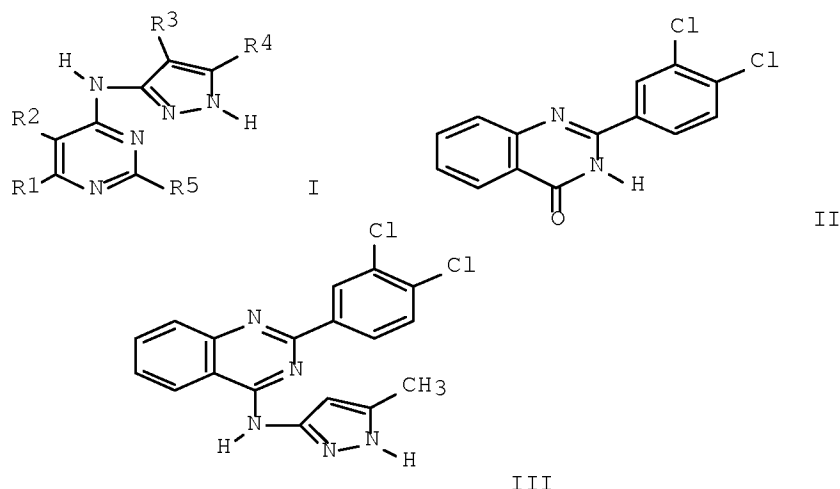
**Serial#: 10/595,734**

JP 2008260767	A	20081030	JP 2008-95584	20080401 <--
JP 2008222719	A	20080925	JP 2008-97620	20080403 <--
JP 2008189687	A	20080821	JP 2008-98506	20080404 <--
US 20080287444	A1	20081120	US 2008-109598	20080425
JP 2008201808	A	20080904	JP 2008-121723	20080507 <--
JP 2008247920	A	20081016	JP 2008-121724	20080507 <--
JP 2008247921	A	20081016	JP 2008-121727	20080507 <--
AU 2008252044	A1	20090122	AU 2008-252044	20081203 <--
JP 2009155352	A	20090716	JP 2009-101481	20090417 <--
US 20090312543	A1	20091217	US 2009-545347	20090821 <--
JP 2009286805	A	20091210	JP 2009-212566	20090914 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915 <--
			US 2000-257887P	P 20001221 <--
			US 2001-286949P	P 20010427 <--
			AU 2001-296871	A3 20010914 <--
			AU 2001-296875	A3 20010914 <--
			AU 2001-90914	A 20010914 <--
			AU 2001-90944	A3 20010914 <--
			AU 2001-91013	A3 20010914 <--
			AU 2001-94558	A3 20010914 <--
			AU 2001-96871	T0 20010914 <--
			AU 2001-96875	T0 20010914 <--
			EP 2001-971082	A3 20010914 <--
			JP 2002-526860	A3 20010914 <--
			US 2001-952671	A3 20010914 <--
			US 2001-953471	A3 20010914 <--
			US 2001-955601	A3 20010914 <--
			WO 2001-US28792	W 20010914 <--
			AU 2002-234047	A3 20011219 <--
			AU 2002-245198	A3 20011219 <--
			AU 2002-246731	A3 20011219 <--
			AU 2002-34047	T0 20011219 <--
			EP 2001-273861	A 20011219 <--
			EP 2001-994323	A3 20011219 <--
			JP 2002-551561	A3 20011219 <--
			JP 2002-557938	A3 20011219 <--
			JP 2002-559413	A3 20011219 <--
			JP 2002-563142	A3 20011219 <--
			JP 2002-565976	A3 20011219 <--
			JP 2002-567928	A3 20011219 <--
			US 2001-26966	A1 20011219 <--
			US 2001-26992	A1 20011219 <--
			WO 2001-US49139	W 20011219 <--
			WO 2001-US50312	W 20011219 <--
			JP 2002-551562	A3 20011220 <--
			JP 2002-559414	A3 20011220 <--
			US 2001-34019	A3 20011220 <--
			US 2001-34683	A1 20011220 <--
			IN 2003-KN795	A3 20030619 <--
			US 2003-624800	A3 20030722 <--
			US 2004-775699	A1 20040210
			JP 2004-366925	A3 20041217
			AU 2006-201396	A3 20060404

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S):           MARPAT 136:247606

GI



AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = dependently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolinone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3 $\beta$  (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described. IPCI C07D0403-12 [ICS, 7]; C07D0401-14 [ICS, 7]; C07D0401-00 [ICS, 7, C\*];

A61K0031-506 [ICS, 7]; A61K0031-4155 [ICS, 7]; A61P0035-00 [ICS, 7]; C07D0403-14 [ICS, 7]; C07D0403-00 [ICS, 7, C\*]; C07D0405-14 [ICS, 7]; C07D0405-00 [ICS, 7, C\*]; C07D0521-00 [ICS, 7]; C07D0409-14 [ICS, 7]; C07D0409-00 [ICS, 7, C\*]; C07D0471-04 [ICS, 7]; C07D0471-00 [ICS, 7, C\*]; C07D0487-04 [ICS, 7]; C07D0487-00 [ICS, 7, C\*]

IPCR C07D0403-00 [I, C\*]; C07D0403-12 [I, A]; A61K0031-415 [I, C\*]; A61K0031-415 [I, A]; A61K0031-4353 [I, C\*]; A61K0031-437 [I, A]; A61K0031-4709 [I, C\*]; A61K0031-4709 [I, A]; A61K0031-472 [I, C\*]; A61K0031-4725 [I, A]; A61K0031-501 [I, C\*]; A61K0031-501 [I, A]; A61K0031-5025 [I, C\*]; A61K0031-5025 [I, A]; A61K0031-506 [I, C\*]; A61K0031-506 [I, A]; A61K0031-517 [I, C\*]; A61K0031-517 [I, A]; A61K0031-519 [I, C\*]; A61K0031-519 [I, A]; A61K0031-52 [I, A]; A61K0031-53 [I, C\*]; A61K0031-53 [I, A]; A61K0031-5375 [I, C\*]; A61K0031-5377 [I, A]; A61K0031-541 [I, C\*]; A61K0031-541 [I, A]; A61K0031-55 [I, C\*]; A61K0031-55 [I, A]; A61K0045-00 [I, C\*]; A61K0045-00 [I, A]; A61P0001-00 [I, C\*]; A61P0001-16 [I, A]; A61P0003-00 [I, C\*]; A61P0003-08 [I, A]; A61P0003-10 [I, A]; A61P0003-14 [I, A]; A61P0005-00 [I, C\*]; A61P0005-00 [I, A]; A61P0009-00 [I, C\*]; A61P0009-00 [I, A]; A61P0009-10 [I, A]; A61P0011-00 [I, C\*]; A61P0011-06 [I, A]; A61P0017-00 [I, C\*]; A61P0017-06 [I, A]; A61P0019-00 [I, C\*]; A61P0019-00 [I, A]; A61P0019-02 [I, A]; A61P0019-10 [I, A]; A61P0025-00 [I, C\*]; A61P0025-18 [I, A]; A61P0025-28 [I, A]; A61P0029-00 [I, C\*]; A61P0029-00 [I, A];

**Serial#: 10/595,734**

A61P0031-00 [I,C\*]; A61P0031-12 [I,A]; A61P0035-00 [I,C\*]; A61P0035-00 [I,A]; A61P0035-02 [I,A]; A61P0037-00 [I,C\*]; A61P0037-02 [I,A]; A61P0037-06 [I,A]; A61P0037-08 [I,A]; A61P0043-00 [I,C\*]; A61P0043-00 [I,A]; C07D0239-00 [I,C\*]; C07D0239-26 [I,A]; C07D0239-36 [I,A]; C07D0239-70 [I,A]; C07D0239-88 [I,A]; C07D0401-00 [I,C\*]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0403-14 [I,A]; C07D0405-00 [I,C\*]; C07D0405-14 [I,A]; C07D0407-00 [I,C\*]; C07D0407-14 [I,A]; C07D0409-00 [I,C\*]; C07D0409-14 [I,A]; C07D0413-00 [I,C\*]; C07D0413-14 [I,A]; C07D0417-00 [I,C\*]; C07D0417-14 [I,A]; C07D0471-00 [I,C\*]; C07D0471-04 [I,A]; C07D0473-00 [I,C\*]; C07D0473-16 [I,A]; C07D0475-00 [I,C\*]; C07D0475-10 [I,A]; C07D0487-00 [I,C\*]; C07D0487-04 [I,A]; C07D0491-00 [I,C\*]; C07D0491-04 [I,A]; C07D0491-044 [I,A]; C07D0491-048 [I,A]; C07D0491-052 [I,A]; C07D0491-107 [I,A]; C07D0491-113 [I,A]; C07D0491-20 [I,A]; C07D0493-00 [I,C\*]; C07D0493-04 [I,A]; C07D0495-00 [I,C\*]; C07D0495-04 [I,A]; C07D0498-00 [I,C\*]; C07D0498-04 [I,A]; C07D0513-00 [I,C\*]; C07D0513-04 [I,A]; C07D0521-00 [I,C\*]; C07D0521-00 [I,A]

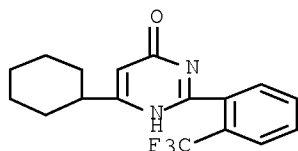
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1

IT 607-68-1P, 2,4-Dichloroquinazoline 41339-17-7P 61272-71-7P  
61272-72-8P 404826-17-1P, 2-(2-Trifluoromethylphenyl)pyrido[2,3-d][1,3]oxazin-4-one 404826-18-2P,  
2-(2-Trifluoromethylbenzoylamino)nicotinamide 404826-19-3P,  
4-Chloro-2-(3,5-dichlorophenyl)quinazoline 404827-60-7P 404827-65-2P  
404827-75-4P 404827-76-5P 404827-77-6P 404827-78-7P 404827-79-8P  
404827-80-1P 404827-81-2P 404827-82-3P 404827-83-4P 404827-84-5P  
404827-85-6P 404827-86-7P 404827-87-8P 404827-88-9P 404827-89-0P  
404827-90-3P 404827-91-4P 404827-92-5P 404827-93-6P 404827-94-7P  
404827-95-8P 404827-97-0P 404827-98-1P 404828-00-8P 404828-01-9P  
~~404828-02-0P~~ 404828-03-1P 404828-04-2P 404828-05-3P  
404828-06-4P 404828-30-4P, (2-Chloroquinazolin-4-yl)(5-methyl-1H-pyrazol-3-yl)amine 404829-31-8P, (6-Chloro-2-phenylpyrimidin-4-yl)(5-methyl-1H-pyrazol-3-yl)amine 404829-59-0P 404845-97-2P,  
2-(2-Trifluoromethylphenyl)-3H-pyrido[2,3-d]pyrimidin-4-one 404846-14-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

IT ~~404828-02-0P~~  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

RN 404828-02-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-cyclohexyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

**Serial#: 10/595,734**

L57 ANSWER 21 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2002:220579 HCAPLUS Full-text  
DOCUMENT NUMBER: 136:247580  
TITLE: Preparation of pyrazolamines and analogs as protein  
kinase inhibitors for treatment of cancer, diabetes,  
and Alzheimer's disease  
INVENTOR(S): Davies, Robert; Li, Pan; Golec, Julian; Bebbington,  
David  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 406 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 15  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022603	A1	20020321	WO 2001-US28738	20010914 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2422367	A1	20020321	CA 2001-2422367	20010914 <--
CA 2422367	C	20100518		
AU 2001090912	A	20020326	AU 2001-90912	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317447	A1	20030611	EP 2001-970969	20010914 <--
EP 1317447	B1	20060517		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
JP 2004525075	T	20040819	JP 2002-526856	20010914 <--
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
PT 1317448	E	20050831	PT 2001-971006	20010914 <--
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--

**Serial#: 10/595,734**

AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	E	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--
AT 363284	T	20070615	AT 2001-977783	20010914 <--
NZ 545284	A	20070629	NZ 1984-5452	20010914 <--
CN 100355750	C	20071219	CN 2001-817427	20010914 <--
TW 303636	B	20081201	TW 2001-90122889	20010914 <--
IL 154747	A	20100517	IL 2001-154747	20010914 <--
CA 2432303	A1	20020829	CA 2001-2432303	20011219 <--
CA 2432303	C	20100413		
AU 2002255452	A1	20020904	AU 2002-255452	20011219 <--
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219 <--
CA 2432223	C	20080520		
AU 2001297619	A1	20020912	AU 2001-297619	20011219 <--
AU 2001297619	B2	20060608		
EP 1345922	A1	20030924	EP 2001-271061	20011219 <--
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219 <--
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219 <--
JP 2004518743	T	20040624	JP 2002-565976	20011219 <--
JP 2004519479	T	20040702	JP 2002-567928	20011219 <--
JP 4234435	B2	20090304		
HU 2004000842	A2	20040728	HU 2004-842	20011219 <--
NZ 526473	A	20050624	NZ 2001-526473	20011219 <--
EP 1702920	A1	20060920	EP 2006-11799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
KR 947185	B1	20100315	KR 2003-708397	20011219 <--
ZA 2003001697	A	20040301	ZA 2003-1697	20030228 <--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228 <--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228 <--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228 <--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228 <--
MX 2003002295	A	20030606	MX 2003-2295	20030317 <--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609 <--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609 <--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609 <--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609 <--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609 <--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609 <--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609 <--
NO 2003002704	A	20030821	NO 2003-2704	20030613 <--
IN 2003KN00795	A	20050204	IN 2003-KN795	20030619 <--
IN 219078	A1	20080425		
MX 2003005609	A	20031006	MX 2003-5609	20030620 <--
MX 2003005610	A	20031006	MX 2003-5610	20030620 <--
US 20040224944	A1	20041111	US 2003-624800	20030722 <--
US 7008948	B2	20060307		
US 20040116454	A1	20040617	US 2003-692355	20031023 <--

**Serial#: 10/595,734**

US 7390815	B2	20080624		
HK 1057747	A1	20061201	HK 2003-108474	20031120 <--
US 20040157893	A1	20040812	US 2003-722374	20031125 <--
US 20040132781	A1	20040708	US 2003-736426	20031215 <--
US 7087603	B2	20060808		
US 20040167141	A1	20040826	US 2004-775699	20040210 <--
US 7427681	B2	20080923		
HK 1060347	A1	20061201	HK 2004-101883	20040315 <--
HK 1062565	A1	20090731	HK 2004-105510	20040727 <--
JP 2005097322	A	20050414	JP 2004-366925	20041217 <--
US 20070270444	A1	20071122	US 2006-369220	20060306 <--
US 7691853	B2	20100406		
AU 2006201228	A1	20060413	AU 2006-201228	20060321 <--
AU 2006201228	B2	20100128		
AU 2006201229	A1	20060413	AU 2006-201229	20060321 <--
AU 2006201229	B2	20081120		
AU 2006201230	A1	20060413	AU 2006-201230	20060321 <--
AU 2006201230	B2	20080911		
AU 2006201262	A1	20060427	AU 2006-201262	20060321 <--
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321 <--
AU 2006201263	B2	20081030		
AU 2006201264	A1	20060427	AU 2006-201264	20060321 <--
AU 2006201265	A1	20060427	AU 2006-201265	20060321 <--
AU 2006201265	B2	20080904		
AU 2006201266	A1	20060427	AU 2006-201266	20060321 <--
AU 2006201266	B2	20091217		
AU 2006201267	A1	20060427	AU 2006-201267	20060321 <--
AU 2006201391	A1	20060427	AU 2006-201391	20060404 <--
AU 2006201391	B2	20081113		
US 20060258658	A1	20061116	US 2006-492450	20060725 <--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723 <--
JP 2008115195	A	20080522	JP 2008-15681	20080125 <--
JP 2008189682	A	20080821	JP 2008-95581	20080401 <--
JP 2008260767	A	20081030	JP 2008-95584	20080401 <--
JP 2008222719	A	20080925	JP 2008-97620	20080403 <--
JP 2008189687	A	20080821	JP 2008-98506	20080404 <--
US 20080287444	A1	20081120	US 2008-109598	20080425
JP 2008201808	A	20080904	JP 2008-121723	20080507 <--
JP 2008247920	A	20081016	JP 2008-121724	20080507 <--
JP 2008247921	A	20081016	JP 2008-121727	20080507 <--
AU 2008252044	A1	20090122	AU 2008-252044	20081203 <--
JP 2009155352	A	20090716	JP 2009-101481	20090417 <--
US 20090312543	A1	20091217	US 2009-545347	20090821 <--
JP 2009286805	A	20091210	JP 2009-212566	20090914 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915 <--
			US 2000-257887P	P 20001221 <--
			US 2001-286949P	P 20010427 <--
			AU 2001-296871	A3 20010914 <--
			AU 2001-296875	A3 20010914 <--
			AU 2001-90912	A3 20010914 <--
			AU 2001-90914	A 20010914 <--
			AU 2001-90944	A3 20010914 <--
			AU 2001-91013	A3 20010914 <--
			AU 2001-94558	A3 20010914 <--
			AU 2001-96871	T0 20010914 <--
			AU 2001-96875	T0 20010914 <--
			EP 2001-971082	A3 20010914 <--
			JP 2002-526860	A3 20010914 <--
			US 2001-952671	A3 20010914 <--
			US 2001-953471	A3 20010914 <--

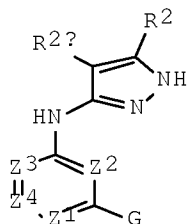
**Serial#: 10/595,734**

US 2001-955601	A3 20010914 <--
WO 2001-US28738	W 20010914 <--
AU 2002-234047	A3 20011219 <--
AU 2002-245198	A3 20011219 <--
AU 2002-246731	A3 20011219 <--
AU 2002-34047	T0 20011219 <--
EP 2001-273861	A 20011219 <--
EP 2001-994323	A3 20011219 <--
JP 2002-551561	A3 20011219 <--
JP 2002-557938	A3 20011219 <--
JP 2002-559413	A3 20011219 <--
JP 2002-563142	A3 20011219 <--
JP 2002-565976	A3 20011219 <--
JP 2002-567928	A3 20011219 <--
US 2001-26966	A1 20011219 <--
US 2001-26992	A1 20011219 <--
WO 2001-US49139	W 20011219 <--
WO 2001-US50312	W 20011219 <--
JP 2002-551562	A3 20011220 <--
JP 2002-559414	A3 20011220 <--
US 2001-34019	A3 20011220 <--
US 2001-34683	A1 20011220 <--
IN 2003-KN795	A3 20030619 <--
US 2003-624800	A3 20030722 <--
US 2004-775699	A1 20040210
JP 2004-366925	A3 20041217
AU 2006-201396	A3 20060404

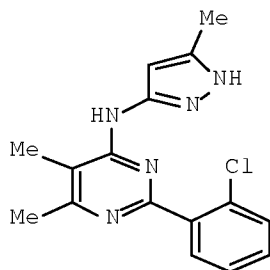
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:247580

GI



I



II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 =



### Serial#: 10/595,734

heterocyclyl or heteroaryl; or N(R<sup>7</sup>)<sub>2</sub> = heterocyclyl or heteroaryl; R<sub>9</sub> = R, halo, OR, COR, CO<sub>2</sub>R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines and indazolamines I [wherein Z<sub>1</sub>, Z<sub>2</sub>, and Z<sub>3</sub> = N; Z<sub>4</sub> = CR<sub>y</sub>]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β<sub>3</sub>, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited K<sub>i</sub> values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IPCI C07D0403-12 [ICM,7]; C07D0401-14 [ICS,7]; C07D0401-00 [ICS,7,C\*];  
C07D0409-14 [ICS,7]; C07D0409-00 [ICS,7,C\*]; A61K0031-497 [ICS,7];  
A61K0031-4965 [ICS,7,C\*]; A61K0031-53 [ICS,7]; A61P0035-00 [ICS,7];  
C07D0403-14 [ICS,7]; C07D0403-00 [ICS,7,C\*]; C07D0405-14 [ICS,7];  
C07D0405-00 [ICS,7,C\*]; C07D0417-14 [ICS,7]; C07D0417-00 [ICS,7,C\*];  
C07D0471-04 [ICS,7]; C07D0471-00 [ICS,7,C\*]; C07D0487-04 [ICS,7];  
C07D0487-00 [ICS,7,C\*]  
IPCR C07D0403-00 [I,C\*]; C07D0403-12 [I,A]; A61K0031-415 [I,C\*]; A61K0031-415  
[I,A]; A61K0031-4353 [I,C\*]; A61K0031-437 [I,A]; A61K0031-4709 [I,C\*];  
A61K0031-4709 [I,A]; A61K0031-472 [I,C\*]; A61K0031-4725 [I,A];  
A61K0031-501 [I,C\*]; A61K0031-501 [I,A]; A61K0031-5025 [I,C\*];  
A61K0031-5025 [I,A]; A61K0031-506 [I,C\*]; A61K0031-506 [I,A]; A61K0031-517  
[I,C\*]; A61K0031-517 [I,A]; A61K0031-519 [I,C\*]; A61K0031-519 [I,A];  
A61K0031-52 [I,A]; A61K0031-53 [I,C\*]; A61K0031-53 [I,A]; A61K0031-5375  
[I,C\*]; A61K0031-5377 [I,A]; A61K0031-541 [I,C\*]; A61K0031-541 [I,A];  
A61K0031-55 [I,C\*]; A61K0031-55 [I,A]; A61K0045-00 [I,C\*]; A61K0045-00  
[I,A]; A61P0001-00 [I,C\*]; A61P0001-16 [I,A]; A61P0003-00 [I,C\*];  
A61P0003-08 [I,A]; A61P0003-10 [I,A]; A61P0003-14 [I,A]; A61P0005-00  
[I,C\*]; A61P0005-00 [I,A]; A61P0009-00 [I,C\*]; A61P0009-00 [I,A];  
A61P0009-10 [I,A]; A61P0011-00 [I,C\*]; A61P0011-06 [I,A]; A61P0017-00  
[I,C\*]; A61P0017-06 [I,A]; A61P0019-00 [I,C\*]; A61P0019-00 [I,A];  
A61P0019-02 [I,A]; A61P0019-10 [I,A]; A61P0025-00 [I,C\*]; A61P0025-18  
[I,A]; A61P0025-28 [I,A]; A61P0029-00 [I,C\*]; A61P0029-00 [I,A];  
A61P0031-00 [I,C\*]; A61P0031-12 [I,A]; A61P0035-00 [I,C\*]; A61P0035-00  
[I,A]; A61P0035-02 [I,A]; A61P0037-00 [I,C\*]; A61P0037-02 [I,A];  
A61P0037-06 [I,A]; A61P0037-08 [I,A]; A61P0043-00 [I,C\*]; A61P0043-00  
[I,A]; C07D0239-00 [I,C\*]; C07D0239-26 [I,A]; C07D0239-36 [I,A];  
C07D0239-70 [I,A]; C07D0239-88 [I,A]; C07D0401-00 [I,C\*]; C07D0401-12  
[I,A]; C07D0401-14 [I,A]; C07D0403-14 [I,A]; C07D0405-00 [I,C\*];  
C07D0405-14 [I,A]; C07D0407-00 [I,C\*]; C07D0407-14 [I,A]; C07D0409-00  
[I,C\*]; C07D0409-14 [I,A]; C07D0413-00 [I,C\*]; C07D0413-14 [I,A];  
C07D0417-00 [I,C\*]; C07D0417-14 [I,A]; C07D0471-00 [I,C\*]; C07D0471-04  
[I,A]; C07D0473-00 [I,C\*]; C07D0473-16 [I,A]; C07D0475-00 [I,C\*];  
C07D0475-10 [I,A]; C07D0487-00 [I,C\*]; C07D0487-04 [I,A]; C07D0491-00  
[I,C\*]; C07D0491-04 [I,A]; C07D0491-044 [I,A]; C07D0491-048 [I,A];  
C07D0491-052 [I,A]; C07D0491-107 [I,A]; C07D0491-113 [I,A]; C07D0491-20  
[I,A]; C07D0493-00 [I,C\*]; C07D0493-04 [I,A]; C07D0495-00 [I,C\*];  
C07D0495-04 [I,A]; C07D0498-00 [I,C\*]; C07D0498-04 [I,A]; C07D0513-00  
[I,C\*]; C07D0513-04 [I,A]; C07D0521-00 [I,C\*]; C07D0521-00 [I,A]  
CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1  
IT 607-68-1P, 2,4-Dichloroquinazoline 41339-17-7P,  
5-Nitro-1H-indazol-3-ylamine 61272-71-7P, 5-Bromo-1H-indazol-3-ylamine  
61272-72-8P, 5-Fluoro-1H-indazol-3-ylamine 404826-17-1P,  
2-(2-Trifluoromethylphenyl)pyrido[2,3-d][1,3]oxazin-4-one 404826-18-2P,  
2-(2-Trifluoromethylbenzoylamino)nicotinamide 404826-19-3P,  
4-Chloro-2-(3,5-dichlorophenyl)quinazoline 404826-26-2P,  
[4-(4-Methylpiperidin-1-yl)pyrimidin-2-yl](5-methyl-2H-pyrazol-3-yl)amine  
404827-60-7P, 7-Fluoro-1H-indazol-3-ylamine 404827-65-2P,  
5,7-Difluoro-1H-indazol-3-ylamine 404827-75-4P,  
6-Fluoro-1H-indazol-3-ylamine 404827-76-5P,

**Serial#: 10/595,734**

7-Fluoro-6-trifluoromethyl-1H-indazol-3-ylamine 404827-77-6P,  
6-Bromo-1H-indazol-3-ylamine 404827-78-7P, 4-Fluoro-1H-indazol-3-ylamine  
404827-79-8P, 4-Pyrrol-1-yl-1H-indazol-3-ylamine 404827-80-1P,  
4-Chloro-5,6-dimethyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-81-2P, 4-Chloro-2-(2-chlorophenyl)-5,6-dimethylpyrimidine  
404827-82-3P, 4-Chloro-6-methyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-83-4P, 4-Chloro-6-cyclohexyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-84-5P, 4-Chloro-6-phenyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-85-6P, 4-Chloro-2-(2,4-dichlorophenyl)-5,6-dimethylpyrimidine  
404827-86-7P, 4-Chloro-6-(2-chlorophenyl)-2-(2-  
trifluoromethylphenyl)pyrimidine 404827-87-8P,  
4-Chloro-6-(2-fluorophenyl)-2-(2-trifluoromethylphenyl)pyrimidine  
404827-88-9P, 4-Chloro-6-pyridin-2-yl-2-(2-  
trifluoromethylphenyl)pyrimidine 404827-89-0P,  
6-Benzyl-4-chloro-2-(2-trifluoromethylphenyl)-5,6,7,8-tetrahydropyrido[4,3-  
d]pyrimidine 404827-90-3P, 7-Benzyl-4-chloro-2-(2-trifluoromethylphenyl)-  
5,6,7,8-tetrahydropyrido[3,4-d]pyrimidine 404827-91-4P,  
4-Chloro-2-(4-fluoro-2-trifluoromethylphenyl)quinazoline 404827-92-5P,  
4-Chloro-2-(2-chloro-5-trifluoromethylphenyl)quinazoline 404827-93-6P,  
4-Chloro-2-(2-chloro-4-nitrophenyl)quinazoline 404827-94-7P,  
4-Chloro-2-(2-trifluoromethylphenyl)quinazoline 404827-95-8P,  
4-Chloro-2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidine  
404827-96-9P, 4-Chloro-2-(2-chlorophenyl)-6,7,8,9-tetrahydro-5H-  
cycloheptapyrimidine 404827-97-0P,  
4-Chloro-2-(2-trifluoromethylphenyl)-5,6,7,8,9,10-  
hexahydrocyclooctapyrimidine 404827-98-1P,  
4-Chloro-8-methoxy-2-(2-trifluoromethylphenyl)quinazoline 404828-00-8P,  
2-(4-Chloroquinazolin-2-yl)benzonitrile 404828-01-9P,  
6-Methyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one  
404828-02-0P, 6-Cyclohexyl-2-(2-trifluoromethylphenyl)-3H-  
pyrimidin-4-one 404828-03-1P, 2-(2-Chloro-5-trifluoromethylphenyl)-3H-  
quinazolin-4-one 404828-04-2P, 2-(4-Fluoro-2-trifluoromethylphenyl)-3H-  
quinazolin-4-one 404828-05-3P, 2-(4-Nitro-2-chlorophenyl)-3H-quinazolin-  
4-one 404828-06-4P, 2-(5-Fluoro-2-trifluoromethylphenyl)-3H-quinazolin-4-  
one 404828-30-4P, (2-Chloroquinazolin-4-yl)(5-methyl-1H-pyrazol-3-  
yl)amine 404829-31-8P, (6-Chloro-2-phenylpyrimidin-4-yl)(5-methyl-2H-  
pyrazol-3-yl)amine 404829-59-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(intermediate; preparation of heterocyclylpyrazolamines and analogs as  
protein kinase inhibitors for treatment of cancer, diabetes, and  
Alzheimer's disease)

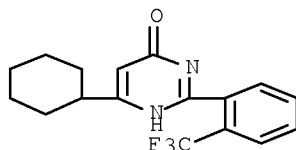
IT 404828-02-0P, 6-Cyclohexyl-2-(2-trifluoromethylphenyl)-3H-  
pyrimidin-4-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(intermediate; preparation of heterocyclylpyrazolamines and analogs as  
protein kinase inhibitors for treatment of cancer, diabetes, and  
Alzheimer's disease)

RN 404828-02-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-cyclohexyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX  
NAME)



**Serial#: 10/595,734**

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS  
RECORD (13 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 22 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:220578 HCAPLUS Full-text

DOCUMENT NUMBER: 136:263164

TITLE: Preparation of triazolamines as protein kinase  
inhibitors for treatment of cancer, diabetes, and  
Alzheimer's disease

INVENTOR(S): Bebbington, David; Knegetel, Ronald; Binch, Haley;  
Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 377 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 15

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2002022602	A2	20020321	WO 2001-US42162	20010914 <--
WO 2002022602	A3	20020627		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2422299	A1	20020321	CA 2001-2422299	20010914 <--
CA 2422299	C	20100511		
AU 2001096875	A	20020326	AU 2001-96875	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1318814	A2	20030618	EP 2001-977783	20010914 <--
EP 1318814	B1	20070530		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509114	T	20040325	JP 2002-526855	20010914 <--
JP 4105947	B2	20080625		
US 20040097501	A1	20040520	US 2001-953471	20010914 <--

**Serial#: 10/595,734**

US 7115739	B2	20061003			
US 20050004110	A1	20050106	US 2001-952878	20010914	<--
US 7098330	B2	20060829			
PT 1317448	E	20050831	PT 2001-971006	20010914	<--
ES 2242771	T3	20051116	ES 2001-971006	20010914	<--
AT 326458	T	20060615	AT 2001-970969	20010914	<--
AT 327990	T	20060615	AT 2001-970971	20010914	<--
AT 327992	T	20060615	AT 2001-971082	20010914	<--
AT 327991	T	20060615	AT 2001-973050	20010914	<--
AT 326459	T	20060615	AT 2001-977779	20010914	<--
EP 1698627	A1	20060906	EP 2006-10798	20010914	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
PT 1318997	E	20061031	PT 2001-971082	20010914	<--
AT 346064	T	20061215	AT 2001-975210	20010914	<--
ES 2266258	T3	20070301	ES 2001-970971	20010914	<--
ES 2266259	T3	20070301	ES 2001-971082	20010914	<--
AT 363284	T	20070615	AT 2001-977783	20010914	<--
NZ 545284	A	20070629	NZ 1984-5452	20010914	<--
CN 100355750	C	20071219	CN 2001-817427	20010914	<--
TW 303636	B	20081201	TW 2001-90122889	20010914	<--
IL 154747	A	20100517	IL 2001-154747	20010914	<--
CA 2432303	A1	20020829	CA 2001-2432303	20011219	<--
CA 2432303	C	20100413			
AU 2002255452	A1	20020904	AU 2002-255452	20011219	<--
AU 2002255452	B2	20060608			
CA 2432223	A1	20020906	CA 2001-2432223	20011219	<--
CA 2432223	C	20080520			
AU 2001297619	A1	20020912	AU 2001-297619	20011219	<--
AU 2001297619	B2	20060608			
EP 1345922	A1	20030924	EP 2001-271061	20011219	<--
EP 1345922	B1	20060531			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
EP 1355905	A1	20031029	EP 2001-273861	20011219	<--
EP 1355905	B1	20070221			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
NZ 526472	A	20040430	NZ 2001-526472	20011219	<--
JP 2004518743	T	20040624	JP 2002-565976	20011219	<--
JP 2004519479	T	20040702	JP 2002-567928	20011219	<--
JP 4234435	B2	20090304			
HU 2004000842	A2	20040728	HU 2004-842	20011219	<--
NZ 526473	A	20050624	NZ 2001-526473	20011219	<--
EP 1702920	A1	20060920	EP 2006-11799	20011219	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
KR 947185	B1	20100315	KR 2003-708397	20011219	<--
ZA 2003001697	A	20040301	ZA 2003-1697	20030228	<--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228	<--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228	<--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228	<--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228	<--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228	<--
MX 2003002297	A	20030606	MX 2003-2297	20030317	<--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609	<--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609	<--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609	<--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609	<--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609	<--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609	<--

**Serial#: 10/595,734**

ZA 2003004474	A	20040625	ZA 2003-4474	20030609	<--
NO 2003002704	A	20030821	NO 2003-2704	20030613	<--
IN 2003KN00795	A	20050204	IN 2003-KN795	20030619	<--
IN 219078	A1	20080425			
MX 2003005609	A	20031006	MX 2003-5609	20030620	<--
MX 2003005610	A	20031006	MX 2003-5610	20030620	<--
US 20040224944	A1	20041111	US 2003-624800	20030722	<--
US 7008948	B2	20060307			
US 20040116454	A1	20040617	US 2003-692355	20031023	<--
US 7390815	B2	20080624			
US 20040157893	A1	20040812	US 2003-722374	20031125	<--
HK 1057702	A1	20071102	HK 2003-108682	20031127	<--
US 20040132781	A1	20040708	US 2003-736426	20031215	<--
US 7087603	B2	20060808			
US 20040167141	A1	20040826	US 2004-775699	20040210	<--
US 7427681	B2	20080923			
HK 1060347	A1	20061201	HK 2004-101883	20040315	<--
HK 1062565	A1	20090731	HK 2004-105510	20040727	<--
JP 2005097322	A	20050414	JP 2004-366925	20041217	<--
US 20070270444	A1	20071122	US 2006-369220	20060306	<--
US 7691853	B2	20100406			
AU 2006201228	A1	20060413	AU 2006-201228	20060321	<--
AU 2006201228	B2	20100128			
AU 2006201229	A1	20060413	AU 2006-201229	20060321	<--
AU 2006201229	B2	20081120			
AU 2006201230	A1	20060413	AU 2006-201230	20060321	<--
AU 2006201230	B2	20080911			
AU 2006201262	A1	20060427	AU 2006-201262	20060321	<--
AU 2006201262	B2	20080904			
AU 2006201263	A1	20060427	AU 2006-201263	20060321	<--
AU 2006201263	B2	20081030			
AU 2006201264	A1	20060427	AU 2006-201264	20060321	<--
AU 2006201265	A1	20060427	AU 2006-201265	20060321	<--
AU 2006201265	B2	20080904			
AU 2006201266	A1	20060427	AU 2006-201266	20060321	<--
AU 2006201266	B2	20091217			
AU 2006201267	A1	20060427	AU 2006-201267	20060321	<--
US 20060258658	A1	20061116	US 2006-492450	20060725	<--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723	<--
JP 2008115195	A	20080522	JP 2008-15681	20080125	<--
JP 2008189682	A	20080821	JP 2008-95581	20080401	<--
JP 2008260767	A	20081030	JP 2008-95584	20080401	<--
JP 2008222719	A	20080925	JP 2008-97620	20080403	<--
JP 2008189687	A	20080821	JP 2008-98506	20080404	<--
US 20080287444	A1	20081120	US 2008-109598	20080425	
JP 2008201808	A	20080904	JP 2008-121723	20080507	<--
JP 2008247920	A	20081016	JP 2008-121724	20080507	<--
JP 2008247921	A	20081016	JP 2008-121727	20080507	<--
AU 2008252044	A1	20090122	AU 2008-252044	20081203	<--
JP 2009155352	A	20090716	JP 2009-101481	20090417	<--
US 20090312543	A1	20091217	US 2009-545347	20090821	<--
JP 2009286805	A	20091210	JP 2009-212566	20090914	<--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915 <--
			US 2000-257887P	P	20001221 <--
			US 2001-286949P	P	20010427 <--
			AU 2001-296871	A3	20010914 <--
			AU 2001-296875	A3	20010914 <--
			AU 2001-90914	A	20010914 <--
			AU 2001-90944	A3	20010914 <--
			AU 2001-91013	A3	20010914 <--
			AU 2001-94558	A3	20010914 <--

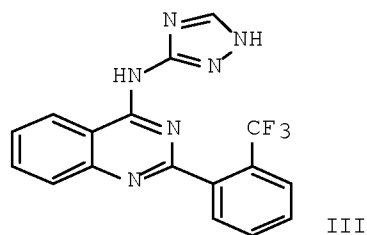
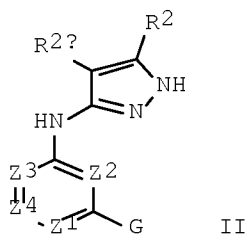
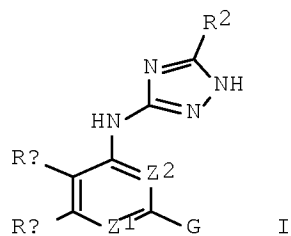
**Serial#: 10/595,734**

AU 2001-96871	T0 20010914 <--
AU 2001-96875	T0 20010914 <--
EP 2001-971082	A3 20010914 <--
JP 2002-526860	A3 20010914 <--
US 2001-952671	A3 20010914 <--
US 2001-953471	A3 20010914 <--
US 2001-955601	A3 20010914 <--
WO 2001-US42162	W 20010914 <--
AU 2002-234047	A3 20011219 <--
AU 2002-245198	A3 20011219 <--
AU 2002-246731	A3 20011219 <--
AU 2002-34047	T0 20011219 <--
EP 2001-273861	A 20011219 <--
EP 2001-994323	A3 20011219 <--
JP 2002-551561	A3 20011219 <--
JP 2002-557938	A3 20011219 <--
JP 2002-559413	A3 20011219 <--
JP 2002-563142	A3 20011219 <--
JP 2002-565976	A3 20011219 <--
JP 2002-567928	A3 20011219 <--
US 2001-26966	A1 20011219 <--
US 2001-26992	A1 20011219 <--
WO 2001-US49139	W 20011219 <--
WO 2001-US50312	W 20011219 <--
JP 2002-551562	A3 20011220 <--
JP 2002-559414	A3 20011220 <--
US 2001-34019	A3 20011220 <--
US 2001-34683	A1 20011220 <--
IN 2003-KN795	A3 20030619 <--
US 2003-624800	A3 20030722 <--
US 2004-775699	A1 20040210
JP 2004-366925	A3 20041217
AU 2006-201396	A3 20060404

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:263164

GI



AB     Triazolamines I and pyrazolamines II [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; R9 is defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 1.0-20  $\mu$ M for Aurora-2. IPCI C07D0403-00 [ICM, 7]

IPCR C07D0403-00 [I,C\*]; C07D0403-12 [I,A]; A61K0031-415 [I,C\*]; A61K0031-415 [I,A]; A61K0031-4353 [I,C\*]; A61K0031-437 [I,A]; A61K0031-4709 [I,C\*]; A61K0031-4709 [I,A]; A61K0031-472 [I,C\*]; A61K0031-4725 [I,A]; A61K0031-501 [I,C\*]; A61K0031-501 [I,A]; A61K0031-5025 [I,C\*]; A61K0031-5025 [I,A]; A61K0031-506 [I,C\*]; A61K0031-506 [I,A]; A61K0031-517 [I,C\*]; A61K0031-517 [I,A]; A61K0031-519 [I,C\*]; A61K0031-519 [I,A]; A61K0031-52 [I,A]; A61K0031-53 [I,C\*]; A61K0031-53 [I,A]; A61K0031-5375 [I,C\*]; A61K0031-5377 [I,A]; A61K0031-541 [I,C\*]; A61K0031-541 [I,A]; A61K0031-55 [I,C\*]; A61K0031-55 [I,A]; A61K0045-00 [I,C\*]; A61K0045-00 [I,A]; A61P0001-00 [I,C\*]; A61P0001-16 [I,A]; A61P0003-00 [I,C\*]; A61P0003-08 [I,A]; A61P0003-10 [I,A]; A61P0003-14 [I,A]; A61P0005-00 [I,C\*]; A61P0005-00 [I,A]; A61P0009-00 [I,C\*]; A61P0009-00 [I,A]; A61P0009-10 [I,A]; A61P0011-00 [I,C\*]; A61P0011-06 [I,A]; A61P0017-00 [I,C\*]; A61P0017-06 [I,A]; A61P0019-00 [I,C\*]; A61P0019-00 [I,A]; A61P0019-02 [I,A]; A61P0019-10 [I,A]; A61P0025-00 [I,C\*]; A61P0025-18 [I,A]; A61P0025-28 [I,A]; A61P0029-00 [I,C\*]; A61P0029-00 [I,A]; A61P0031-00 [I,C\*]; A61P0031-12 [I,A]; A61P0035-00 [I,C\*]; A61P0035-00 [I,A]; A61P0035-02 [I,A]; A61P0037-00 [I,C\*]; A61P0037-02 [I,A]; A61P0037-06 [I,A]; A61P0037-08 [I,A]; A61P0043-00 [I,C\*]; A61P0043-00 [I,A]; C07D0239-00 [I,C\*]; C07D0239-26 [I,A]; C07D0239-36 [I,A]; C07D0239-70 [I,A]; C07D0239-88 [I,A]; C07D0401-00 [I,C\*]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0403-14 [I,A]; C07D0405-00 [I,C\*]; C07D0405-14 [I,A]; C07D0407-00 [I,C\*]; C07D0407-14 [I,A]; C07D0409-00 [I,C\*]; C07D0409-14 [I,A]; C07D0413-00 [I,C\*]; C07D0413-14 [I,A]; C07D0417-00 [I,C\*]; C07D0417-14 [I,A]; C07D0471-00 [I,C\*]; C07D0471-04 [I,A]; C07D0473-00 [I,C\*]; C07D0473-16 [I,A]; C07D0475-00 [I,C\*]; C07D0475-10 [I,A]; C07D0487-00 [I,C\*]; C07D0487-04 [I,A]; C07D0491-00 [I,C\*]; C07D0491-04 [I,A]; C07D0491-044 [I,A]; C07D0491-048 [I,A]; C07D0491-052 [I,A]; C07D0491-107 [I,A]; C07D0491-113 [I,A]; C07D0491-20 [I,A]; C07D0493-00 [I,C\*]; C07D0493-04 [I,A]; C07D0495-00 [I,C\*]; C07D0495-04 [I,A]; C07D0498-00 [I,C\*]; C07D0498-04 [I,A]; C07D0513-00 [I,C\*]; C07D0513-04 [I,A]; C07D0521-00 [I,C\*]; C07D0521-00 [I,A]

CC     28-10 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1

IT     607-68-1P, 2,4-Dichloroquinazoline     41339-17-7P,

**Serial#: 10/595,734**

5-Nitro-1H-indazol-3-ylamine 61272-71-7P, 5-Bromo-1H-indazol-3-ylamine  
61272-72-8P, 5-Fluoro-1H-indazol-3-ylamine 404826-17-1P,  
2-(2-Trifluoromethylphenyl)pyrido[2,3-d][1,3]oxazin-4-one 404826-18-2P,  
2-(2-Trifluoromethylbenzoylamino)nicotinamide 404826-19-3P,  
4-Chloro-2-(3,5-dichlorophenyl)quinazoline 404826-26-2P,  
[4-(4-Methylpiperidin-1-yl)pyrimidin-2-yl](5-methyl-2H-pyrazol-3-yl)amine  
404827-60-7P, 7-Fluoro-1H-indazol-3-ylamine 404827-65-2P,  
5,7-Difluoro-1H-indazol-3-ylamine 404827-75-4P,  
6-Fluoro-1H-indazol-3-ylamine 404827-76-5P,  
7-Fluoro-6-trifluoromethyl-1H-indazol-3-ylamine 404827-77-6P,  
6-Bromo-1H-indazol-3-ylamine 404827-78-7P, 4-Fluoro-1H-indazol-3-ylamine  
404827-79-8P, 4-Pyrrol-1-yl-1H-indazol-3-ylamine 404827-80-1P,  
4-Chloro-5,6-dimethyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-81-2P, 4-Chloro-2-(2-chlorophenyl)-5,6-dimethylpyrimidine  
404827-82-3P, 4-Chloro-6-methyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-83-4P, 4-Chloro-6-cyclohexyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-84-5P, 4-Chloro-6-phenyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-85-6P, 4-Chloro-2-(2,4-dichlorophenyl)-5,6-dimethylpyrimidine  
404827-86-7P, 4-Chloro-6-(2-chlorophenyl)-2-(2-  
trifluoromethylphenyl)pyrimidine 404827-87-8P,  
4-Chloro-6-(2-fluorophenyl)-2-(2-trifluoromethylphenyl)pyrimidine  
404827-88-9P, 4-Chloro-6-pyridin-2-yl-2-(2-  
trifluoromethylphenyl)pyrimidine 404827-89-0P,  
6-Benzyl-4-chloro-2-(2-trifluoromethylphenyl)-5,6,7,8-tetrahydropyrido[4,3-  
d]pyrimidine 404827-90-3P, 7-Benzyl-4-chloro-2-(2-trifluoromethylphenyl)-  
5,6,7,8-tetrahydropyrido[3,4-d]pyrimidine 404827-91-4P,  
4-Chloro-2-(4-fluoro-2-trifluoromethylphenyl)quinazoline 404827-92-5P,  
4-Chloro-2-(2-chloro-5-trifluoromethylphenyl)quinazoline 404827-93-6P,  
4-Chloro-2-(2-chloro-4-nitrophenyl)quinazoline 404827-94-7P,  
4-Chloro-2-(2-trifluoromethylphenyl)quinazoline 404827-95-8P,  
4-Chloro-2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidine  
404827-96-9P, 4-Chloro-2-(2-chlorophenyl)-6,7,8,9-tetrahydro-5H-  
cycloheptapyrimidine 404827-97-0P,  
4-Chloro-2-(2-trifluoromethylphenyl)-5,6,7,8,9,10-  
hexahydrocyclooctapyrimidine 404827-98-1P,  
4-Chloro-8-methoxy-2-(2-trifluoromethylphenyl)quinazoline 404828-00-8P,  
2-(4-Chloroquinazolin-2-yl)benzonitrile 404828-01-9P,  
6-Methyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one  
404828-02-0P, 6-Cyclohexyl-2-(2-trifluoromethylphenyl)-3H-  
pyrimidin-4-one 404828-03-1P, 2-(2-Chloro-5-trifluoromethylphenyl)-3H-  
quinazolin-4-one 404828-04-2P, 2-(4-Fluoro-2-trifluoromethylphenyl)-3H-  
quinazolin-4-one 404828-05-3P, 2-(4-Nitro-2-chlorophenyl)-3H-quinazolin-  
4-one 404828-06-4P, 2-(5-Fluoro-2-trifluoromethylphenyl)-3H-quinazolin-4-  
one 404828-30-4P, (2-Chloroquinazolin-4-yl)(5-methyl-1H-pyrazol-3-  
yl)amine 404829-31-8P, (6-Chloro-2-phenylpyrimidin-4-yl)(5-methyl-2H-  
pyrazol-3-yl)amine 404829-59-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(intermediate; preparation of triazolamines, pyrazolamines, and analogs as  
protein kinase inhibitors for treatment of cancer, diabetes, and  
Alzheimer's disease)

IT 404828-02-0P, 6-Cyclohexyl-2-(2-trifluoromethylphenyl)-3H-  
pyrimidin-4-one

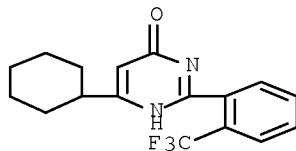
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(intermediate; preparation of triazolamines, pyrazolamines, and analogs as  
protein kinase inhibitors for treatment of cancer, diabetes, and  
Alzheimer's disease)

RN 404828-02-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-cyclohexyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX  
NAME)





OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS  
RECORD (16 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 23 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:220577 HCAPLUS Full-text

DOCUMENT NUMBER: 136:247579

TITLE: Preparation of pyrazolamines and analogs as protein  
kinase inhibitors for treatment of cancer, diabetes,  
and Alzheimer's disease

INVENTOR(S): Knegtel, Ronald; Bebbington, David; Binch, Hayley;  
Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien;  
Kay, David; Davies, Robert; Li, Pan; Wannamaker,  
Marion; Forster, Cornelia; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 376 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 15

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022601	A1	20020321	WO 2001-US28740	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422354	A1	20020321	CA 2001-2422354	20010914 <--
CA 2422354	C	20091208		
AU 2001090914	A	20020326	AU 2001-90914	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--

**Serial#: 10/595,734**

US 6610677	B2	20030826		
EP 1317444	A1	20030611	EP 2001-970971	20010914 <--
EP 1317444	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509113	T	20040325	JP 2002-526854	20010914 <--
JP 4111824	B2	20080702		
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
PT 1317448	E	20050831	PT 2001-971006	20010914 <--
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	E	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--
AT 363284	T	20070615	AT 2001-977783	20010914 <--
NZ 545284	A	20070629	NZ 1984-5452	20010914 <--
CN 100355750	C	20071219	CN 2001-817427	20010914 <--
TW 303636	B	20081201	TW 2001-90122889	20010914 <--
IL 154747	A	20100517	IL 2001-154747	20010914 <--
CA 2432303	A1	20020829	CA 2001-2432303	20011219 <--
CA 2432303	C	20100413		
AU 2002255452	A1	20020904	AU 2002-255452	20011219 <--
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219 <--
CA 2432223	C	20080520		
AU 2001297619	A1	20020912	AU 2001-297619	20011219 <--
AU 2001297619	B2	20060608		
EP 1345922	A1	20030924	EP 2001-271061	20011219 <--
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219 <--
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219 <--
JP 2004518743	T	20040624	JP 2002-565976	20011219 <--
JP 2004519479	T	20040702	JP 2002-567928	20011219 <--
JP 4234435	B2	20090304		
HU 2004000842	A2	20040728	HU 2004-842	20011219 <--
NZ 526473	A	20050624	NZ 2001-526473	20011219 <--
EP 1702920	A1	20060920	EP 2006-11799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
KR 947185	B1	20100315	KR 2003-708397	20011219 <--
ZA 2003001697	A	20040301	ZA 2003-1697	20030228 <--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228 <--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228 <--

**Serial#: 10/595,734**

ZA 2003001702	A	20040301	ZA 2003-1702	20030228 <--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228 <--
MX 2003002294	A	20050908	MX 2003-2294	20030317 <--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609 <--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609 <--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609 <--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609 <--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609 <--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609 <--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609 <--
NO 2003002704	A	20030821	NO 2003-2704	20030613 <--
IN 2003KN00795	A	20050204	IN 2003-KN795	20030619 <--
IN 219078	A1	20080425		
MX 2003005609	A	20031006	MX 2003-5609	20030620 <--
MX 2003005610	A	20031006	MX 2003-5610	20030620 <--
US 20040224944	A1	20041111	US 2003-624800	20030722 <--
US 7008948	B2	20060307		
US 20040116454	A1	20040617	US 2003-692355	20031023 <--
US 7390815	B2	20080624		
HK 1057543	A1	20061215	HK 2003-108309	20031114 <--
US 20040157893	A1	20040812	US 2003-722374	20031125 <--
US 20040132781	A1	20040708	US 2003-736426	20031215 <--
US 7087603	B2	20060808		
US 20040167141	A1	20040826	US 2004-775699	20040210 <--
US 7427681	B2	20080923		
HK 1060347	A1	20061201	HK 2004-101883	20040315 <--
HK 1062565	A1	20090731	HK 2004-105510	20040727 <--
JP 2005097322	A	20050414	JP 2004-366925	20041217 <--
US 20070270444	A1	20071122	US 2006-369220	20060306 <--
US 7691853	B2	20100406		
AU 2006201228	A1	20060413	AU 2006-201228	20060321 <--
AU 2006201228	B2	20100128		
AU 2006201229	A1	20060413	AU 2006-201229	20060321 <--
AU 2006201229	B2	20081120		
AU 2006201230	A1	20060413	AU 2006-201230	20060321 <--
AU 2006201230	B2	20080911		
AU 2006201262	A1	20060427	AU 2006-201262	20060321 <--
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321 <--
AU 2006201263	B2	20081030		
AU 2006201264	A1	20060427	AU 2006-201264	20060321 <--
AU 2006201265	A1	20060427	AU 2006-201265	20060321 <--
AU 2006201265	B2	20080904		
AU 2006201266	A1	20060427	AU 2006-201266	20060321 <--
AU 2006201266	B2	20091217		
AU 2006201267	A1	20060427	AU 2006-201267	20060321 <--
AU 2006201396	A1	20060504	AU 2006-201396	20060404 <--
AU 2006201396	B2	20081002		
US 20060258658	A1	20061116	US 2006-492450	20060725 <--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723 <--
JP 2008115195	A	20080522	JP 2008-15681	20080125 <--
JP 2008189682	A	20080821	JP 2008-95581	20080401 <--
JP 2008260767	A	20081030	JP 2008-95584	20080401 <--
JP 2008222719	A	20080925	JP 2008-97620	20080403 <--
JP 2008189687	A	20080821	JP 2008-98506	20080404 <--
US 20080287444	A1	20081120	US 2008-109598	20080425 <--
JP 2008201808	A	20080904	JP 2008-121723	20080507 <--
JP 2008247920	A	20081016	JP 2008-121724	20080507 <--
JP 2008247921	A	20081016	JP 2008-121727	20080507 <--
AU 2008252044	A1	20090122	AU 2008-252044	20081203 <--

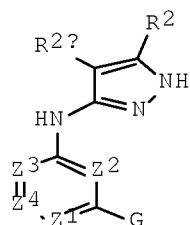
**Serial#: 10/595,734**

JP 2009155352	A	20090716	JP 2009-101481	20090417 <--
US 20090312543	A1	20091217	US 2009-545347	20090821 <--
JP 2009286805	A	20091210	JP 2009-212566	20090914 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915 <--
			US 2000-257887P	P 20001221 <--
			US 2001-286949P	P 20010427 <--
			AU 2001-296871	A3 20010914 <--
			AU 2001-296875	A3 20010914 <--
			AU 2001-90914	A3 20010914 <--
			AU 2001-90944	A3 20010914 <--
			AU 2001-91013	A3 20010914 <--
			AU 2001-94558	A3 20010914 <--
			AU 2001-96871	T0 20010914 <--
			AU 2001-96875	T0 20010914 <--
			EP 2001-971082	A3 20010914 <--
			JP 2002-526860	A3 20010914 <--
			US 2001-952671	A3 20010914 <--
			US 2001-953471	A3 20010914 <--
			US 2001-955601	A3 20010914 <--
			WO 2001-US28740	W 20010914 <--
			AU 2002-234047	A3 20011219 <--
			AU 2002-245198	A3 20011219 <--
			AU 2002-246731	A3 20011219 <--
			AU 2002-34047	T0 20011219 <--
			EP 2001-273861	A 20011219 <--
			EP 2001-994323	A3 20011219 <--
			JP 2002-551561	A3 20011219 <--
			JP 2002-557938	A3 20011219 <--
			JP 2002-559413	A3 20011219 <--
			JP 2002-563142	A3 20011219 <--
			JP 2002-565976	A3 20011219 <--
			JP 2002-567928	A3 20011219 <--
			US 2001-26966	A1 20011219 <--
			US 2001-26992	A1 20011219 <--
			WO 2001-US49139	W 20011219 <--
			WO 2001-US50312	W 20011219 <--
			JP 2002-551562	A3 20011220 <--
			JP 2002-559414	A3 20011220 <--
			US 2001-34019	A3 20011220 <--
			US 2001-34683	A1 20011220 <--
			IN 2003-KN795	A3 20030619 <--
			US 2003-624800	A3 20030722 <--
			US 2004-775699	A1 20040210
			JP 2004-366925	A3 20041217
			AU 2006-201396	A3 20060404

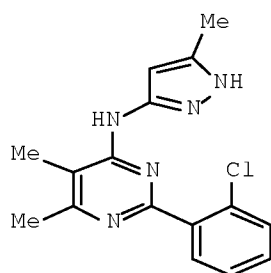
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:247579

GI



I



II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR<sub>9</sub>; Z2 = N or CH; Z3 = N or CR<sub>x</sub>; Z4 = N or CR<sub>y</sub>; R<sub>x</sub> and R<sub>y</sub> = independently TR<sub>3</sub>, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R<sub>2</sub> and R<sub>2a</sub> = independently R, TWR<sub>6</sub>; or C<sub>2</sub>R<sub>2</sub>R<sub>2a</sub> = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R<sub>6</sub>)<sub>2</sub>O, C(R<sub>6</sub>)<sub>2</sub>SO<sub>2</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>, CO, CO<sub>2</sub>, CR<sub>6</sub>OCO, CR<sub>6</sub>OCONR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CO, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CO<sub>2</sub>, CR<sub>6</sub>:NNR<sub>6</sub>, CR<sub>6</sub>:NO, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>NR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>SO<sub>2</sub>NR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CONR<sub>6</sub>, or CONR<sub>6</sub>; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R<sub>3</sub> = R, halo, O, OR, COR, CO<sub>2</sub>R, COCOR, COCH<sub>2</sub>COR, NO<sub>2</sub>, CN, SO<sub>2</sub>-2R, N(R<sub>4</sub>)<sub>2</sub>, CON(R<sub>4</sub>)<sub>2</sub>, SO<sub>2</sub>N(R<sub>4</sub>)<sub>2</sub>, OCOR, NR<sub>4</sub>COR, NR<sub>4</sub>CO<sub>2</sub>(aliphatic), NR<sub>4</sub>N(R<sub>4</sub>)<sub>2</sub>, C:NN(R<sub>4</sub>)<sub>2</sub>, C:NOR, NR<sub>4</sub>CO(R<sub>4</sub>)<sub>2</sub>, NR<sub>4</sub>SO<sub>2</sub>N(R<sub>4</sub>)<sub>2</sub>, NR<sub>4</sub>SO<sub>2</sub>R, or OCON(R<sub>4</sub>)<sub>2</sub>; R<sub>4</sub> = R<sub>7</sub>, COR<sub>7</sub>, CO<sub>2</sub>(aliphatic), CON(R<sub>7</sub>)<sub>2</sub>, or SO<sub>2</sub>R<sub>7</sub>; or N(R<sub>4</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; R<sub>6</sub> and R<sub>7</sub> = independently H or (un)substituted aliphatic group; or N(R<sub>6</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; or N(R<sub>7</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; R<sub>9</sub> = R, halo, OR, COR, CO<sub>2</sub>R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and pyridinyl- pyrazolamines and indazolamines I [wherein Z1 = N, CR<sub>a</sub>, or CH; Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CR<sub>x</sub>; Z4 = CR<sub>y</sub>; R<sub>a</sub> = halo, OR, COR, CO<sub>2</sub>R, COCOR, NO<sub>2</sub>, CN, SO<sub>2</sub>-2R, N(R<sub>4</sub>)<sub>2</sub>, CON(R<sub>4</sub>)<sub>2</sub>, SO<sub>2</sub>N(R<sub>4</sub>)<sub>2</sub>, OCOR, NR<sub>4</sub>COR, etc.; R and R<sub>4</sub> are defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β<sub>3</sub>, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited K<sub>i</sub> values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2. IPCI C07D0401-14 [ICM, 7]; A61K0031-4427 [ICS, 7]; A61K0031-4155 [ICS, 7]; A61P0035-00 [ICS, 7]; C07D0401-12 [ICS, 7]; C07D0401-00 [ICS, 7, C\*] IPCR C07D0403-00 [I, C\*]; C07D0403-12 [I, A]; A61K0031-415 [I, C\*]; A61K0031-415 [I, A]; A61K0031-4353 [I, C\*]; A61K0031-437 [I, A]; A61K0031-4709 [I, C\*]; A61K0031-4709 [I, A]; A61K0031-472 [I, C\*]; A61K0031-4725 [I, A]; A61K0031-501 [I, C\*]; A61K0031-501 [I, A]; A61K0031-5025 [I, C\*]; A61K0031-5025 [I, A]; A61K0031-506 [I, C\*]; A61K0031-506 [I, A]; A61K0031-517 [I, C\*]; A61K0031-517 [I, A]; A61K0031-519 [I, C\*]; A61K0031-519 [I, A]; A61K0031-52 [I, A]; A61K0031-53 [I, C\*]; A61K0031-53 [I, A]; A61K0031-5375 [I, C\*]; A61K0031-5377 [I, A]; A61K0031-541 [I, C\*]; A61K0031-541 [I, A]; A61K0031-55 [I, C\*]; A61K0031-55 [I, A]; A61K0045-00 [I, C\*]; A61K0045-00 [I, A]; A61P0001-00 [I, C\*]; A61P0001-16 [I, A]; A61P0003-00 [I, C\*]; A61P0003-08 [I, A]; A61P0003-10 [I, A]; A61P0003-14 [I, A]; A61P0005-00 [I, C\*]; A61P0005-00 [I, A]; A61P0009-00 [I, C\*]; A61P0009-00 [I, A]; A61P0009-10 [I, A]; A61P0011-00 [I, C\*]; A61P0011-06 [I, A]; A61P0017-00 [I, C\*]; A61P0017-06 [I, A]; A61P0019-00 [I, C\*]; A61P0019-00 [I, A]; A61P0019-02 [I, A]; A61P0019-10 [I, A]; A61P0025-00 [I, C\*]; A61P0025-18 [I, A]; A61P0025-28 [I, A]; A61P0029-00 [I, C\*]; A61P0029-00 [I, A]; A61P0031-00 [I, C\*]; A61P0031-12 [I, A]; A61P0035-00 [I, C\*]; A61P0035-00 [I, A]; A61P0035-02 [I, A]; A61P0037-00 [I, C\*]; A61P0037-02 [I, A]; A61P0037-06 [I, A]; A61P0037-08 [I, A]; A61P0043-00 [I, C\*]; A61P0043-00 [I, A]; C07D0239-00 [I, C\*]; C07D0239-26 [I, A]; C07D0239-36 [I, A]; C07D0239-70 [I, A]; C07D0239-88 [I, A]; C07D0401-00 [I, C\*]; C07D0401-12 [I, A]; C07D0401-14 [I, A]; C07D0403-14 [I, A]; C07D0405-00 [I, C\*]; C07D0405-14 [I, A]; C07D0407-00 [I, C\*]; C07D0407-14 [I, A]; C07D0409-00 [I, C\*]; C07D0409-14 [I, A]; C07D0413-00 [I, C\*]; C07D0413-14 [I, A]; C07D0417-00 [I, C\*]; C07D0417-14 [I, A]; C07D0471-00 [I, C\*]; C07D0471-04 [I, A]; C07D0473-00 [I, C\*]; C07D0473-16 [I, A]; C07D0475-00 [I, C\*]; C07D0475-10 [I, A]; C07D0487-00 [I, C\*]; C07D0487-04 [I, A]; C07D0491-00 [I, C\*]; C07D0491-04 [I, A]; C07D0491-044 [I, A]; C07D0491-048 [I, A]; C07D0491-052 [I, A]; C07D0491-107 [I, A]; C07D0491-113 [I, A]; C07D0491-20

**Serial#: 10/595,734**

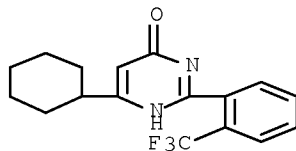
[I,A]; C07D0493-00 [I,C\*]; C07D0493-04 [I,A]; C07D0495-00 [I,C\*];  
C07D0495-04 [I,A]; C07D0498-00 [I,C\*]; C07D0498-04 [I,A]; C07D0513-00  
[I,C\*]; C07D0513-04 [I,A]; C07D0521-00 [I,C\*]; C07D0521-00 [I,A]  
CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1  
IT 607-68-1P, 2,4-Dichloroquinazoline 41339-17-7P,  
5-Nitro-1H-indazol-3-ylamine 61272-71-7P, 5-Bromo-1H-indazol-3-ylamine  
61272-72-8P, 5-Fluoro-1H-indazol-3-ylamine 404826-17-1P,  
2-(2-Trifluoromethylphenyl)pyrido[2,3-d][1,3]oxazin-4-one 404826-18-2P,  
2-(2-Trifluoromethylbenzoylamino)nicotinamide 404826-19-3P,  
4-Chloro-2-(3,5-dichlorophenyl)quinazoline 404826-26-2P,  
[4-(4-Methylpiperidin-1-yl)pyrimidin-2-yl](5-methyl-2H-pyrazol-3-yl)amine  
404827-60-7P, 7-Fluoro-1H-indazol-3-ylamine 404827-65-2P,  
5,7-Difluoro-1H-indazol-3-ylamine 404827-75-4P,  
6-Fluoro-1H-indazol-3-ylamine 404827-76-5P,  
7-Fluoro-6-trifluoromethyl-1H-indazol-3-ylamine 404827-77-6P,  
6-Bromo-1H-indazol-3-ylamine 404827-78-7P, 4-Fluoro-1H-indazol-3-ylamine  
404827-79-8P, 4-Pyrrol-1-yl-1H-indazol-3-ylamine 404827-80-1P,  
4-Chloro-5,6-dimethyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-81-2P, 4-Chloro-2-(2-chlorophenyl)-5,6-dimethylpyrimidine  
404827-82-3P, 4-Chloro-6-methyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-83-4P, 4-Chloro-6-cyclohexyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-84-5P, 4-Chloro-6-phenyl-2-(2-trifluoromethylphenyl)pyrimidine  
404827-85-6P, 4-Chloro-2-(2,4-dichlorophenyl)-5,6-dimethylpyrimidine  
404827-86-7P, 4-Chloro-6-(2-chlorophenyl)-2-(2-  
trifluoromethylphenyl)pyrimidine 404827-87-8P,  
4-Chloro-6-(2-fluorophenyl)-2-(2-trifluoromethylphenyl)pyrimidine  
404827-88-9P, 4-Chloro-6-pyridin-2-yl-2-(2-  
trifluoromethylphenyl)pyrimidine 404827-89-0P,  
6-Benzyl-4-chloro-2-(2-trifluoromethylphenyl)-5,6,7,8-tetrahydropyrido[4,3-  
d]pyrimidine 404827-90-3P, 7-Benzyl-4-chloro-2-(2-trifluoromethylphenyl)-  
5,6,7,8-tetrahydropyrido[3,4-d]pyrimidine 404827-91-4P,  
4-Chloro-2-(4-fluoro-2-trifluoromethylphenyl)quinazoline 404827-92-5P,  
4-Chloro-2-(2-chloro-5-trifluoromethylphenyl)quinazoline 404827-93-6P,  
4-Chloro-2-(2-chloro-4-nitrophenyl)quinazoline 404827-94-7P,  
4-Chloro-2-(2-trifluoromethylphenyl)quinazoline 404827-95-8P,  
4-Chloro-2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidine  
404827-96-9P, 4-Chloro-2-(2-chlorophenyl)-6,7,8,9-tetrahydro-5H-  
cycloheptapyrimidine 404827-97-0P,  
4-Chloro-2-(2-trifluoromethylphenyl)-5,6,7,8,9,10-  
hexahydrocyclooctapyrimidine 404827-98-1P,  
4-Chloro-8-methoxy-2-(2-trifluoromethylphenyl)quinazoline 404828-00-8P,  
2-(4-Chloroquinazolin-2-yl)benzonitrile 404828-01-9P,  
6-Methyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one  
404828-02-0P, 6-Cyclohexyl-2-(2-trifluoromethylphenyl)-3H-  
pyrimidin-4-one 404828-03-1P, 2-(2-Chloro-5-trifluoromethylphenyl)-3H-  
quinazolin-4-one 404828-04-2P, 2-(4-Fluoro-2-trifluoromethylphenyl)-3H-  
quinazolin-4-one 404828-05-3P, 2-(4-Nitro-2-chlorophenyl)-3H-quinazolin-  
4-one 404828-06-4P, 2-(5-Fluoro-2-trifluoromethylphenyl)-3H-quinazolin-4-  
one 404828-30-4P, (2-Chloroquinazolin-4-yl)(5-methyl-1H-pyrazol-3-  
yl)amine 404829-31-8P, (6-Chloro-2-phenylpyrimidin-4-yl)(5-methyl-2H-  
pyrazol-3-yl)amine 404829-59-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(intermediate; preparation of heterocyclylpyrazolamines and analogs as  
protein kinase inhibitors for treatment of cancer, diabetes, and  
Alzheimer's disease)  
IT 404828-02-0P, 6-Cyclohexyl-2-(2-trifluoromethylphenyl)-3H-  
pyrimidin-4-one  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

**Serial#: 10/595,734**

(intermediate; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404828-02-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-cyclohexyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 48 THERE ARE 48 CAPLUS RECORDS THAT CITE THIS RECORD (71 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 24 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:72061 HCAPLUS Full-text

DOCUMENT NUMBER: 136:118465

TITLE: Preparation of 2-aryldihydroxypyrimidine-4-carboxylic acids as hepatitis C viral polymerase inhibitors

INVENTOR(S): Gardelli, Cristina; Giuliano, Claudio; Harper, Steven; Koch, Uwe; Narjes, Frank; Ontoria Ontoria, Jesus Maria; Poma, Marco; Ponzi, Simona; Stansfield, Ian; Summa, Vincenzo

PATENT ASSIGNEE(S): Istituto di Ricerche di Biologia Molecolare P. Angeletti S.p.A., Italy

SOURCE: PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006246	A1	20020124	WO 2001-EP7955	20010711 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2418288	A1	20020124	CA 2001-2418288	20010711 <--
EP 1309566	A1	20030514	EP 2001-951664	20010711 <--
EP 1309566	B1	20091007		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004504304	T	20040212	JP 2002-512150	20010711 <--
AU 2001272530	B2	20060803	AU 2001-272530	20010711 <--
AT 444956	T	20091015	AT 2001-951664	20010711 <--

**Serial#: 10/595,734**

US 20040106627 A1 20040603 US 2003-333431 20030709 <--  
US 7091209 B2 20060815  
PRIORITY APPLN. INFO.: GB 2000-17676 A 20000719 <--  
WO 2001-EP7955 W 20010711 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:118465

AB RR1 (R1 = 4-carboxy-5,6-dihydroxy-2-pyrimidinyl) [I; R = (un)substituted (hetero)aryl] were prepared Thus, 2-(O2N)C6H4C(:NOH)NH2 (preparation given) N-was alkenylated by MeO2CC.tplbond.CC02Me and the product cyclized to give, after reduction, N-acylation, and saponification, I [R = 2-(2-ClC6H4CH2NHCONH)C6H4]. Data for biol. activity of I were given. IPCI C07D0239-557 [ICM,7]; C07D0239-00 [ICM,7,C\*]; A61K0031-505 [ICS,7];

C07D0417-04 [ICS,7]; C07D0417-00 [ICS,7,C\*]; C07D0409-14 [ICS,7];

C07D0409-00 [ICS,7,C\*]; A61P0031-12 [ICS,7]; A61P0031-00 [ICS,7,C\*]

IPCR A61K0031-513 [I,C\*]; A61K0031-513 [I,A]; A61P0001-00 [I,C\*]; A61P0001-16

[I,A]; A61P0031-00 [I,C\*]; A61P0031-12 [I,A]; A61P0031-14 [I,A];

A61P0043-00 [I,C\*]; A61P0043-00 [I,A]; C07D0239-00 [I,C\*]; C07D0239-54

[N,A]; C07D0239-557 [I,A]; C07D0401-00 [I,C\*]; C07D0401-12 [I,A];

C07D0405-00 [I,C\*]; C07D0405-12 [I,A]; C07D0409-00 [I,C\*]; C07D0409-04

[I,A]; C07D0409-12 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C\*];

C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C\*]; C07D0417-12

[I,A]; C07D0417-14 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 62222-38-2 519032-05-4 572916-89-3 790661-22-2  
790661-23-3 865875-91-8 865875-92-9  
865875-93-0 865875-94-1 865875-95-2  
865875-96-3 865875-97-4 865875-98-5  
865875-99-6 865876-00-2 865876-01-3  
865876-02-4 865876-03-5 865876-04-6  
865876-06-8 865876-07-9 865876-08-0  
865876-09-1 865876-10-4 865876-11-5  
865876-12-6 865876-13-7 865876-14-8  
865876-15-9 865876-16-0 865981-46-0  
866006-00-0 866052-11-1 866052-13-3  
866052-14-4 866052-16-6 866052-17-7  
866052-18-8 866052-19-9 866052-20-2  
866052-21-3 866052-22-4 866052-23-5  
866052-25-7 866052-27-9 866052-29-1  
866052-30-4 866052-32-6 866052-35-9  
866052-36-0 866052-37-1 866052-38-2  
866052-39-3 866052-40-6 878649-60-6 878649-64-0  
878649-65-1 878649-68-4 878649-69-5 878649-70-8 878649-71-9  
878649-73-1 878649-74-2 878649-75-3 878649-76-4 878649-77-5  
878649-78-6 878649-79-7 878649-80-0 878649-81-1 878649-82-2  
878649-83-3 878649-84-4 878649-85-5 878649-86-6 878649-87-7  
878649-88-8 878649-89-9 878649-90-2 878649-91-3 878649-92-4  
954241-09-9 959318-17-3 959355-47-6 959668-63-4  
959668-64-5 1042975-08-5 1042975-09-6 1043445-63-1 1043452-63-6  
1043452-68-1 1043453-39-9 1043455-68-0 1043455-76-0 1043455-88-4  
1043470-16-1 1043470-26-3 1102359-44-3  
1102359-45-4 1102359-47-6 1102359-48-7  
1102359-49-8 1102359-50-1 1102359-51-2  
1102359-52-3 1102359-53-4 1102359-54-5  
1102359-55-6 1102359-56-7 1102359-57-8  
1102359-58-9 1102359-59-0 1102359-60-3  
1102359-61-4 1102359-62-5 1102359-63-6  
1102359-64-7 1102359-65-8 1102359-66-9  
1102359-67-0 1102359-68-1 1102359-69-2  
1102359-70-5 1102359-71-6 1102359-72-7  
1102359-73-8 1102359-74-9 1102359-75-0



**Serial#: 10/595,734**

1102359-76-1	1102359-77-2	1102359-78-3		
1102359-79-4	1102359-80-7	1102359-81-8	1102359-82-9	
1102359-83-0	1102359-84-1	1102359-85-2		
1102359-86-3	1102359-87-4	1102359-88-5		
1102359-89-6	1102359-90-9	1102359-91-0		
1102359-92-1	1102359-93-2	1102359-94-3		
1102359-95-4	1102359-96-5	1102359-97-6		
1102359-98-7	1102360-00-8	1102360-01-9		
1102360-02-0	1102360-03-1	1102360-04-2		
1102360-05-3	1102360-06-4	1102360-07-5		
1102360-08-6	1102360-09-7	1102360-10-0		
1102360-11-1	1102360-12-2	1102360-13-3		
1102360-14-4	1102360-15-5	1102360-16-6		
1102360-18-8	1102360-19-9	1102360-20-2		
1102360-21-3	1102360-22-4	1102360-23-5		
1102360-24-6	1102360-25-7	1102360-26-8		
1102360-27-9	1102360-28-0	1102360-29-1		
1102360-30-4	1102360-31-5	1102360-32-6		
1102360-34-8	1102360-35-9	1102360-36-0	1102360-37-1	1102360-38-2
1102360-39-3	1102360-40-6	1102360-41-7	1102360-42-8	1102360-43-9
1102360-44-0	1102360-45-1	1102360-46-2	1102360-47-3	1102360-48-4
1102360-49-5	1102360-50-8	1102360-51-9	1102360-52-0	1102360-53-1
1102360-54-2	1102360-55-3	1102360-56-4	1102360-57-5	1102360-58-6
1102360-59-7	1102360-60-0	1102360-61-1	1102360-62-2	1102360-63-3
1102360-64-4	1102360-65-5	1102360-66-6		
1102360-67-7	1102360-68-8	1102360-69-9		
1102360-70-2	1102360-71-3	1102360-72-4		
1102360-73-5	1102360-74-6	1102360-75-7		
1102360-76-8	1102360-77-9	1102360-78-0		
1102360-79-1	1102360-80-4	1102360-81-5		
1102360-82-6	1102360-83-7	1102360-84-8		
1102360-85-9	1102360-86-0	1102360-87-1		
1102360-88-2				

RL: PRPH (Prophetic)

(Preparation of 2-aryldihydroxypyrimidine-4-carboxylic acids as hepatitis C viral polymerase inhibitors)

IT	1102360-89-3	1102360-90-6	1102360-91-7		
	1102360-92-8	1102360-93-9	1102360-94-0		
	1102360-95-1	1102360-96-2	1102360-97-3		
	1102360-98-4	1102360-99-5	1102361-00-1	1102361-01-2	1102361-02-3
	1102361-03-4	1102361-04-5	1102361-05-6	1102361-06-7	1102361-07-8
	1102361-08-9	1102361-09-0	1102361-10-3	1102361-11-4	1102361-12-5
	1102361-13-6	1102361-14-7	1102361-15-8	1102361-16-9	1102361-17-0
	1102361-18-1	1102361-19-2	1102361-20-5	1102361-21-6	1102361-22-7
	1102361-23-8	1102361-24-9	1102361-25-0	1102361-26-1	1102361-27-2
	1102361-28-3	1102361-29-4	1102361-30-7	1102361-31-8	1102361-32-9
	1102361-33-0	1102361-34-1	1102361-35-2	1102361-36-3	1102361-37-4
	1102361-38-5	1102361-39-6	1102361-40-9	1102361-41-0	1102361-42-1
	1102361-43-2	1102361-44-3	1102361-45-4	1102361-46-5	1102361-47-6
	1102361-48-7	1102361-49-8	1102361-50-1	1102361-51-2	1102361-52-3
	1102361-53-4	1102361-54-5	1102361-55-6	1102361-56-7	1102361-57-8
	1102361-59-0	1102361-60-3	1102361-61-4	1102361-62-5	1102361-63-6
	1102361-64-7	1102361-65-8	1102361-66-9	1102361-67-0	1102361-68-1
	1102361-69-2	1102361-70-5	1102361-71-6	1102361-72-7	1102361-73-8
	1102361-74-9	1102361-75-0	1102361-76-1	1102361-77-2	1102361-78-3
	1102361-79-4	1102361-80-7	1102361-81-8	1102361-82-9	1102361-83-0
	1102361-84-1	1102361-85-2	1102361-86-3	1102361-87-4	1102361-88-5
	1102361-89-6	1102361-90-9	1102361-91-0	1102361-92-1	1102361-93-2
	1102361-94-3	1102361-95-4	1102361-96-5	1102361-97-6	1102361-98-7
	1102361-99-8	1102362-00-4	1102362-01-5	1102362-02-6	1102362-03-7
	1102362-04-8	1102362-05-9	1102362-06-0	1102362-07-1	1102362-08-2

**Serial#: 10/595,734**

1102362-09-3	1102362-10-6	1102362-11-7	1102362-12-8	1102362-13-9
1102362-14-0	1102362-15-1	1102362-16-2	1102362-17-3	1102362-18-4
1102362-19-5	1102362-20-8	1102362-21-9	1102362-22-0	1102362-23-1
1102362-24-2	1102362-25-3	1102362-26-4	1102362-27-5	1102362-28-6
1102362-29-7	1102362-30-0	1102362-31-1	1102362-32-2	1102362-33-3
1102362-34-4	1102362-35-5	1102362-36-6	1102362-37-7	1102362-38-8
1102362-39-9	1102362-40-2	1102362-41-3	1102362-42-4	1102362-43-5
1102362-44-6	1102362-45-7	1102362-46-8	1102362-47-9	1102362-48-0
1102362-49-1	1102362-50-4	1102362-51-5	1102362-52-6	1102362-53-7
1102362-54-8	1102362-55-9	1102362-56-0	1102362-57-1	1102362-58-2
1102362-59-3	1102362-60-6	1102362-61-7	1102362-62-8	1102362-63-9
1102362-64-0	1102362-65-1	1102362-66-2	1102362-67-3	1102362-68-4
1102362-69-5	1102362-70-8	1102362-71-9	1102362-72-0	1102362-73-1
1102362-74-2	1102362-75-3	1102362-76-4	1102362-77-5	1102362-78-6
1102362-79-7	1102362-80-0	1102362-81-1	1102362-82-2	1102362-83-3
1102362-84-4	1102362-85-5	1102362-86-6	1102362-87-7	1102362-88-8
1102362-89-9	1102362-90-2	1102362-91-3	1102362-92-4	1102362-93-5
1102362-94-6	1102362-95-7	1102362-96-8	1102362-97-9	
1102362-98-0	1102362-99-1	1102363-00-7	1102363-01-8	
1102363-02-9	1102363-03-0	1102363-04-1	1102363-05-2	1102363-06-3
1102363-07-4	1102363-08-5	1102363-09-6	1102363-10-9	1102363-11-0
1102363-12-1	1102363-13-2	1102363-14-3	1102363-15-4	1102363-16-5
1102363-17-6	1102363-18-7	1102363-19-8	1102363-20-1	1102363-21-2
1102363-22-3	1102363-23-4	1102363-24-5	1102363-25-6	1102363-26-7
1102363-27-8				

RL: PRPH (Prophetic)

(Preparation of 2-aryldihydroxypyrimidine-4-carboxylic acids as hepatitis C viral polymerase inhibitors)

IT	1102363-28-9	1102363-29-0	1102363-30-3	1102363-31-4	1102363-32-5
	1102363-33-6	1102363-34-7	1102363-35-8	1102363-36-9	1102363-37-0
	1102363-38-1	1102363-39-2	1102363-40-5	1102363-41-6	1102363-42-7
	1102363-43-8	1102363-44-9	1102363-45-0	1102363-46-1	1102363-47-2
	1102363-48-3	1102363-49-4	1102363-50-7	1102363-51-8	1102363-52-9
	1102363-53-0	1102363-54-1	1102363-55-2		
	1102363-56-3	1102363-57-4	1102363-58-5		
	1102363-59-6	1102363-60-9	1102363-61-0		
	1102363-62-1	1102363-63-2	1102363-64-3		
	1102363-65-4	1102363-66-5	1102363-67-6		
	1102363-68-7	1102363-69-8	1102363-70-1		
	1102363-71-2	1102363-72-3	1102363-73-4		
	1102363-74-5	1102363-75-6	1102363-76-7		
	1102363-77-8	1102363-78-9	1102363-79-0		
	1102363-80-3	1102363-81-4	1102363-82-5		
	1102363-83-6	1102363-84-7	1102363-85-8		
	1102363-86-9	1102363-87-0	1102363-88-1		
	1102363-89-2	1102363-90-5	1102363-91-6		
	1102363-92-7	1102363-93-8	1102363-94-9		
	1102363-95-0	1102363-96-1	1102363-97-2		
	1102363-98-3	1102363-99-4	1102364-00-0		
	1102364-01-1	1102364-02-2	1102364-03-3		
	1102364-04-4	1102364-05-5	1102364-06-6		
	1102364-07-7	1102364-08-8	1102364-09-9	1102364-10-2	
	1102364-11-3	1102364-12-4	1102364-13-5	1102364-14-6	1102364-15-7
	1102364-16-8	1197985-77-5	1197986-71-2	1197987-30-6	
	1197987-35-1	1197987-37-3	1197987-53-3	1197987-54-4	1197987-56-6
	1197987-57-7	1197987-59-9	1197987-62-4	1197987-64-6	1197987-69-1
	1197987-75-9	1197987-76-0	1197987-77-1	1197987-78-2	1197987-79-3
	1197987-88-4	1197987-97-5	1197987-99-7	1197988-00-3	1197988-01-4
	1197988-02-5	1197988-03-6	1197988-05-8	1197988-06-9	1197988-08-1
	1197988-09-2	1197988-10-5	1197988-11-6	1197988-12-7	1197988-14-9
	1197988-17-2	1197988-18-3	1197988-19-4	1197988-20-7	

**Serial#: 10/595,734**

1197988-29-6    1197988-50-3    1197988-75-2    1200400-98-1  
1200400-99-2

RL: PRPH (Prophetic)

(Preparation of 2-aryldihydroxypyrimidine-4-carboxylic acids as hepatitis C viral polymerase inhibitors)

IT    391680-75-4P    391680-76-5P    391680-77-6P  
391680-78-7P    391680-79-8P    391680-80-1P    391680-81-2P  
391680-82-3P    391680-83-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-aryldihydroxypyrimidine-4-carboxylic acids as hepatitis C viral polymerase inhibitors)

IT    606-25-7, 1-Naphthalenesulfonamide    612-24-8    619-24-9    762-42-5,  
Dimethyl acetylenedicarboxylate    1449-46-3, Benzyl triphenylphosphonium  
bromide    3066-44-2, Diphenylmethyl isocyanate    13250-83-4    22288-78-4  
51527-73-2, 2,4,6-Trichlorobenzenesulfonyl chloride    53370-51-7  
55204-93-8, 2-Chlorobenzyl isocyanate    219529-19-8  
391681-11-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 2-aryldihydroxypyrimidine-4-carboxylic acids as hepatitis C viral polymerase inhibitors)

IT    5023-94-9P    22179-83-5P    75735-44-3P    85598-51-2P    100421-50-9P  
391680-84-5P    391680-85-6P    391680-86-7P  
391680-87-8P    391680-88-9P    391680-89-0P  
391680-90-3P    391680-91-4P    391680-92-5P    391680-93-6P    391680-94-7P  
391680-95-8P    391680-96-9P    391680-97-0P    391680-98-1P    391680-99-2P  
391681-00-8P    391681-02-0P    391681-03-1P    391681-04-2P    391681-05-3P  
391681-06-4P    391681-07-5P    391681-08-6P    391681-09-7P    391681-10-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-aryldihydroxypyrimidine-4-carboxylic acids as hepatitis C viral polymerase inhibitors)

IT    62222-38-2    790661-22-2    790661-23-3  
865875-91-8    865875-92-9    865875-93-0  
865875-94-1    865875-95-2    865875-96-3  
865875-97-4    865875-98-5    865875-99-6  
865876-00-2    865876-01-3    865876-02-4  
865876-03-5    865876-04-6    865876-06-8  
865876-07-9    865876-08-0    865876-09-1  
865876-10-4    865876-11-5    865876-12-6  
865876-13-7    865876-14-8    865876-15-9  
865876-16-0    865981-46-0    866006-00-0  
866052-11-1    866052-13-3    866052-14-4  
866052-16-6    866052-17-7    866052-18-8  
866052-19-9    866052-20-2    866052-21-3  
866052-22-4    866052-23-5    866052-25-7  
866052-27-9    866052-29-1    866052-30-4  
866052-32-6    866052-35-9    866052-36-0  
866052-37-1    866052-38-2    866052-39-3  
954241-09-9    1102359-44-3    1102359-45-4  
1102359-47-6    1102359-48-7    1102359-49-8  
1102359-50-1    1102359-51-2    1102359-52-3  
1102359-53-4    1102359-54-5    1102359-55-6  
1102359-56-7    1102359-57-8    1102359-58-9  
1102359-59-0    1102359-60-3    1102359-61-4  
1102359-62-5    1102359-63-6    1102359-64-7  
1102359-65-8    1102359-66-9    1102359-67-0  
1102359-68-1    1102359-69-2    1102359-70-5  
1102359-71-6    1102359-72-7    1102359-73-8  
1102359-74-9    1102359-75-0    1102359-76-1

**Serial#: 10/595,734**

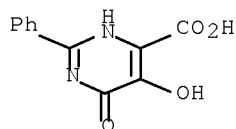
1102359-77-2	1102359-78-3	1102359-80-7
1102359-83-0	1102359-85-2	1102359-88-5
1102359-89-6	1102359-90-9	1102359-91-0
1102359-92-1	1102359-93-2	1102359-94-3
1102359-95-4	1102359-96-5	1102359-97-6
1102359-98-7	1102360-00-8	1102360-01-9
1102360-02-0	1102360-03-1	1102360-04-2
1102360-05-3	1102360-06-4	1102360-07-5
1102360-08-6	1102360-09-7	1102360-10-0
1102360-11-1	1102360-12-2	1102360-13-3
1102360-14-4	1102360-15-5	1102360-16-6
1102360-18-8	1102360-19-9	1102360-20-2
1102360-21-3	1102360-22-4	1102360-23-5
1102360-24-6	1102360-25-7	1102360-26-8
1102360-27-9	1102360-28-0	1102360-29-1
1102360-30-4	1102360-31-5	1102360-32-6
1102360-66-6	1102360-67-7	1102360-68-8
1102360-69-9	1102360-70-2	1102360-71-3
1102360-72-4	1102360-73-5	1102360-74-6
1102360-75-7	1102360-76-8	1102360-77-9
1102360-78-0	1102360-79-1	1102360-80-4
1102360-81-5	1102360-82-6	1102360-83-7
1102360-84-8	1102360-85-9	1102360-86-0
1102360-87-1	1102360-88-2	1102360-89-3
1102360-90-6	1102360-91-7	1102360-92-8
1102360-93-9	1102360-94-0	1102360-95-1
1102360-96-2	1102360-97-3	1102362-94-6
1102362-98-0	1102363-55-2	1102363-56-3
1102363-57-4	1102363-58-5	1102363-59-6
1102363-60-9	1102363-61-0	1102363-62-1
1102363-63-2	1102363-64-3	1102363-65-4
1102363-66-5	1102363-67-6	1102363-68-7
1102363-69-8	1102363-70-1	1102363-71-2
1102363-72-3	1102363-73-4	1102363-74-5
1102363-75-6	1102363-76-7	1102363-77-8
1102363-78-9	1102363-79-0	1102363-80-3
1102363-81-4	1102363-82-5	1102363-83-6
1102363-84-7	1102363-85-8	1102363-86-9
1102363-87-0	1102363-88-1	1102363-89-2
1102363-90-5	1102363-91-6	1102363-92-7
1102363-93-8	1102363-94-9	1102363-95-0
1102363-96-1	1102363-97-2	1102363-98-3
1102363-99-4	1102364-00-0	1102364-01-1
1102364-02-2	1102364-03-3	1102364-04-4
1102364-05-5	1102364-06-6	1102364-07-7
1197986-71-2	1197988-19-4	1200400-98-1

RL: PRPH (Prophetic)

(Preparation of 2-aryldihydroxypyrimidine-4-carboxylic acids as hepatitis C viral polymerase inhibitors)

RN 62222-38-2 HCAPLUS

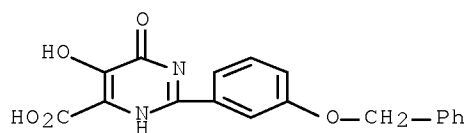
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-phenyl- (CA INDEX NAME)



**Serial#: 10/595,734**

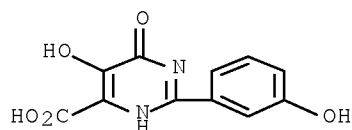
RN 790661-22-2 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[3-(phenylmethoxy)phenyl]- (CA INDEX NAME)



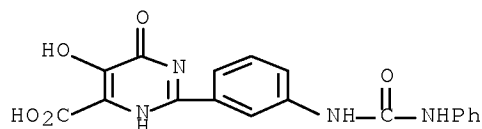
RN 790661-23-3 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-(3-hydroxyphenyl)-6-oxo- (CA INDEX NAME)



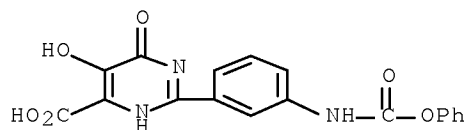
RN 865875-91-8 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[3-[(phenylamino)carbonyl]amino]phenyl]- (CA INDEX NAME)



RN 865875-92-9 HCAPLUS

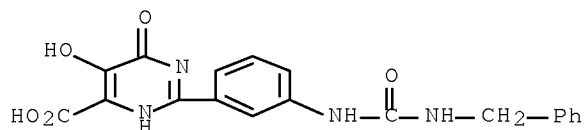
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[3-(phenoxycarbonyl)amino]phenyl]- (CA INDEX NAME)



**Serial#: 10/595,734**

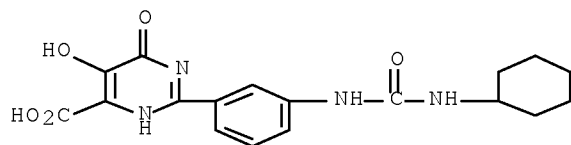
RN 865875-93-0 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[3-  
[[[(phenylmethyl)amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



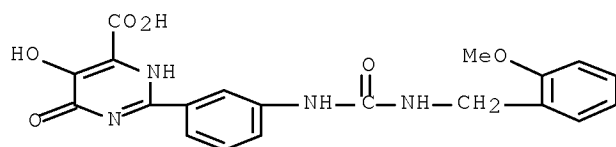
RN 865875-94-1 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-  
[[ (cyclohexylamino)carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-  
(CA INDEX NAME)



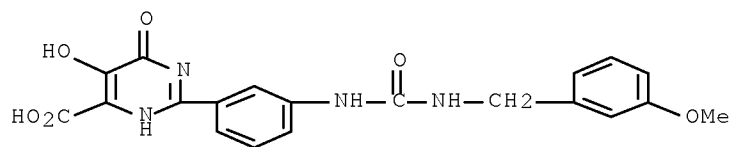
RN 865875-95-2 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[(2-  
methoxyphenyl)methyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



RN 865875-96-3 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[(3-  
methoxyphenyl)methyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)

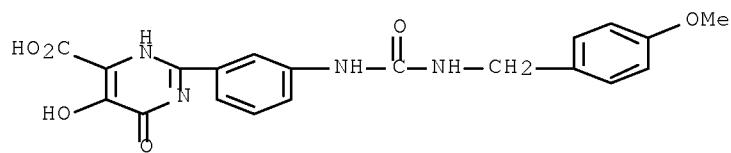


RN 865875-97-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[(4-

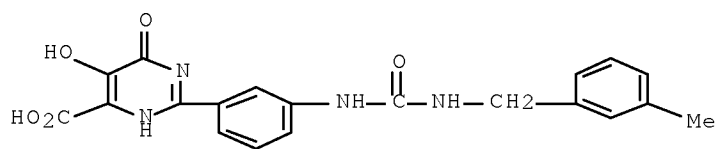
**Serial#: 10/595,734**

methoxyphenyl)methyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



RN 865875-98-5 HCAPLUS

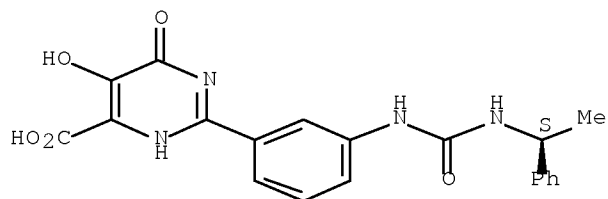
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[3-methylphenyl)methyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



RN 865875-99-6 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[3-[[[(1S)-1-phenylethyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)

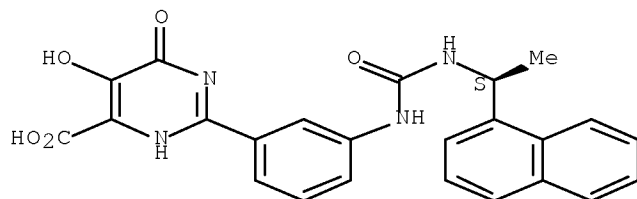
Absolute stereochemistry.



RN 865876-00-2 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[(1S)-1-(1-naphthalenyl)ethyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)

Absolute stereochemistry.

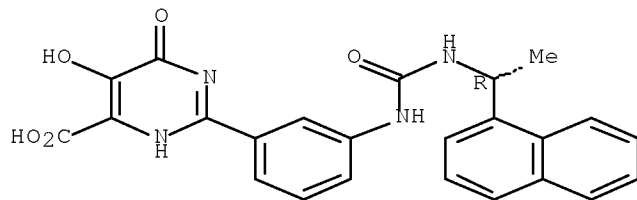


**Serial#: 10/595,734**

RN 865876-01-3 HCAPLUS

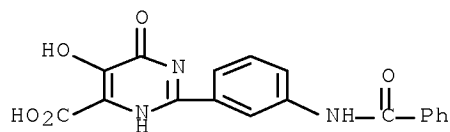
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)

Absolute stereochemistry.



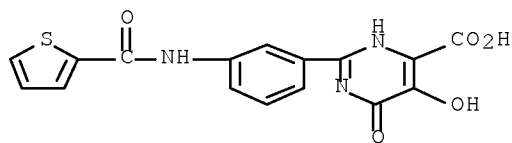
RN 865876-02-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-(benzoylamino)phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



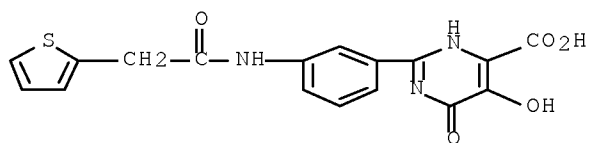
RN 865876-03-5 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[3-[(2-thienylcarbonyl)amino]phenyl]- (CA INDEX NAME)



RN 865876-04-6 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[3-[[2-(2-thienyl)acetyl]amino]phenyl]- (CA INDEX NAME)

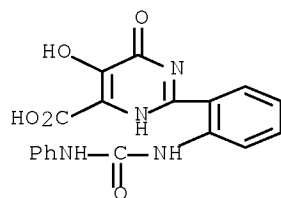




**Serial#: 10/595,734**

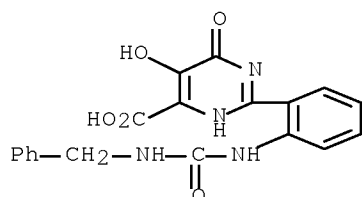
RN 865876-06-8 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-  
[[ (phenylamino)carbonyl]amino]phenyl]- (CA INDEX NAME)



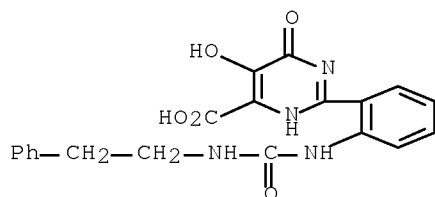
RN 865876-07-9 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-  
[[[(phenylmethyl)amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



RN 865876-08-0 HCAPLUS

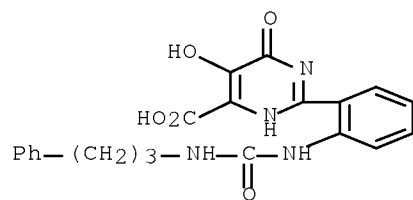
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-[[[(2-  
phenylethyl)amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



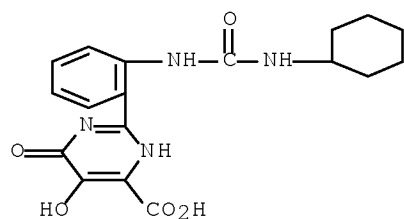
RN 865876-09-1 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-[[[(3-  
phenylpropyl)amino]carbonyl]amino]phenyl]- (CA INDEX NAME)

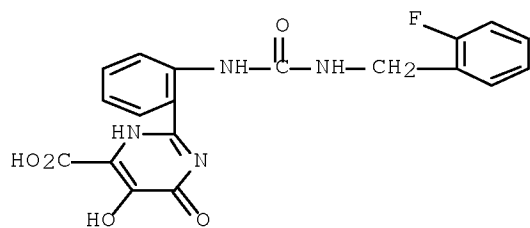
**Serial#: 10/595,734**



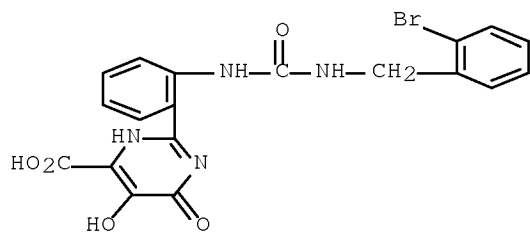
RN	865876-10-4	HCAPLUS
CN	4-Pyrimidinecarboxylic acid, 2-[2- [[ (cyclohexylamino) carbonyl] amino] phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)	



RN	865876-11-5	HCAPLUS
CN	4-Pyrimidinecarboxylic acid, 2-[2-[[[(2-fluorophenyl)methyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)	

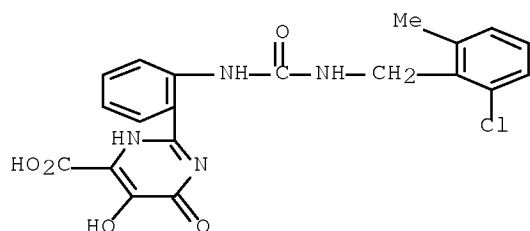


RN	865876-12-6	HCAPLUS
CN	4-Pyrimidinecarboxylic acid, 2-[2-[[[(2-bromophenyl)methyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)	



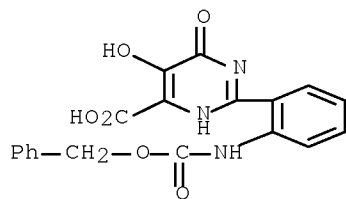
RN 865876-13-7 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[2-[[[(2-chloro-6-methylphenyl)methyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



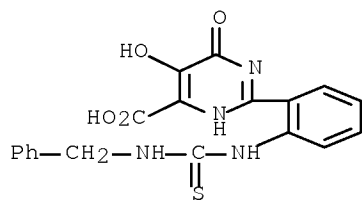
RN 865876-14-8 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-[[[(phenylmethoxy)carbonyl]amino]phenyl]- (CA INDEX NAME)



RN 865876-15-9 HCAPLUS

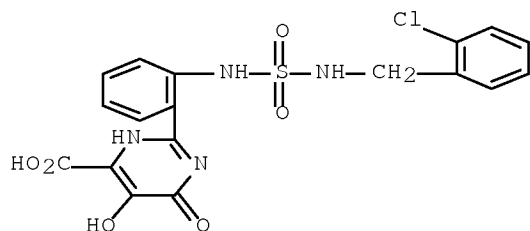
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-[[[(phenylmethyl)amino]thioxomethyl]amino]phenyl]- (CA INDEX NAME)



**Serial#: 10/595,734**

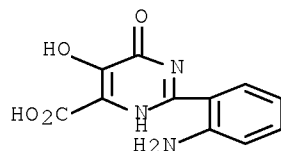
RN 865876-16-0 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[2-[[[(2-chlorophenyl)methyl]amino]sulfonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



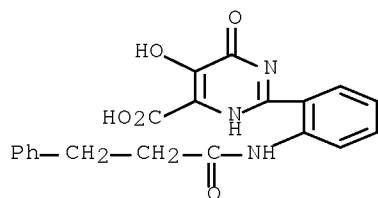
RN 865981-46-0 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(2-aminophenyl)-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



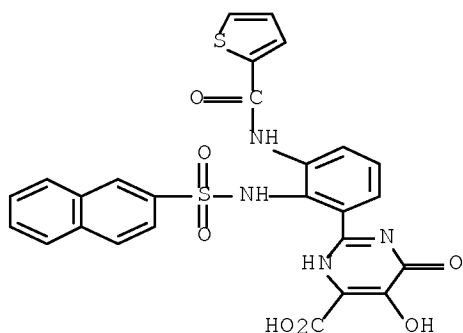
RN 866006-00-0 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-[(1-oxo-3-phenylpropyl)amino]phenyl]- (CA INDEX NAME)

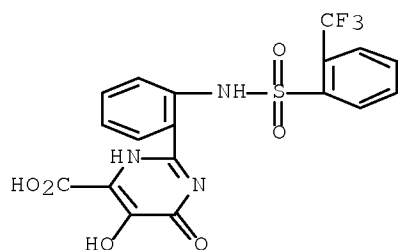


RN 866052-11-1 HCAPLUS

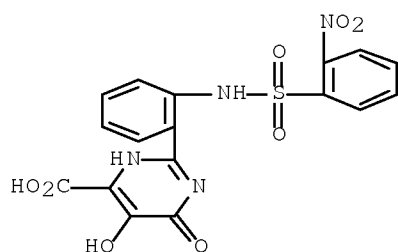
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[(2-naphthalenylsulfonyl)amino]-3-[(2-thienylcarbonyl)amino]phenyl]-6-oxo- (CA INDEX NAME)



RN 866052-13-3 HCAPLUS  
 CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-[[[2-(trifluoromethyl)phenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)

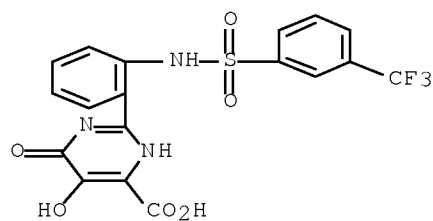


RN 866052-14-4 HCAPLUS  
 CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[[2-(trifluoromethyl)phenyl]sulfonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



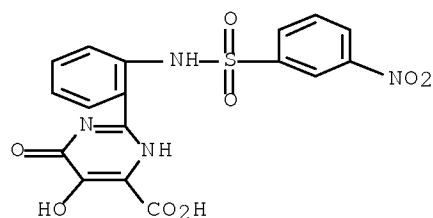
RN 866052-16-6 HCAPLUS  
 CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)

**Serial#: 10/595,734**



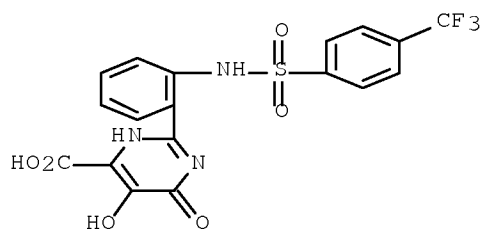
RN 866052-17-7 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[(3-nitrophenyl)sulfonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



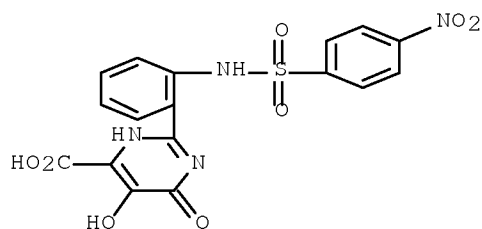
RN 866052-18-8 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



RN 866052-19-9 HCAPLUS

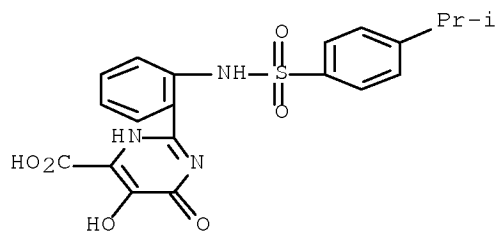
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[[[4-nitrophenyl)sulfonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



**Serial#: 10/595,734**

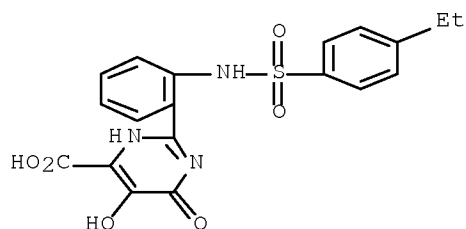
RN 866052-20-2 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



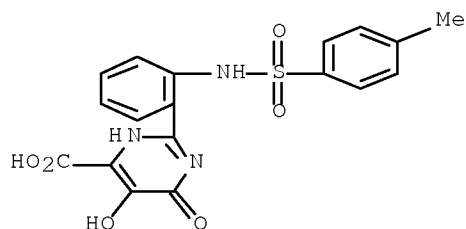
RN 866052-21-3 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[2-[[[4-ethylphenyl]sulfonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



RN 866052-22-4 HCAPLUS

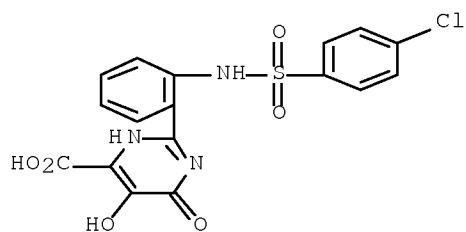
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[[[4-methylphenyl]sulfonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



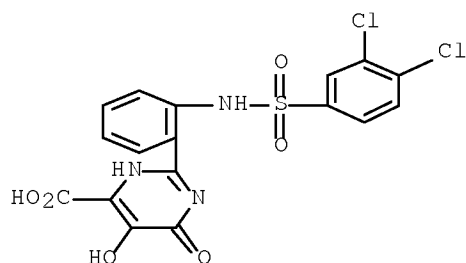
RN 866052-23-5 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[2-[[[4-chlorophenyl]sulfonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)

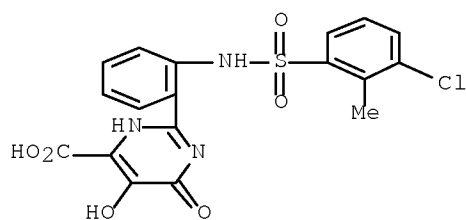
**Serial#: 10/595,734**



RN 866052-25-7 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-[2-[(3,4-dichlorophenyl)sulfonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)

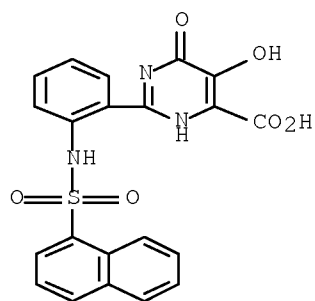


RN 866052-27-9 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-[2-[(3-chloro-2-methylphenyl)sulfonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)

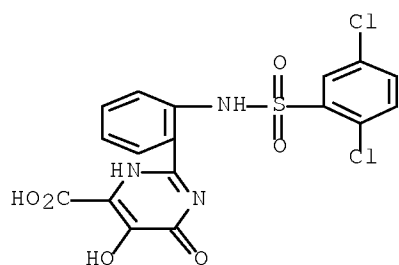


RN 866052-29-1 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[(1-naphthalenylsulfonyl)amino]phenyl]-6-oxo- (CA INDEX NAME)

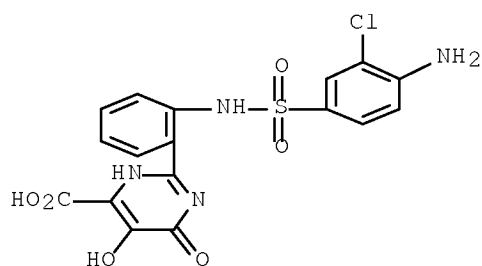




RN 866052-30-4 HCAPLUS  
 CN 4-Pyrimidinecarboxylic acid, 2-[2-[[2,5-dichlorophenyl)sulfonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)

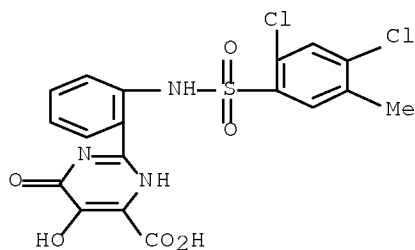


RN 866052-32-6 HCAPLUS  
 CN 4-Pyrimidinecarboxylic acid, 2-[2-[[4-amino-3-chlorophenyl)sulfonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



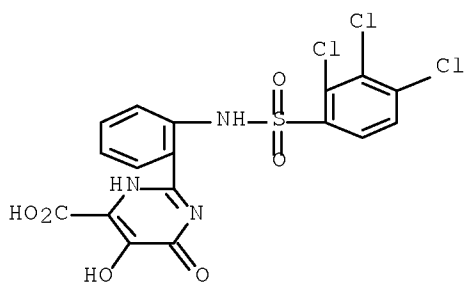
RN 866052-35-9 HCAPLUS  
 CN 4-Pyrimidinecarboxylic acid, 2-[2-[[2,4-dichloro-5-methylphenyl)sulfonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)

**Serial#: 10/595,734**



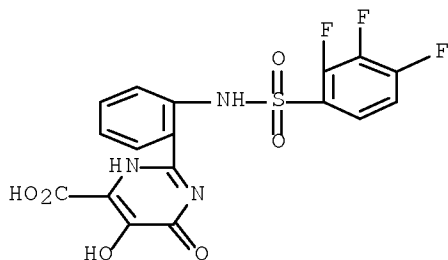
RN 866052-36-0 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-[(2,3,4-trichlorophenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)



RN 866052-37-1 HCAPLUS

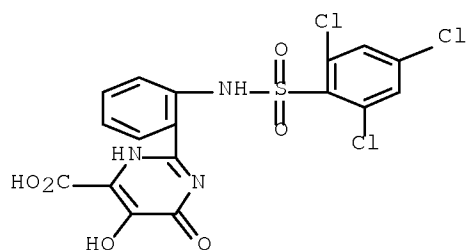
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-[(2,3,4-trifluorophenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)



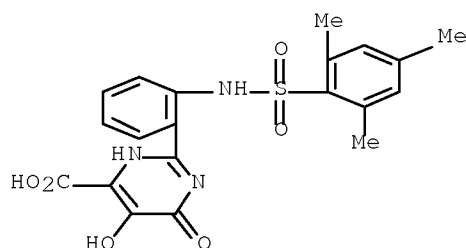
RN 866052-38-2 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-[(2,4,6-trichlorophenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)

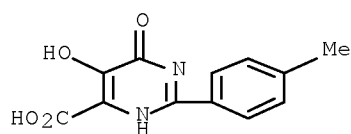
**Serial#: 10/595,734**



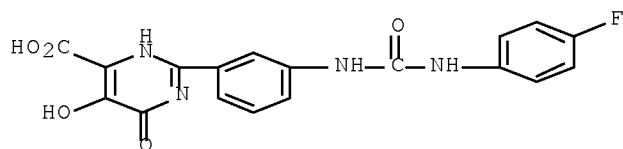
RN 866052-39-3 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-[(2,4,6-trimethylphenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)



RN 954241-09-9 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)

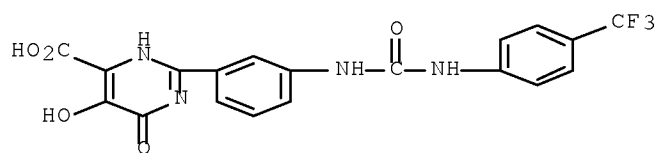


RN 1102359-44-3 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(4-fluorophenyl)amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



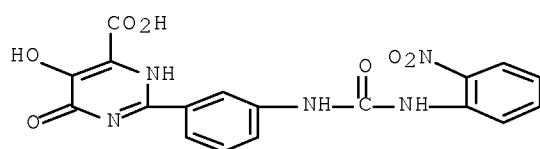
RN 1102359-45-4 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



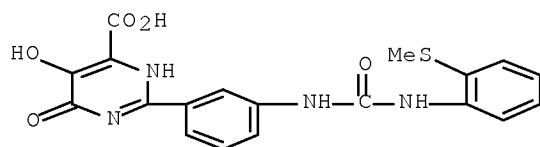
RN 1102359-47-6 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[2-nitrophenyl)amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



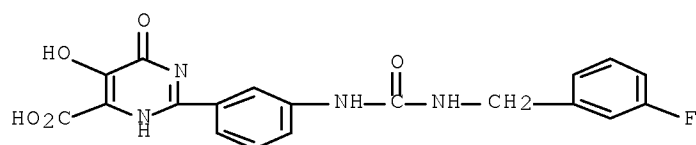
RN 1102359-48-7 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[2-(methylthio)phenyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



RN 1102359-49-8 HCAPLUS

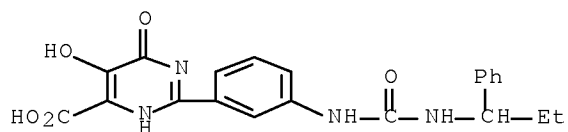
CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[[3-fluorophenyl)methyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



RN 1102359-50-1 HCAPLUS

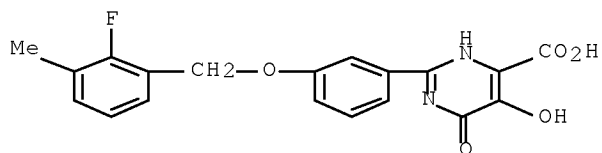
CN INDEX NAME NOT YET ASSIGNED

**Serial#: 10/595,734**



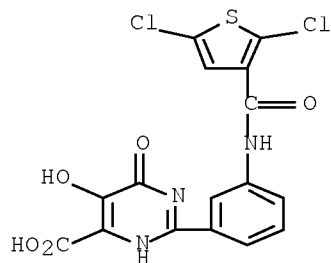
RN 1102359-51-2 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[(2-fluoro-3-methylphenyl)methoxy]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



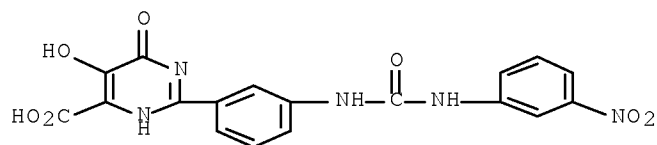
RN 1102359-52-3 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 1102359-53-4 HCAPLUS

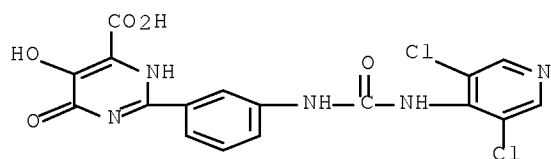
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[(3-nitrophenyl)amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



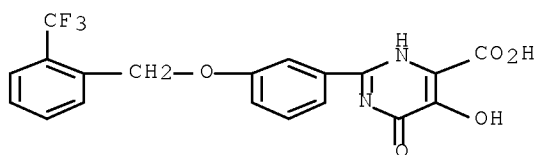
RN 1102359-54-5 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(3,5-dichloro-4-pyridinyl)amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)

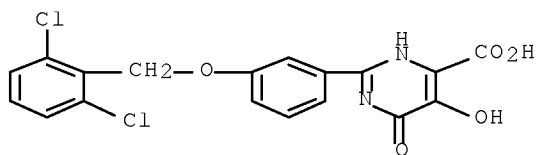
**Serial#: 10/595,734**



RN 1102359-55-6 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

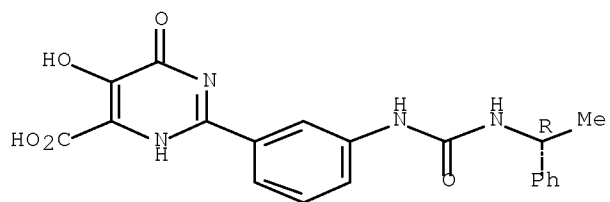


RN 1102359-56-7 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



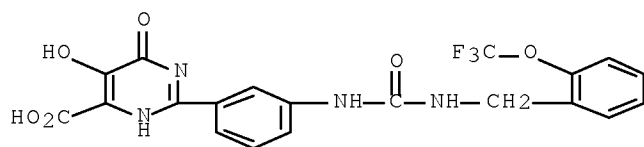
RN 1102359-57-8 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



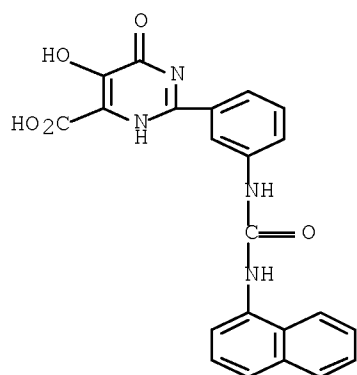
RN 1102359-58-9 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

**Serial#: 10/595,734**



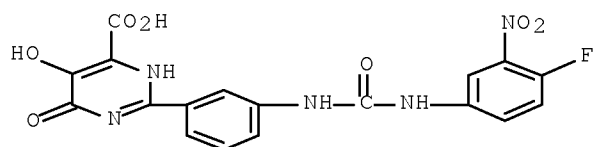
RN 1102359-59-0 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[1-(4-(trifluoromethoxy)phenyl)amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



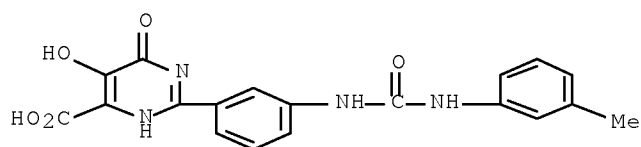
RN 1102359-60-3 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(4-fluoro-3-nitrophenyl)amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



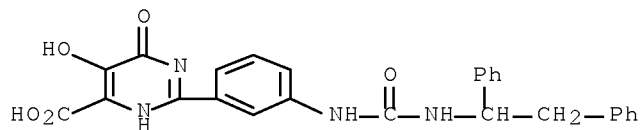
RN 1102359-61-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[(3-methylphenyl)amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)

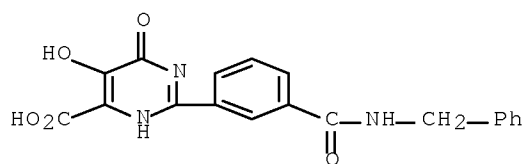


**Serial#: 10/595,734**

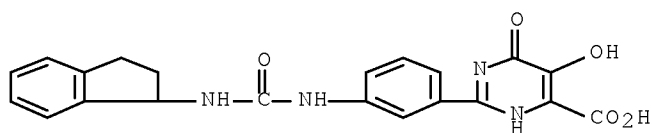
RN 1102359-62-5 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



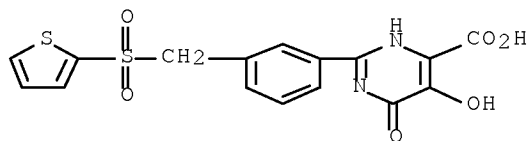
RN 1102359-63-6 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102359-64-7 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



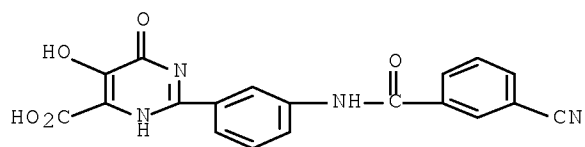
RN 1102359-65-8 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



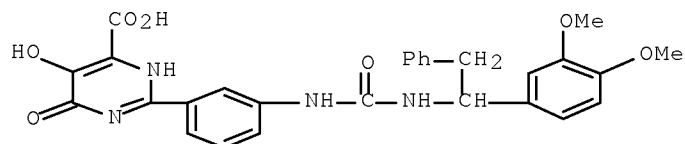
RN 1102359-66-9 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-[3-[(3-cyanobenzoyl)amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



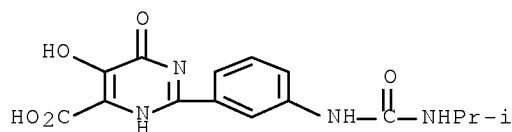
**Serial#: 10/595,734**



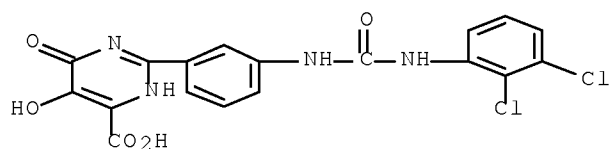
RN 1102359-67-0 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



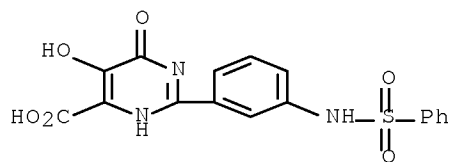
RN 1102359-68-1 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[(1-methylethyl)amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



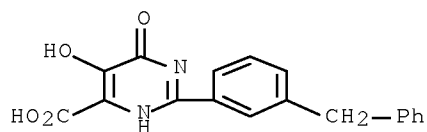
RN 1102359-69-2 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



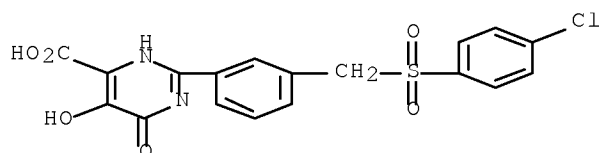
RN 1102359-70-5 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



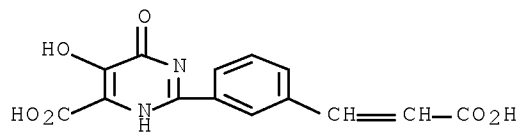
RN 1102359-71-6 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102359-72-7 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[4-chlorophenyl)sulfonyl)methyl]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)

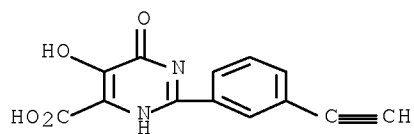


RN 1102359-73-8 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-[3-(2-carboxyethenyl)phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



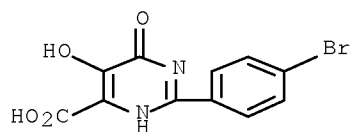
RN 1102359-74-9 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

**Serial#: 10/595,734**



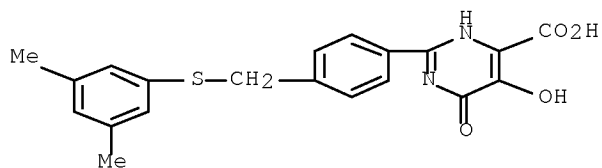
RN 1102359-75-0 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(4-bromophenyl)-1,6-dihydro-5-hydroxy-6-oxo-  
(CA INDEX NAME)



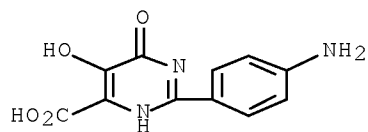
RN 1102359-76-1 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



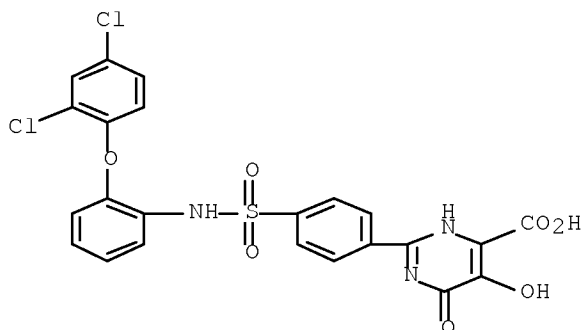
RN 1102359-77-2 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(4-aminophenyl)-1,6-dihydro-5-hydroxy-6-oxo-  
(CA INDEX NAME)

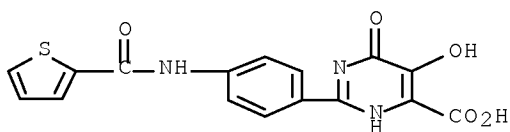


RN 1102359-78-3 HCAPLUS

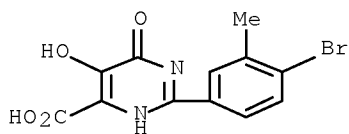
CN 4-Pyrimidinecarboxylic acid, 2-[4-[[[2-(2,4-dichlorophenoxy)phenyl]amino]sulfonyl]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-  
(CA INDEX NAME)



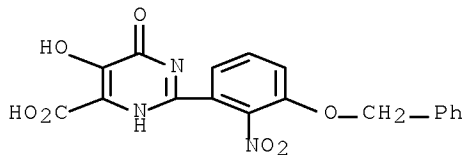
RN 1102359-80-7 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102359-83-0 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-(4-bromo-3-methylphenyl)-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)

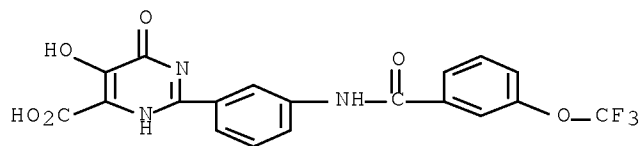


RN 1102359-85-2 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-nitro-3-(phenylmethoxy)phenyl]-6-oxo- (CA INDEX NAME)



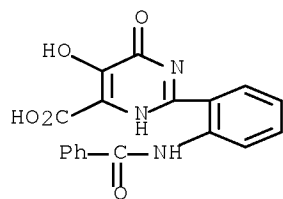
RN 1102359-88-5 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

**Serial#: 10/595,734**



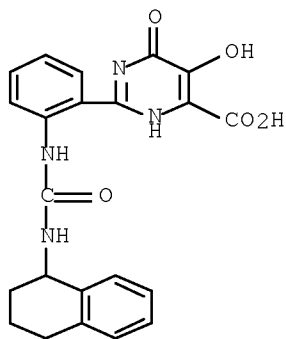
RN 1102359-89-6 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[2-(benzoylamino)phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



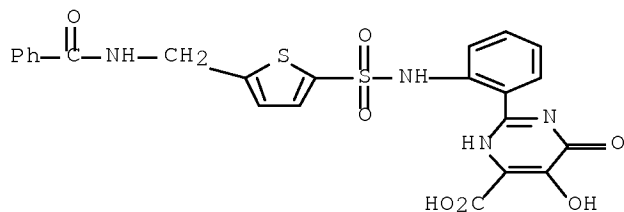
RN 1102359-90-9 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



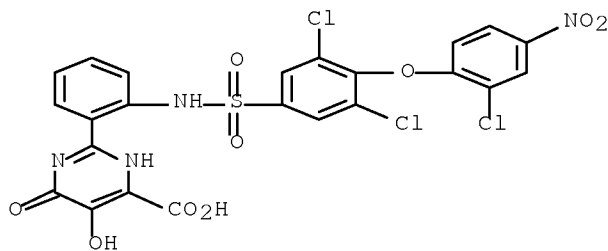
RN 1102359-91-0 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

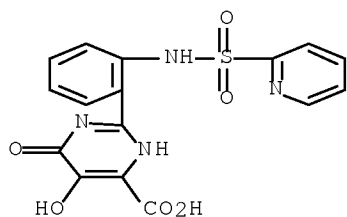


**Serial#: 10/595,734**

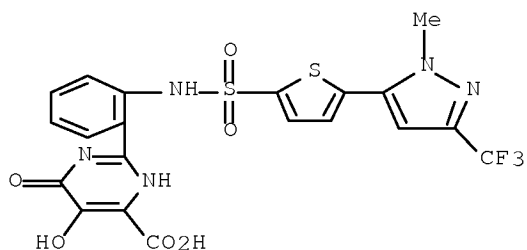
RN 1102359-92-1 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102359-93-2 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

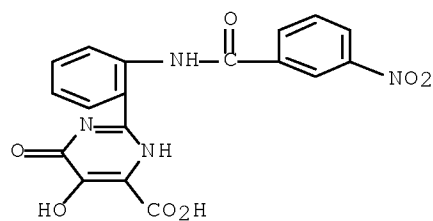


RN 1102359-94-3 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[[[5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-2-thienyl]sulfonyl]amino]phenyl]-6-oxo-  
(CA INDEX NAME)

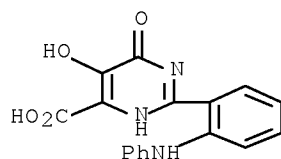


RN 1102359-95-4 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[(3-nitrobenzoyl)amino]phenyl]-6-oxo- (CA INDEX NAME)

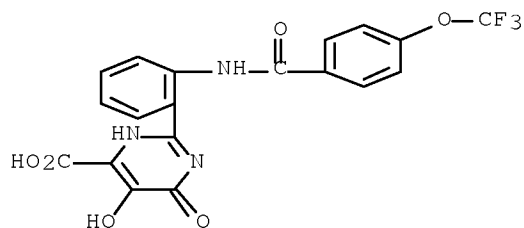
**Serial#: 10/595,734**



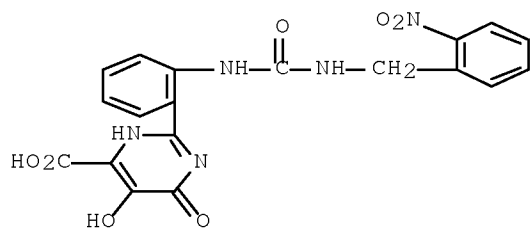
RN 1102359-96-5 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102359-97-6 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

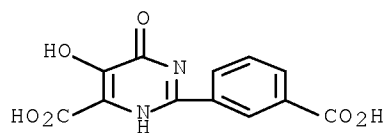


RN 1102359-98-7 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[[[(2-nitrophenyl)methyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)

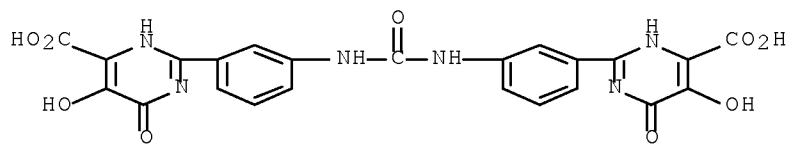


RN 1102360-00-8 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-(3-carboxyphenyl)-1,6-dihydro-5-hydroxy-6-

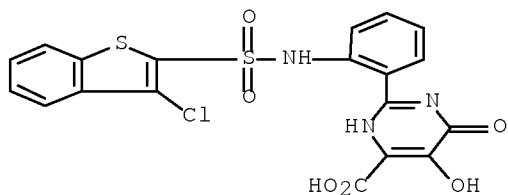
oxo- (CA INDEX NAME)



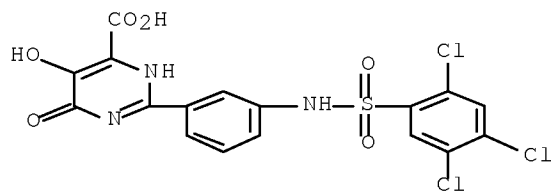
RN 1102360-01-9 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102360-02-0 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



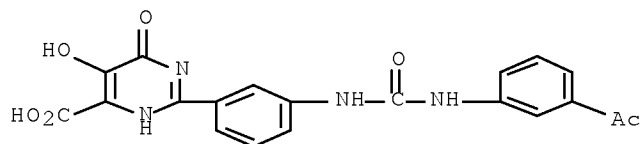
RN 1102360-03-1 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102360-04-2 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(3-acetylphenyl)amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-  
(CA INDEX NAME)

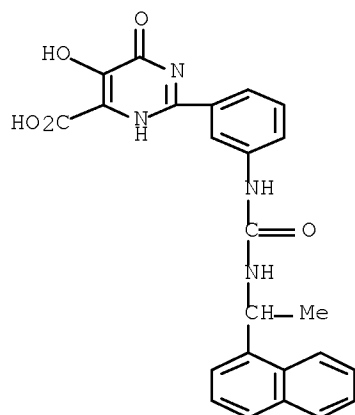


**Serial#: 10/595,734**



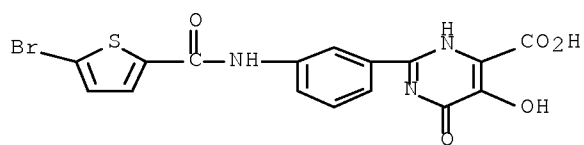
RN 1102360-05-3 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[1-(1-naphthalenyl)ethyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



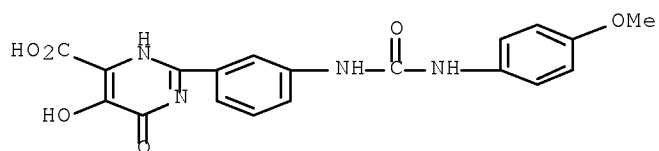
RN 1102360-06-4 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 1102360-07-5 HCAPLUS

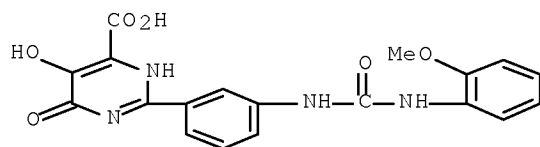
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[4-methoxyphenyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



**Serial#: 10/595,734**

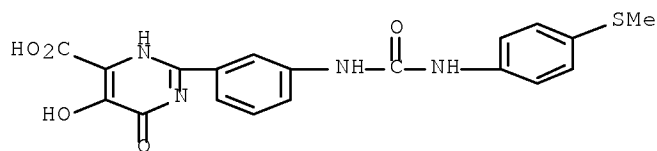
RN 1102360-08-6 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[(2-methoxyphenyl)amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



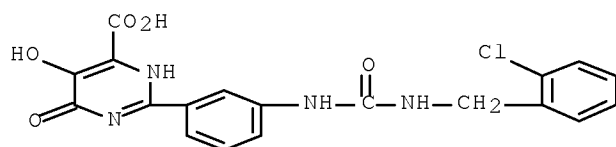
RN 1102360-09-7 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[(4-methylthio)phenyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



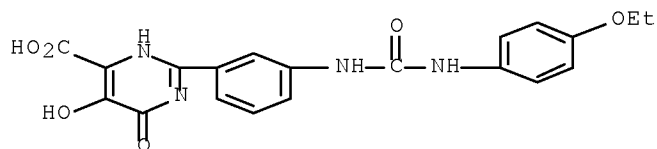
RN 1102360-10-0 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(2-chlorophenyl)methyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



RN 1102360-11-1 HCAPLUS

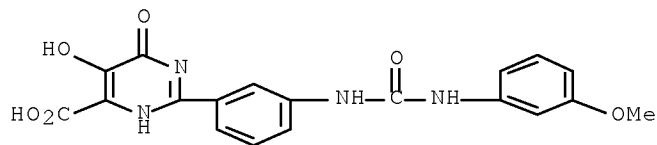
CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(4-ethoxyphenyl)amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



**Serial#: 10/595,734**

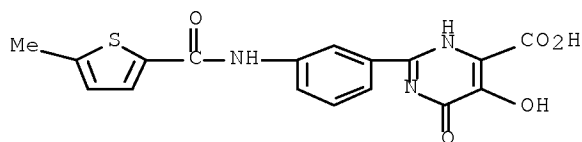
RN 1102360-12-2 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[(3-methoxyphenyl)amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



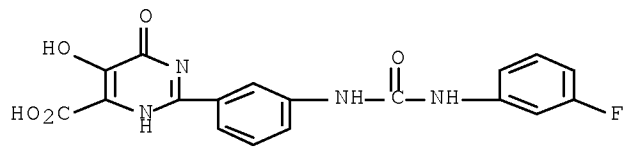
RN 1102360-13-3 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



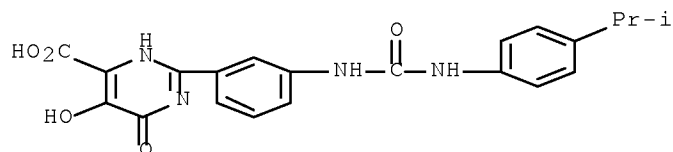
RN 1102360-14-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(3-fluorophenyl)amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



RN 1102360-15-5 HCAPLUS

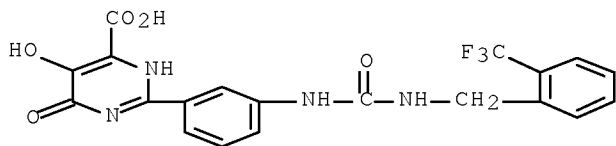
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[4-(1-methylethyl)phenyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



RN 1102360-16-6 HCAPLUS

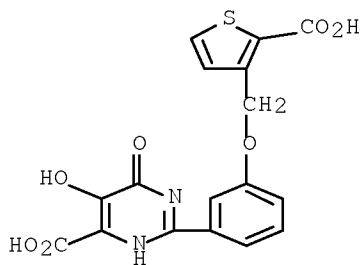
CN INDEX NAME NOT YET ASSIGNED

**Serial#: 10/595,734**



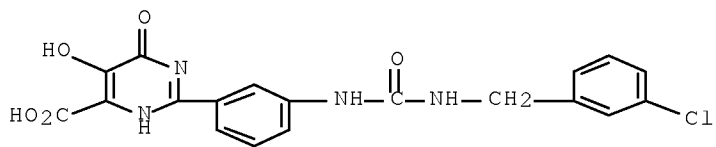
RN 1102360-18-8 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[(2-carboxy-3-thienyl)methoxy]phenyl]-  
1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



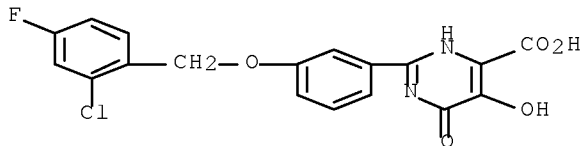
RN 1102360-19-9 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(3-chlorophenyl)methyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



RN 1102360-20-2 HCAPLUS

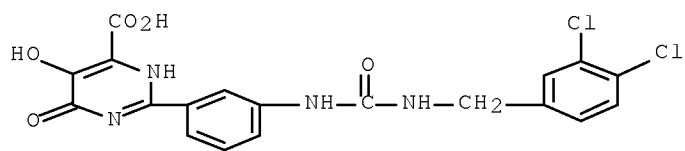
CN INDEX NAME NOT YET ASSIGNED



RN 1102360-21-3 HCAPLUS

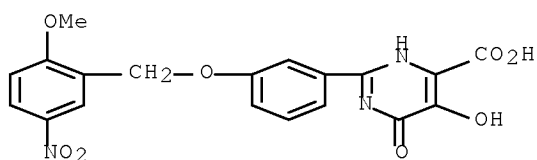
CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(3,4-dichlorophenyl)methyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-

oxo- (CA INDEX NAME)



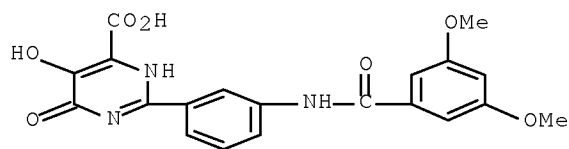
RN 1102360-22-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[(2-methoxy-5-nitrophenyl)methoxy]phenyl]-6-oxo- (CA INDEX NAME)



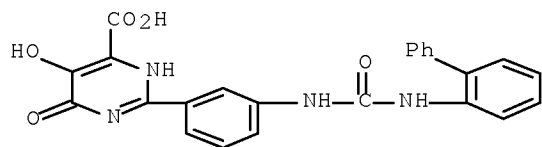
RN 1102360-23-5 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[(3,5-dimethoxybenzoyl)amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



RN 1102360-24-6 HCAPLUS

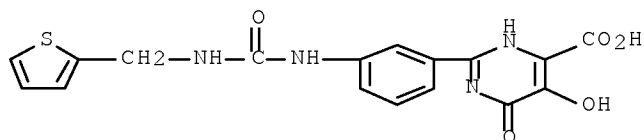
CN INDEX NAME NOT YET ASSIGNED



RN 1102360-25-7 HCAPLUS

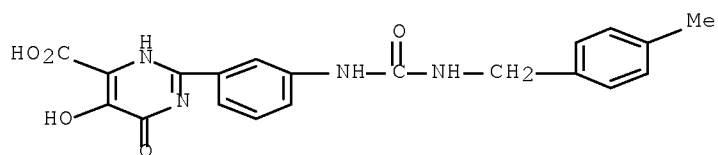
CN INDEX NAME NOT YET ASSIGNED

**Serial#: 10/595,734**



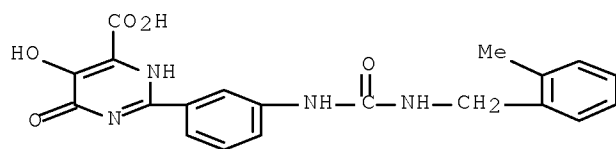
RN 1102360-26-8 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[(4-methylphenyl)methyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



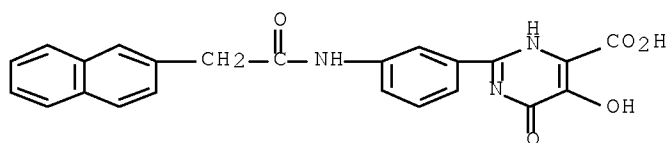
RN 1102360-27-9 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[(2-methylphenyl)methyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



RN 1102360-28-0 HCAPLUS

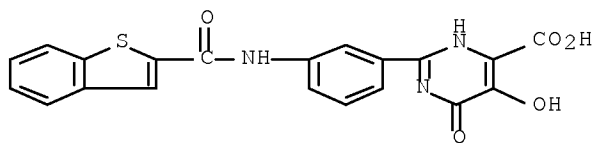
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[2-(2-naphthalenyl)acetyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



RN 1102360-29-1 HCAPLUS

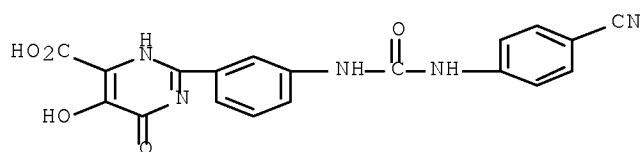
CN INDEX NAME NOT YET ASSIGNED

**Serial#: 10/595,734**



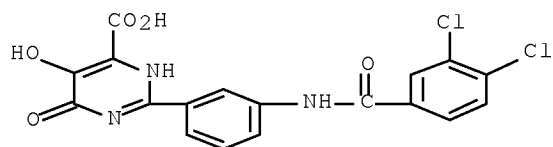
RN 1102360-30-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(4-cyanophenyl)amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



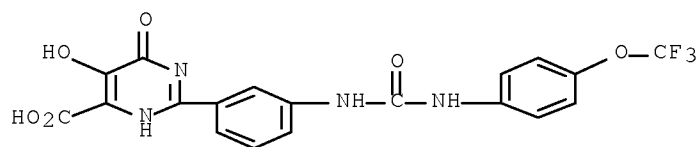
RN 1102360-31-5 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(3,4-dichlorobenzoyl)amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



RN 1102360-32-6 HCAPLUS

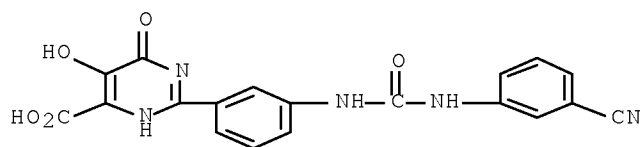
CN INDEX NAME NOT YET ASSIGNED



RN 1102360-66-6 HCAPLUS

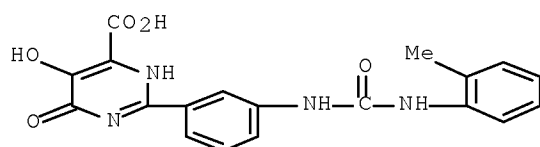
CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(3-cyanophenyl)amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)

**Serial#: 10/595,734**



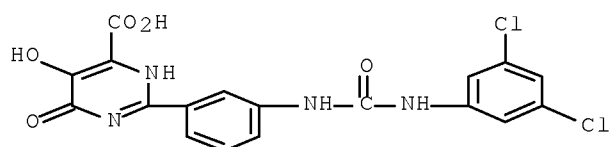
RN 1102360-67-7 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



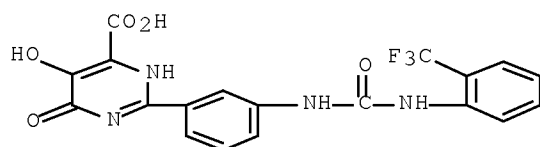
RN 1102360-68-8 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(3,5-dichlorophenyl)amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



RN 1102360-69-9 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

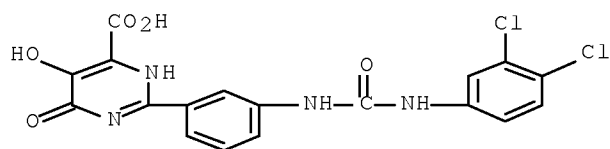


RN 1102360-70-2 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(3,4-dichlorophenyl)amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)

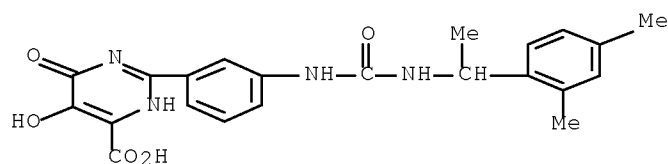


**Serial#: 10/595,734**



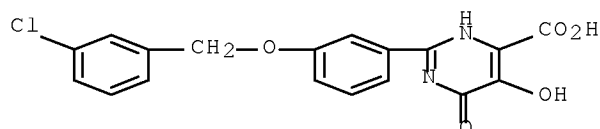
RN 1102360-71-3 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[1-(2,4-dimethylphenyl)ethyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



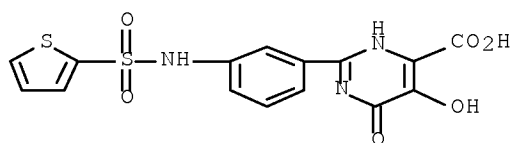
RN 1102360-72-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[(3-chlorophenyl)methoxy]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



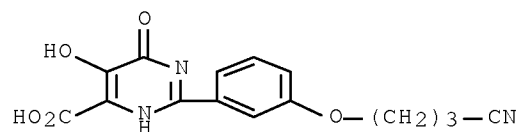
RN 1102360-73-5 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



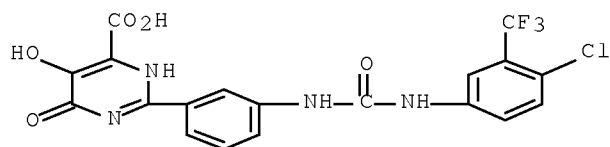
RN 1102360-74-6 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-(3-cyanopropoxy)phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



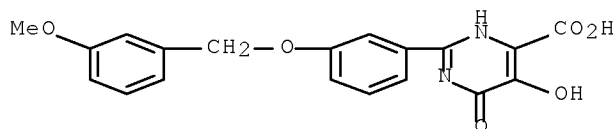
RN 1102360-75-7 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



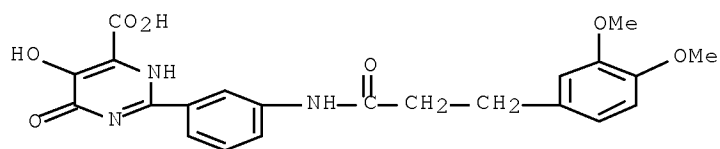
RN 1102360-76-8 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[(3-methoxyphenyl)methoxy]phenyl]-6-oxo- (CA INDEX NAME)



RN 1102360-77-9 HCAPLUS

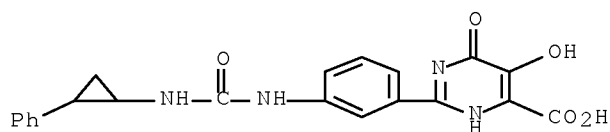
CN INDEX NAME NOT YET ASSIGNED



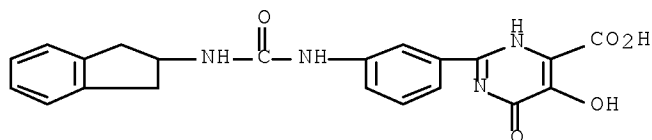
RN 1102360-78-0 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

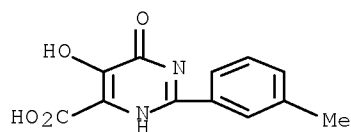
**Serial#: 10/595,734**



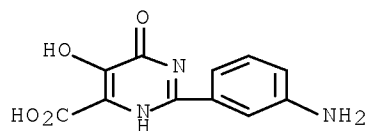
RN 1102360-79-1 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102360-80-4 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-(3-methylphenyl)-6-oxo- (CA INDEX NAME)

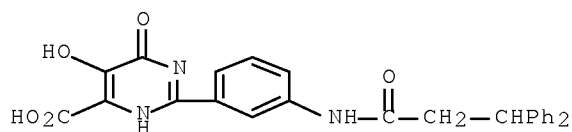


RN 1102360-81-5 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-(3-aminophenyl)-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



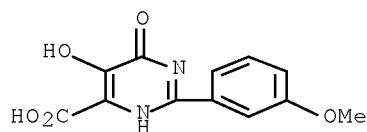
RN 1102360-82-6 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

**Serial#: 10/595,734**



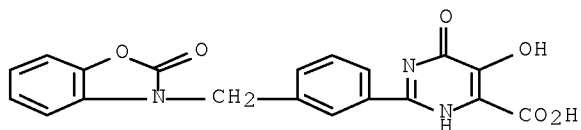
RN 1102360-83-7 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-(3-methoxyphenyl)-6-oxo- (CA INDEX NAME)



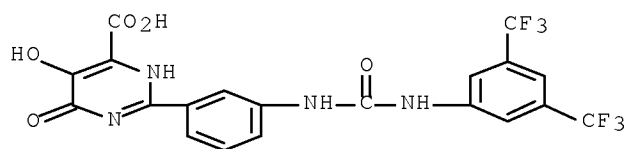
RN 1102360-84-8 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 1102360-85-9 HCAPLUS

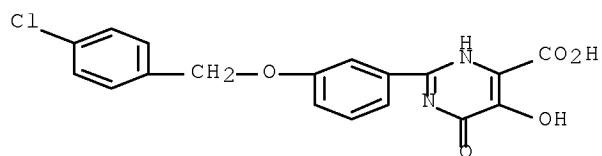
CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



RN 1102360-86-0 HCAPLUS

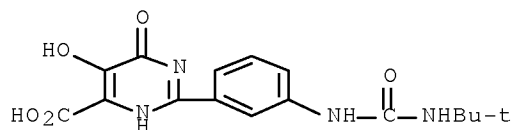
CN INDEX NAME NOT YET ASSIGNED

**Serial#: 10/595,734**



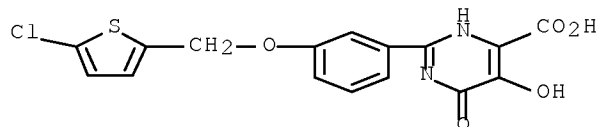
RN 1102360-87-1 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(1,1-dimethylethyl)amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



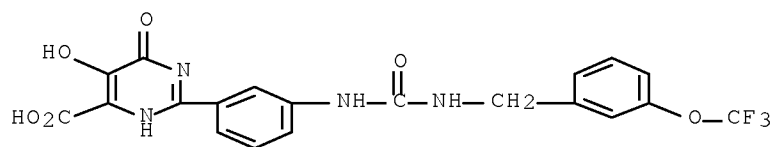
RN 1102360-88-2 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[(5-chloro-2-thienyl)methoxy]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



RN 1102360-89-3 HCAPLUS

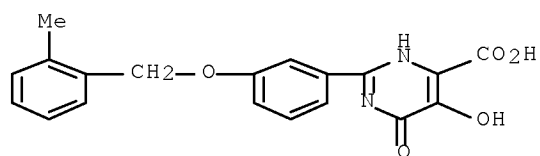
CN INDEX NAME NOT YET ASSIGNED



RN 1102360-90-6 HCAPLUS

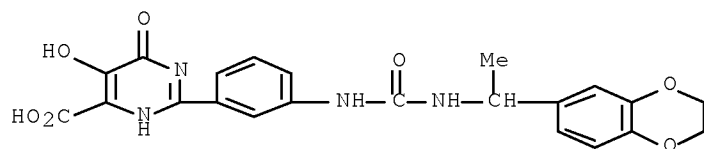
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[(2-methylphenyl)methoxy]phenyl]-6-oxo- (CA INDEX NAME)

**Serial#: 10/595,734**



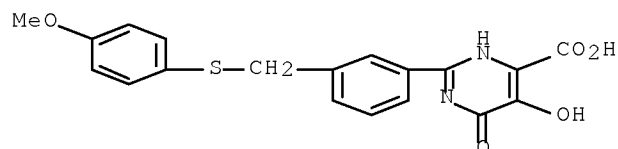
RN 1102360-91-7 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[1-(2,3-dihydro-1,4-benzodioxin-6-yl)ethyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



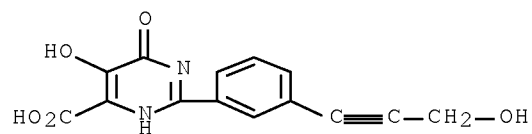
RN 1102360-92-8 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-[[4-methoxyphenyl]thio]methyl]phenyl]-6-oxo- (CA INDEX NAME)



RN 1102360-93-9 HCAPLUS

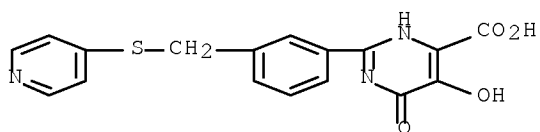
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[3-(3-hydroxy-1-propyn-1-yl)phenyl]-6-oxo- (CA INDEX NAME)



RN 1102360-94-0 HCAPLUS

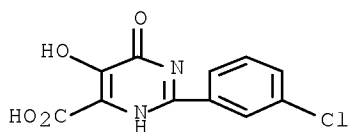
CN INDEX NAME NOT YET ASSIGNED

**Serial#: 10/595,734**



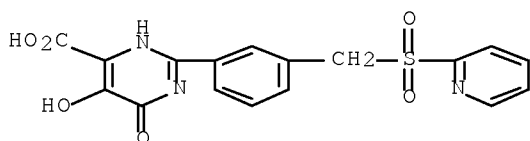
RN 1102360-95-1 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(3-chlorophenyl)-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



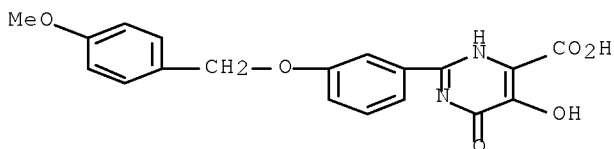
RN 1102360-96-2 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 1102360-97-3 HCAPLUS

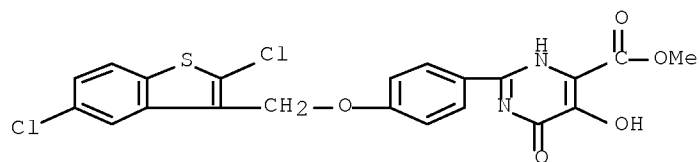
CN INDEX NAME NOT YET ASSIGNED



RN 1102362-94-6 HCAPLUS

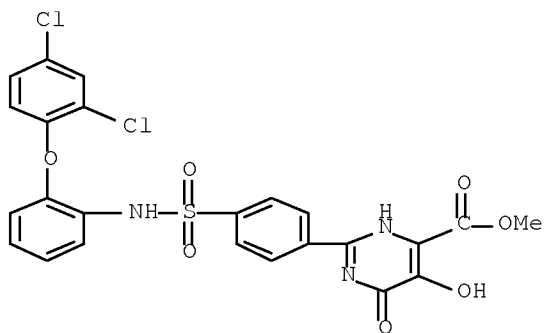
CN INDEX NAME NOT YET ASSIGNED

**Serial#: 10/595,734**



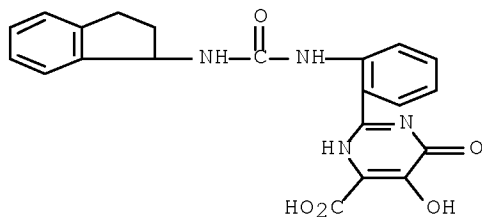
RN 1102362-98-0 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[4-[[[2-(2,4-dichlorophenoxy)phenyl]amino]sulfonyl]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-, methyl ester (CA INDEX NAME)



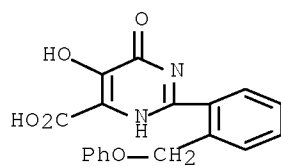
RN 1102363-55-2 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 1102363-56-3 HCAPLUS

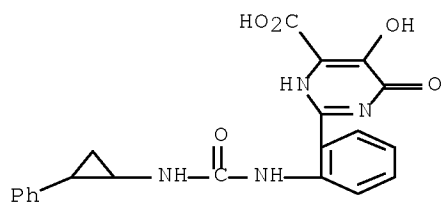
CN INDEX NAME NOT YET ASSIGNED



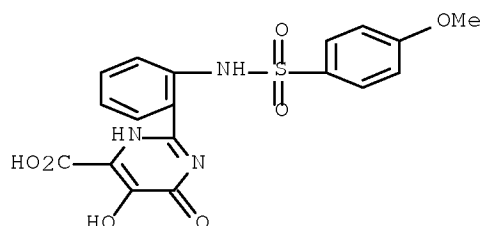


**Serial#: 10/595,734**

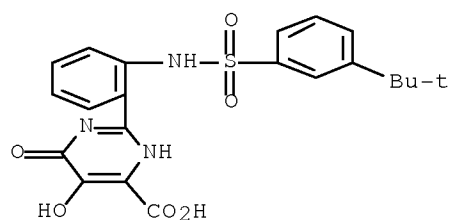
RN 1102363-57-4 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



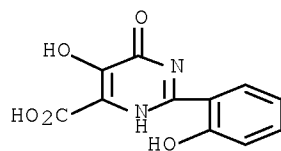
RN 1102363-58-5 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[[ (4-methoxyphenyl)sulfonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



RN 1102363-59-6 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

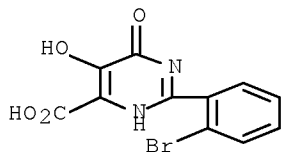


RN 1102363-60-9 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-(2-hydroxyphenyl)-6-oxo- (CA INDEX NAME)

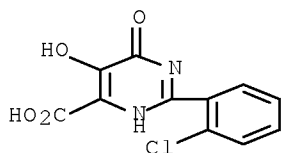


**Serial#: 10/595,734**

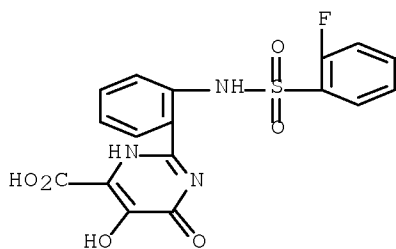
RN 1102363-61-0 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102363-62-1 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-(2-chlorophenyl)-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)

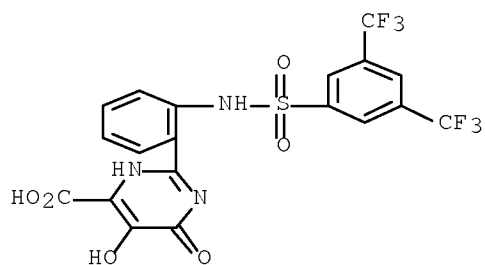


RN 1102363-63-2 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

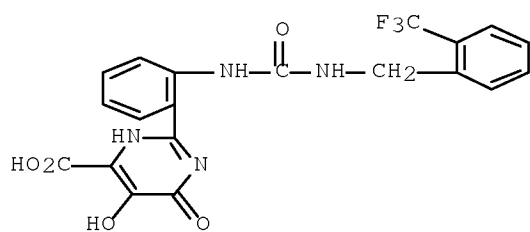


RN 1102363-64-3 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

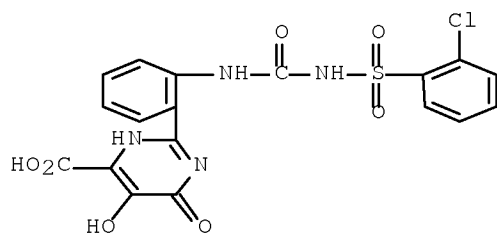
**Serial#: 10/595,734**



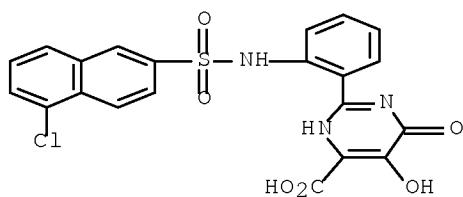
RN 1102363-65-4 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102363-66-5 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

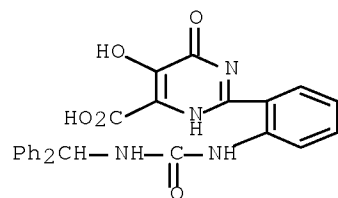


RN 1102363-67-6 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

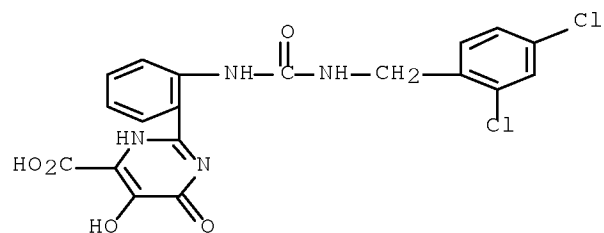


**Serial#: 10/595,734**

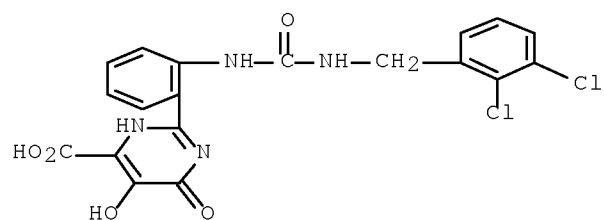
RN 1102363-68-7 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102363-69-8 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

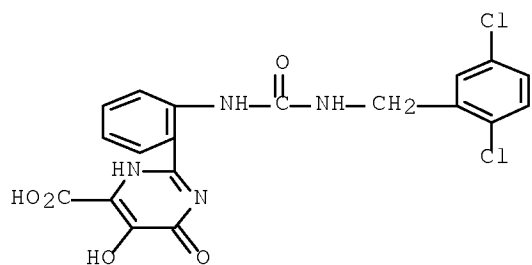


RN 1102363-70-1 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

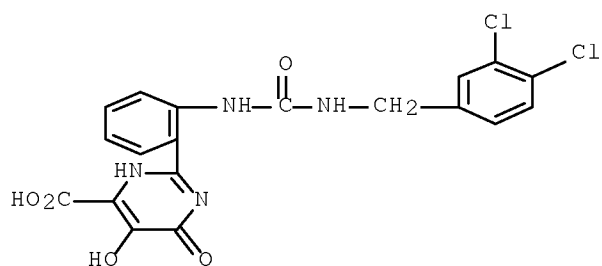


RN 1102363-71-2 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

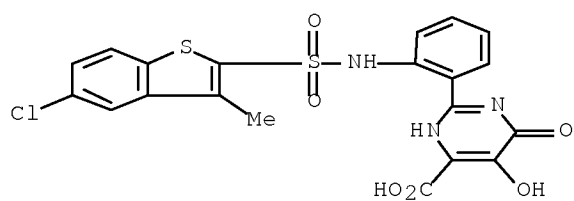
**Serial#: 10/595,734**



RN 1102363-72-3 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

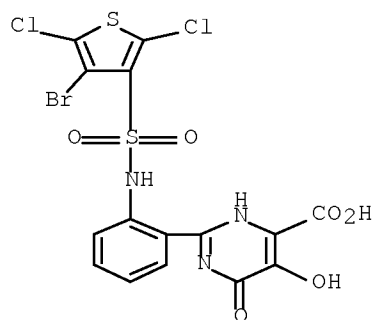


RN 1102363-73-4 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

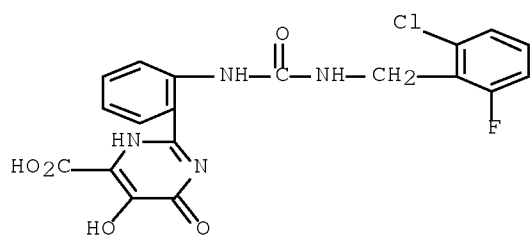


RN 1102363-74-5 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

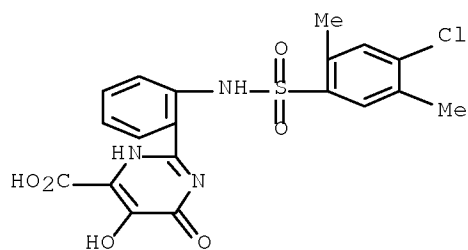
**Serial#: 10/595,734**



RN 1102363-75-6 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

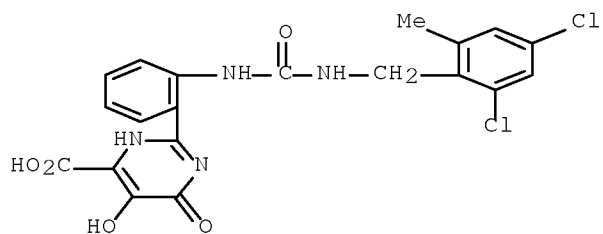


RN 1102363-76-7 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

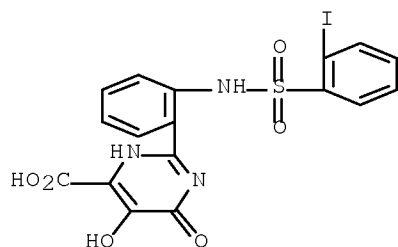


RN 1102363-77-8 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

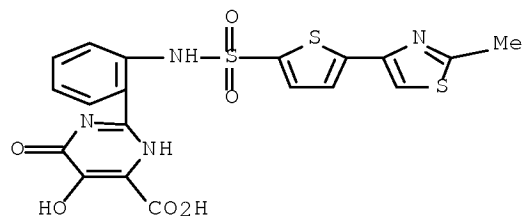
**Serial#: 10/595,734**



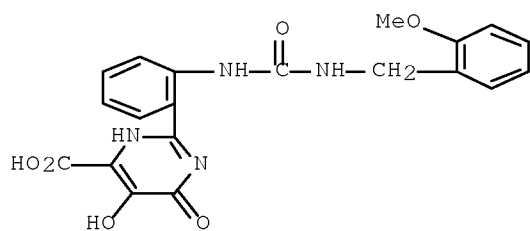
RN 1102363-78-9 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102363-79-0 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



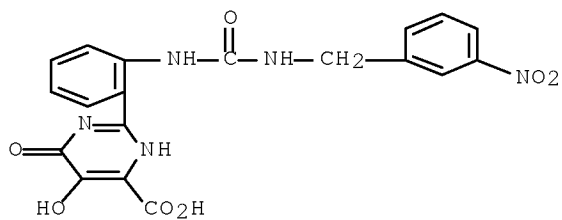
RN 1102363-80-3 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[[[(2-methoxyphenyl)methyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



**Serial#: 10/595,734**

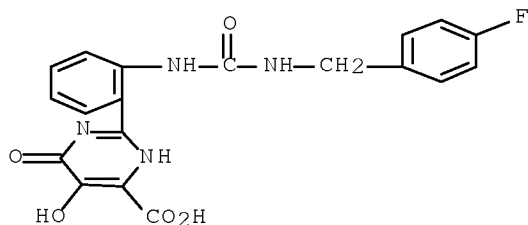
RN 1102363-81-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[[[(3-nitrophenyl)methyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



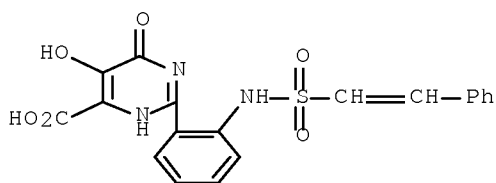
RN 1102363-82-5 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 1102363-83-6 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

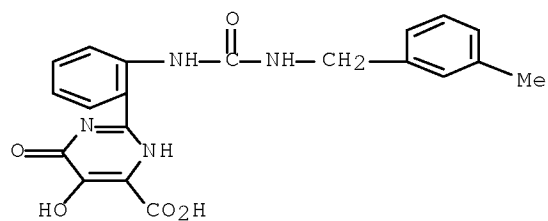


RN 1102363-84-7 HCAPLUS

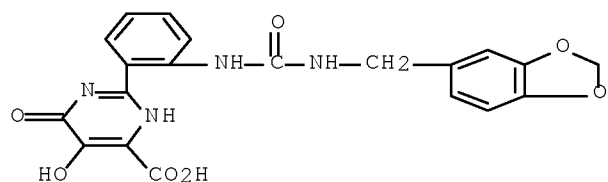
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[[[(3-methylphenyl)methyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



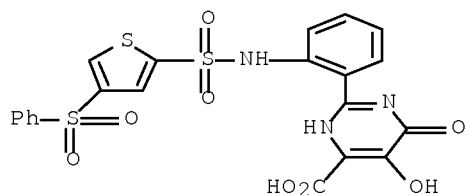
**Serial#: 10/595,734**



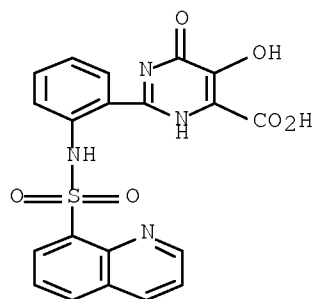
RN 1102363-85-8 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102363-86-9 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

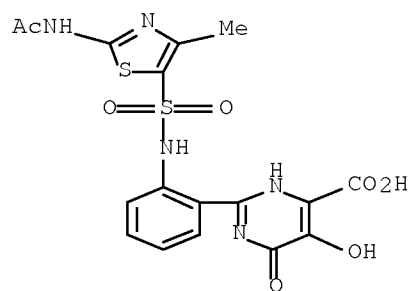


RN 1102363-87-0 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



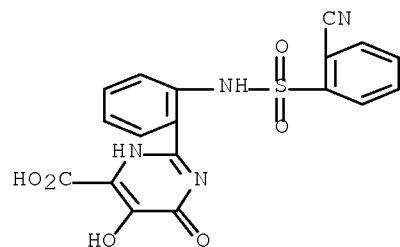
RN 1102363-88-1 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



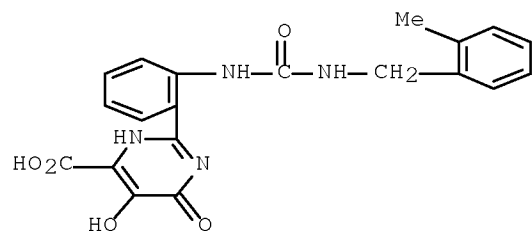
RN 1102363-89-2 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 1102363-90-5 HCAPLUS

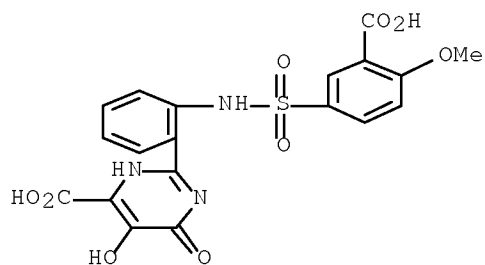
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[[[(2-methylphenyl)methyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



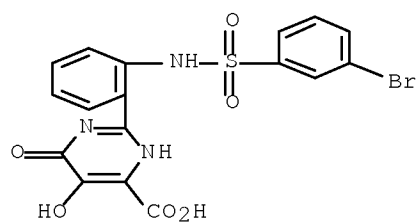
RN 1102363-91-6 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

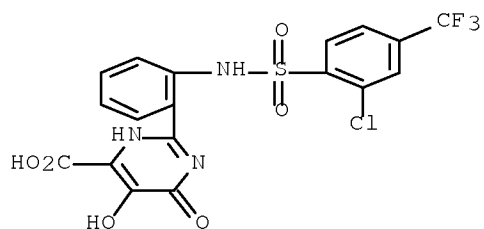
**Serial#: 10/595,734**



RN 1102363-92-7 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

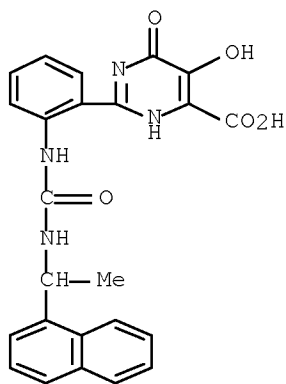


RN 1102363-93-8 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

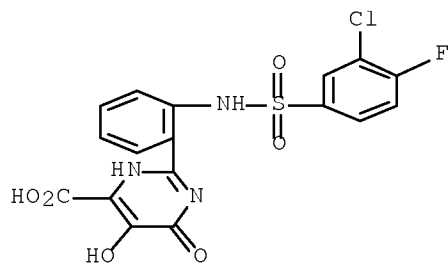


RN 1102363-94-9 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

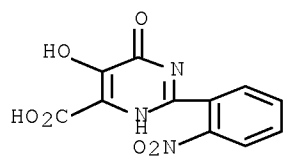
**Serial#: 10/595,734**



RN 1102363-95-0 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

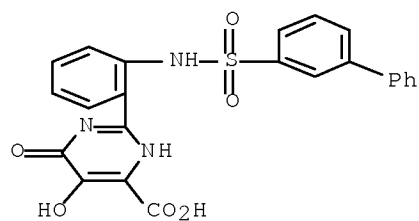


RN 1102363-96-1 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-(2-nitrophenyl)-6-oxo-  
(CA INDEX NAME)

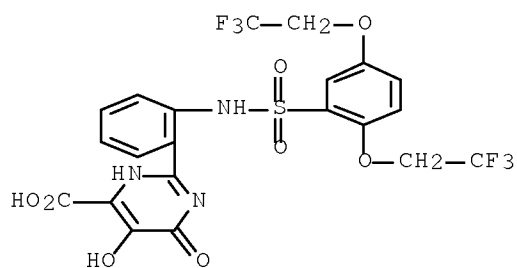


RN 1102363-97-2 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED

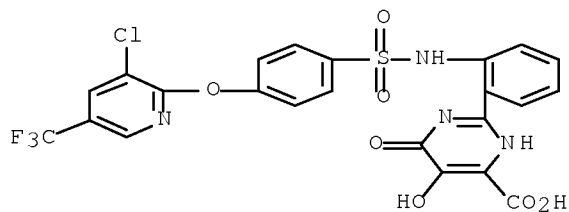
**Serial#: 10/595,734**



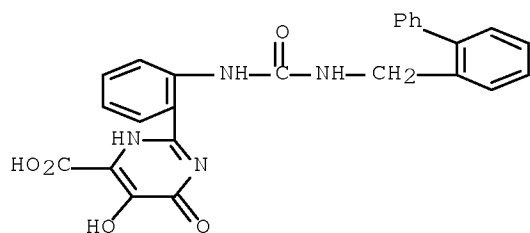
RN 1102363-98-3 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102363-99-4 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



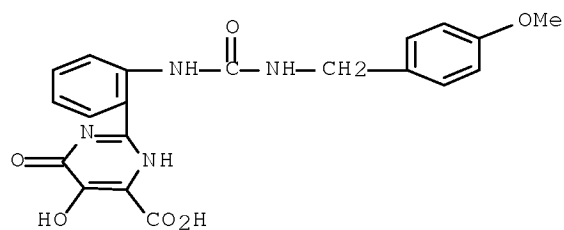
RN 1102364-00-0 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



**Serial#: 10/595,734**

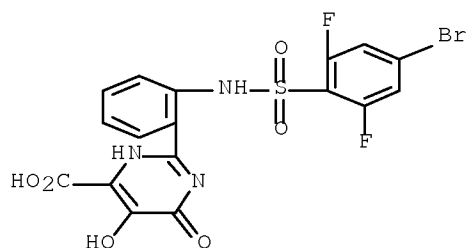
RN 1102364-01-1 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[[[(4-methoxyphenyl)methyl]amino]carbonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)



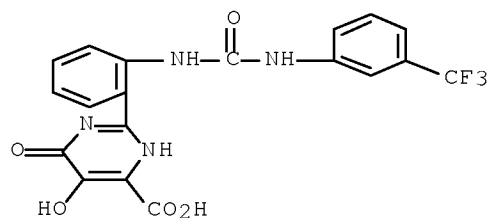
RN 1102364-02-2 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 1102364-03-3 HCAPLUS

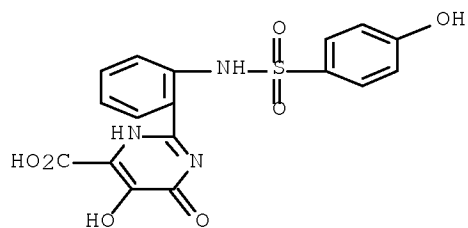
CN INDEX NAME NOT YET ASSIGNED



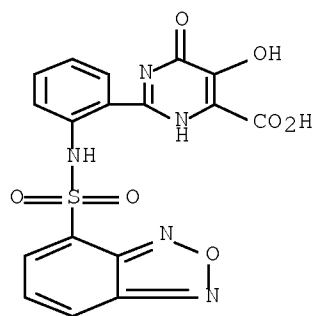
RN 1102364-04-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-[2-[[[4-hydroxyphenyl)sulfonyl]amino]phenyl]-6-oxo- (CA INDEX NAME)

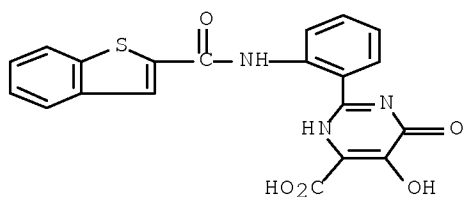
**Serial#: 10/595,734**



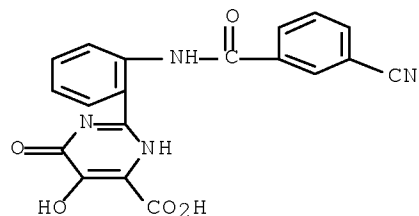
RN 1102364-05-5 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1102364-06-6 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



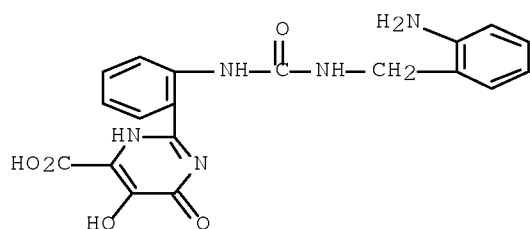
RN 1102364-07-7 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-[2-[(3-cyanobenzoyl)amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



**Serial#: 10/595,734**

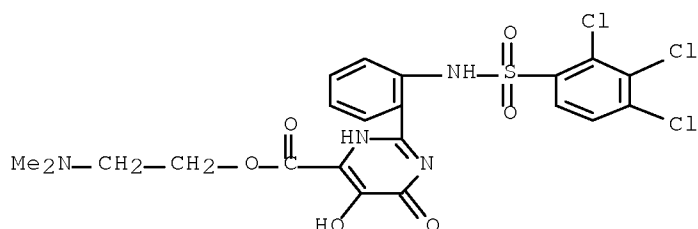
RN 1197986-71-2 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[2-[[[(2-aminophenyl)methyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



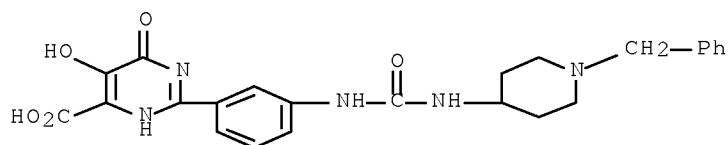
RN 1197988-19-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[2-[[ (2,3,4-trichlorophenyl)sulfonyl]amino]phenyl]-, 2-(dimethylamino)ethyl ester (CA INDEX NAME)



RN 1200400-98-1 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[3-[[[1-(phenylmethyl)-4-piperidinyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



IT 391680-75-4P 391680-76-5P 391680-77-6P  
391680-78-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-aryldihydroxypyrimidine-4-carboxylic acids as hepatitis C

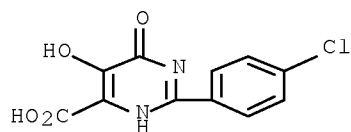


**Serial#: 10/595,734**

viral polymerase inhibitors)

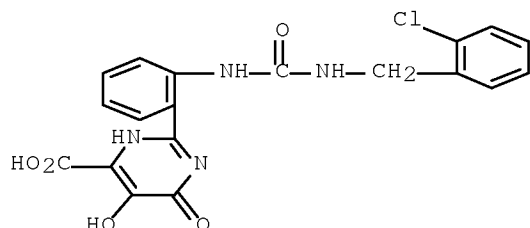
RN 391680-75-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(4-chlorophenyl)-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



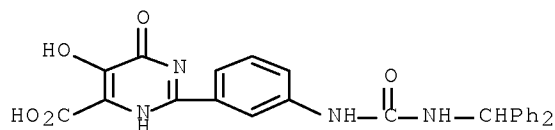
RN 391680-76-5 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[2-[[[(2-chlorophenyl)methyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



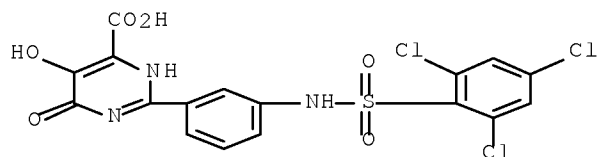
RN 391680-77-6 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[3-[[[(diphenylmethyl)amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo- (CA INDEX NAME)



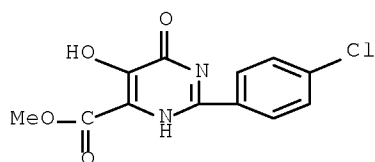
RN 391680-78-7 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-6-oxo-2-[3-[[[(2,4,6-trichlorophenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)

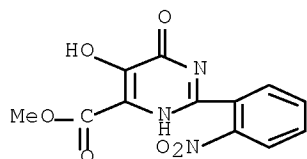


**Serial#: 10/595,734**

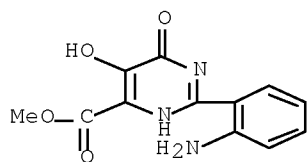
IT 219529-19-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of 2-aryldihydroxypyrimidine-4-carboxylic acids as hepatitis C  
viral polymerase inhibitors)  
RN 219529-19-8 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-(4-chlorophenyl)-1,6-dihydro-5-hydroxy-6-  
oxo-, methyl ester (CA INDEX NAME)



IT 391680-85-6P 391680-86-7P 391680-87-8P  
391680-88-9P 391680-89-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of 2-aryldihydroxypyrimidine-4-carboxylic acids as hepatitis C  
viral polymerase inhibitors)  
RN 391680-85-6 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-(2-nitrophenyl)-6-oxo-  
, methyl ester (CA INDEX NAME)



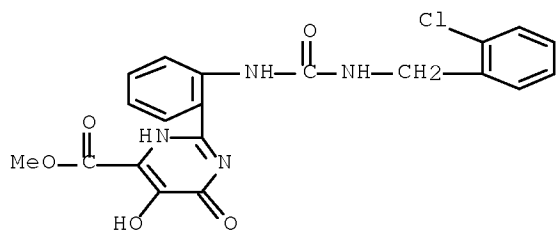
RN 391680-86-7 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-(2-aminophenyl)-1,6-dihydro-5-hydroxy-6-oxo-  
, methyl ester (CA INDEX NAME)



RN 391680-87-8 HCAPLUS

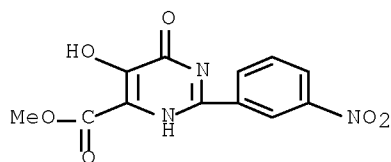
**Serial#: 10/595,734**

CN 4-Pyrimidinecarboxylic acid, 2-[2-[[[(2-chlorophenyl)methyl]amino]carbonyl]amino]phenyl]-1,6-dihydro-5-hydroxy-6-oxo-, methyl ester (CA INDEX NAME)



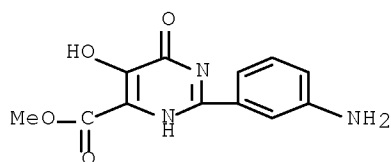
RN 391680-88-9 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-5-hydroxy-2-(3-nitrophenyl)-6-oxo-, methyl ester (CA INDEX NAME)



RN 391680-89-0 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(3-aminophenyl)-1,6-dihydro-5-hydroxy-6-oxo-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 25 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:531965 HCAPLUS Full-text

DOCUMENT NUMBER: 135:107340

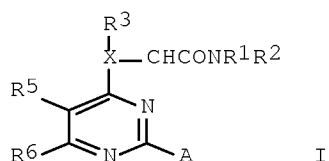
TITLE: Preparation of  
(6-heteroarylpyrimidin-4-yl)oxyacetamides or  
-aminoacetamides having selective affinity to  
peripheral benzodiazepine receptor BZ $\omega$ 3

**Serial#: 10/595,734**

INVENTOR(S): Murata, Akiya; Kondo, Masanori; Furukawa, Kiyoshi;  
Oka, Makoto  
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001199982	A	20010724	JP 2000-5585	20000114 <--
PRIORITY APPLN. INFO.:			JP 2000-5585	20000114 <--
OTHER SOURCE(S):	MARPAT 135:107340			

GI



AB The title compds. [I; X = O, NR<sub>4</sub>; R<sub>1</sub> = H, lower alkyl, lower alkenyl, cycloalkyl-lower alkyl; R<sub>2</sub> = Ala, cycloalkyl, (un)substituted Ph-lower alkyl, (un)substituted Ph, (un)substituted heteroaryl; R<sub>3</sub>, R<sub>4</sub> = H, lower alkyl; R<sub>5</sub> = H, lower alkyl, halo; R<sub>6</sub> = (un)substituted heteroaryl; A = (un)substituted heteroaryl or Ph] are prepared These compds. are useful for the treatment and prevention of anxiety-related diseases, depression, and epilepsy. Thus, a mixture of 4-chloro-2-phenyl-6-(3-pyridyl)pyrimidine, 2-amino-N-methyl-N-phenylacetamide, and Et<sub>3</sub>N was stirred under reflux at 150° for 3 h to give N-methyl-N-phenyl-2-[2-phenyl-6-(3-pyridyl)-4-pyrimidinylamino]acetamide (II). 2-[6-(2-Furyl)-2-(4-pyridyl)-4-pyrimidinylamino]-N,N-dipropylacetamide showed IC<sub>50</sub> of 2.9 µg/mL for inhibiting the binding of [3H]4'-chlorodiazepam to benzodiazepine receptor

BZ03. Pharmaceutical formulations, e.g. tablet containing II, were described.

IPCI C07D0401-04 [ICM, 7]; C07D0401-00 [ICM, 7, C\*]; A61K0031-506 [ICS, 7]; A61P0025-00 [ICS, 7]; A61P0025-08 [ICS, 7]; A61P0025-22 [ICS, 7]; A61P0025-24 [ICS, 7]; A61P0043-00 [ICS, 7]; C07D0403-04 [ICS, 7]; C07D0403-00 [ICS, 7, C\*]; C07D0405-04 [ICS, 7]; C07D0405-14 [ICS, 7]; C07D0405-00 [ICS, 7, C\*]; C07D0409-04 [ICS, 7]; C07D0409-14 [ICS, 7]; C07D0409-00 [ICS, 7, C\*]; C07D0413-14 [ICS, 7]; C07D0413-00 [ICS, 7, C\*]

IPCR C07D0401-00 [I, C\*]; C07D0401-04 [I, A]; A61K0031-506 [I, C\*]; A61K0031-506 [I, A]; A61P0025-00 [I, C\*]; A61P0025-00 [I, A]; A61P0025-08 [I, A]; A61P0025-22 [I, A]; A61P0025-24 [I, A]; A61P0043-00 [I, C\*]; A61P0043-00 [I, A]; C07D0403-00 [I, C\*]; C07D0403-04 [I, A]; C07D0405-00 [I, C\*]; C07D0405-04 [I, A]; C07D0405-14 [I, A]; C07D0409-00 [I, C\*]; C07D0409-04 [I, A]; C07D0409-14 [I, A]; C07D0413-00 [I, C\*]; C07D0413-14 [I, A]

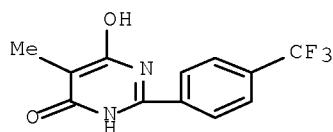
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63

IT 115407-60-8P 263243-73-8P 263244-05-9P 263244-20-8P 350490-63-0P  
350490-64-1P 350490-65-2P 350490-66-3P 350490-67-4P 350490-68-5P  
350490-69-6P 350490-70-9P 350490-71-0P 350490-72-1P 350490-73-2P  
350490-74-3P 350490-75-4P 350490-76-5P 350490-77-6P  
~~350490-78-7P~~ 350490-79-8P 350490-80-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

**Serial#: 10/595,734**

(Reactant or reagent)  
(preparation of (heteroarylpyrimidinyl)oxyacetamides or -aminoacetamides  
having selective affinity to peripheral benzodiazepine receptor  
BZ03 for treatment and prevention of anxiety-related diseases,  
depression, and epilepsy)  
IT 350490-78-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of (heteroarylpyrimidinyl)oxyacetamides or -aminoacetamides  
having selective affinity to peripheral benzodiazepine receptor  
BZ03 for treatment and prevention of anxiety-related diseases,  
depression, and epilepsy)  
RN 350490-78-7 HCAPLUS  
CN 4(3H)-Pyrimidinone, 6-hydroxy-5-methyl-2-[4-(trifluoromethyl)phenyl]- (CA  
INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L57 ANSWER 26 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:380560 HCAPLUS Full-text

DOCUMENT NUMBER: 135:5621

TITLE: Preparation of  
[5-chloro-6-phenyl-2-(4-trifluoromethylphenyl)-4-  
pyrimidinylamino]acetamide derivatives as  
antirheumatic agents, process for producing the same,  
medicinal compositions containing the same and  
intermediate of these compounds

INVENTOR(S): Murata, Teruya; Ohno, Kazunori; Tanaka, Masayasu;  
Itoh, Mari

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

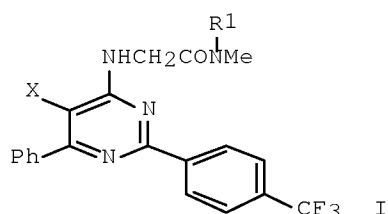
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036392	A1	20010525	WO 2000-JP7854	20001109 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

**Serial#: 10/595,734**

CA 2390259	A1	20010525	CA 2000-2390259	20001109 <--
AU 2001013024	A	20010530	AU 2001-13024	20001109 <--
AU 780048	B2	20050224		
EP 1236721	A1	20020904	EP 2000-974834	20001109 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 518702	A	20040430	NZ 2000-518702	20001109 <--
CN 1170823	C	20041013	CN 2000-815758	20001109 <--
US 6620817	B1	20030916	US 2002-130151	20020513 <--
PRIORITY APPLN. INFO.:			JP 1999-326290	A 19991117 <--
			WO 2000-JP7854	W 20001109 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
GI



AB [5-Chloro-6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamide derivs. represented by general formula (I; R1 = Me, cyclopropyl; X = Cl) are prepared by chlorination of I (R1 = same as above; X = H). Because of having a potent antirheumatic effect and a low toxicity, these compds. are useful as remedies and preventives for rheumatic diseases such as rheumatism, Behcet's disease and ankylosing spondylitis, and inflammatory immunol. diseases such as multiple sclerosis, systemic lupus erythematosus and inflammatory autoimmunol. diseases such as Sjogren's syndrome. Thus, a mixture of 15.9 g I (R1 = Me, X = H) (preparation given), 6.4 g N-chlorosuccinimide, and 80 mL AcOH was stirred at 90° for 1.5 h to give 16 g I (R1 = Me, X = Cl) (II). II and I (R1 = cyclopropyl, X = Cl) (III) inhibited at 10 mg/kg per day for 5 days inhibited the collagen-induced arthritis in mice by 96.0 and 96.6%, resp. A tablet containing II and capsule and dispersant containing III were formulated.

IPCI C07D0239-42 [ICM,7]; C07D0239-00 [ICM,7,C\*]; A61K0031-505 [ICS,7];

A61P0029-00 [ICS,7]; A61P0037-06 [ICS,7]; A61P0037-00 [ICS,7,C\*]

IPCR A61P0029-00 [I,C\*]; A61P0029-00 [I,A]; A61P0037-00 [I,C\*]; A61P0037-06 [I,A]; C07D0239-00 [I,C\*]; C07D0239-42 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 340008-58-4P 340011-60-1P 340011-61-2P 340011-65-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of [chlorophenyl(fluoromethylphenyl)pyrimidinylamino]acetamide derivs. as antirheumatic agents)

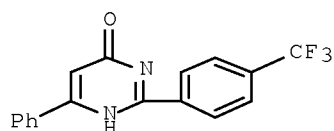
IT 340011-60-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of [chlorophenyl(fluoromethylphenyl)pyrimidinylamino]acetamide derivs. as antirheumatic agents)

RN 340011-60-1 HCAPLUS

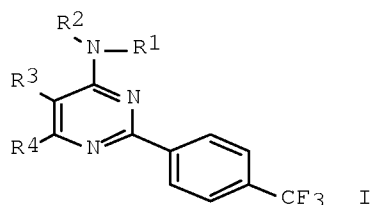
CN 4(3H)-Pyrimidinone, 6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 27 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2001:372157 HCAPLUS Full-text  
 DOCUMENT NUMBER: 134:366894  
 TITLE: Preparation of  
 2-(4-trifluoromethylphenyl)-4-aminopyrimidines as  
 remedies for autoimmune inflammatory diseases  
 INVENTOR(S): Murata, Akiya; Kondo, Masanori; Ohno, Kazunori;  
 Tanaka, Masayasu; Ito, Masato  
 PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

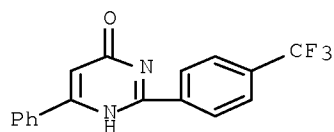
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001139560	A	20010522	JP 1999-326299	19991117 <--
PRIORITY APPLN. INFO.:			JP 1999-326299	19991117 <--
OTHER SOURCE(S):	MARPAT 134:366894			
GI				



AB The title compds. I [R1 = H, alkyl, etc.; R2 = alkyl, etc.; further detail on R1 and R2 is given; R3 = halo, etc.; R4 = alkyl, (un)substituted Ph, etc.] are prepared I [NR1R2 = NHCH2CH(OH)Me; R3 = Cl; R4 = phenyl] at 3 mg/kg/day orally (5 days/wk; for 7.4 wk) gave 98.2 % inhibition of collagen-induced arthritis in mice. Formulations are given. IPCI C07D0239-42 [ICM,7]; A61K0031-505 [ICS,7]; A61K0031-506 [ICS,7]; A61P0029-00 [ICS,7]; A61P0037-02 [ICS,7]; C07D0401-04 [ICS,7]; C07D0403-04 [ICS,7]  
 IPCR C07D0239-00 [I,C\*]; C07D0239-42 [I,A]; A61K0031-505 [I,C\*]; A61K0031-505 [I,A]; A61K0031-506 [I,C\*]; A61K0031-506 [I,A]; A61P0029-00 [I,C\*]; A61P0029-00 [I,A]; A61P0037-00 [I,C\*]; A61P0037-02 [I,A]; C07D0401-00

**Serial#: 10/595,734**

[I,C\*]; C07D0401-04 [I,A]; C07D0403-00 [I,C\*]; C07D0403-04 [I,A]  
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63  
IT 340008-58-4P 340011-60-1P 340149-71-5P 340149-73-7P  
340149-75-9P 340149-77-1P 340149-79-3P 340149-81-7P 340149-83-9P  
340149-85-1P 340149-87-3P 340149-89-5P 340149-91-9P 340149-93-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of aminopyrimidines as remedies for autoimmune inflammatory  
diseases)  
IT 340011-60-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of aminopyrimidines as remedies for autoimmune inflammatory  
diseases)  
RN 340011-60-1 HCAPLUS  
CN 4(3H)-Pyrimidinone, 6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX  
NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L57 ANSWER 28 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:369711 HCAPLUS Full-text

DOCUMENT NUMBER: 134:366892

TITLE: Preparation of  
5-halogeno-6-phenyl-2-(4-trifluoromethylphenyl)-4-  
pyrimidinylamino]acetamides and compositions for  
treatment of immune inflammation

INVENTOR(S): Murata, Akiya; Ohno, Kazunori; Tanaka, Masayasu; Ito,  
Mari

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

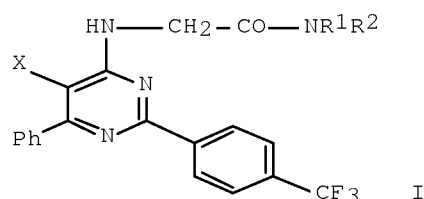
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
JP 2001139559	A	20010522	JP 1999-326295	19991117 <--
PRIORITY APPLN. INFO.:			JP 1999-326295	19991117 <--
OTHER SOURCE(S):	MARPAT	134:366892		
GI				





AB Title compds. I [R1 = Me, Et; R2 = Me, Et, iso-Pr, cyclopropyl; X = Cl, Br; (R1, R2, X) ≠ (Me, Me, Cl), (Me, cyclopropyl, Cl)], useful for treatment of rheumatoid arthritis, Behcet's disease, myelitis, multiple sclerosis, systemic lupus erythematosus, Sjogren's syndrome, are prepared N,N-dimethyl-2-[6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamide (1.1 g) was reacted with N-bromosuccinimide in AcOH at 90° for 1 h to give 1 g

2-[5-bromo-6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]-N,N-dimethylacetamide showing 96.0% inhibitory activity against arthritis in mouse.

IPCI C07D0239-42 [ICM, 7]; A61K0031-505 [ICS, 7]; A61P0029-00 [ICS, 7]; A61P0037-00 [ICS, 7]

IPCR C07D0239-00 [I,C\*]; C07D0239-42 [I,A]; A61K0031-505 [I,C\*]; A61K0031-505 [I,A]; A61P0029-00 [I,C\*]; A61P0029-00 [I,A]; A61P0037-00 [I,C\*]; A61P0037-00 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 340008-58-4P 340011-60-1P 340011-61-2P 340011-62-3P  
340011-63-4P 340011-64-5P 340011-65-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of halophenyl(trifluoromethylphenyl)pyrimidinylamino]acetamides and compns. for treatment of immune inflammation)

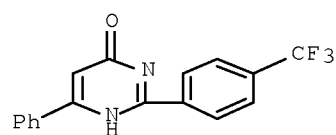
IT 340011-60-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of halophenyl(trifluoromethylphenyl)pyrimidinylamino]acetamides and compns. for treatment of immune inflammation)

RN 340011-60-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L57 ANSWER 29 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:124174 HCAPLUS Full-text

DOCUMENT NUMBER: 134:173047

TITLE: Pharmaceuticals containing 2-aryl-8-oxodihydropurines for anxiolytics and antidepressants

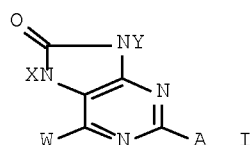
INVENTOR(S): Murata, Akiya; Masumoto, Kaoru; Kondo, Masanori; Furukawa, Kiyoshi; Oka, Makoto

**Serial#: 10/595,734**

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001048882	A	20010220	JP 2000-165263	20000602 <--
JP 3814125	B2	20060823		
PRIORITY APPLN. INFO.:			JP 1999-154830	A 19990602 <--
OTHER SOURCE(S):	MARPAT 134:173047			

GI



AB The pharmaceuticals contain dihydropurines I [W = H, lower alkyl, halo, lower alkoxy, amino, etc.; X = H, lower alkyl, (cycloalkyl)alkyl, phenylalkyl, CHR3CONR1R2, etc.; R1 = lower alkyl, alkenyl, cycloalkyl, etc.; R2 = lower alkyl, cycloalkyl, Ph, etc.; R3 = H, lower alkyl, hydroxyalkyl; Y = H, lower alkyl, cycloalkyl, (cycloalkyl)alkyl, lower alkenyl, CHR3CONR1R2, etc.; A = (un)substituted Ph, heteroaryl; ≥1 group selected from X, Y is CHR3CONR1R2] or pharmaceutically acceptable acid salts. 7,9-Dihydro-9-methyl-2-phenyl-8H-purin-8-one (7.0 g) was reacted with 8.3 g 2-bromo-N-ethyl-N-phenylacetamide in the presence of NaH in DMF at room temperature for 3 h to give 10.3 g N-ethyl-8,9-dihydro-9-methyl-8-oxo-2-phenyl-N-phenyl-7H-purine-7-acetamide showing good antidepressant activity in rats. IPCI A61K0031-522 [I,A]; A61K0031-519 [I,C\*]; A61P0025-08 [I,A]; A61P0025-22

[I,A]; A61P0025-24 [I,A]; A61P0025-00 [I,C\*]; A61P0043-00 [I,A]; C07D0473-00 [N,A]; C07D0473-30 [N,A]; C07D0473-34 [N,A]; C07D0473-40 [N,A]  
 IPCR C07D0473-00 [I,C\*]; C07D0473-00 [I,A]; A61K0031-519 [I,C\*]; A61K0031-52 [I,A]; A61P0009-00 [I,C\*]; A61P0009-04 [I,A]; A61P0009-12 [I,A]; A61P0025-00 [I,C\*]; A61P0025-08 [I,A]; A61P0025-22 [I,A]; A61P0025-24 [I,A]; A61K0031-522 [I,A]; A61P0043-00 [I,C]; A61P0043-00 [I,A]; C07D0473-30 [N,A]; C07D0473-34 [N,A]; C07D0473-40 [N,A]

CC 1-11 (Pharmacology)

Section cross-reference(s): 28, 63

IT 3749-46-0P 13566-71-7P, 4,6-Dihydroxy-2-phenylpyrimidine

20139-83-7P 20954-85-2P 24755-82-6P, Ethyl

4-chloro-2-phenylpyrimidine-5-carboxylate 55613-22-4P

68905-99-7P 68921-91-5P 76360-57-1P 76360-58-2P

184108-86-9P 184109-87-3P 226954-65-0P 226954-66-1P 226954-67-2P

226954-68-3P 226954-69-4P 226954-70-7P 226954-71-8P 226954-72-9P

226954-73-0P 226954-74-1P 226954-75-2P 226954-76-3P 226954-77-4P

226954-78-5P 226954-79-6P 226954-80-9P 226954-81-0P 226954-82-1P

226954-83-2P 226954-84-3P 226954-85-4P 226954-86-5P 226954-88-7P

226954-90-1P 226954-91-2P 226954-92-3P 226954-93-4P 226954-94-5P

226954-96-7P 226954-99-0P 226955-00-6P 226955-01-7P 226955-02-8P

226955-03-9P 226955-05-1P 226955-06-2P 226955-07-3P 226955-08-4P

226955-09-5P 226955-20-0P 326797-18-6P 326797-19-7P 326797-20-0P

**Serial#: 10/595,734**

326797-21-1P 326797-22-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(pharmaceuticals containing aryloxodihydropurines for anxiolytics and antidepressants)

IT 13566-71-7P, 4,6-Dihydroxy-2-phenylpyrimidine

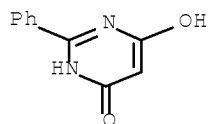
~~20954-85-2P~~ ~~68905-99-7P~~

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(pharmaceuticals containing aryloxodihydropurines for anxiolytics and antidepressants)

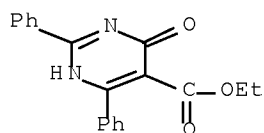
RN 13566-71-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-2-phenyl- (CA INDEX NAME)



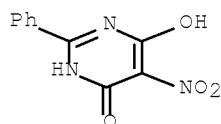
RN 20954-85-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-6-oxo-2,4-diphenyl-, ethyl ester (CA INDEX NAME)



RN 68905-99-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-5-nitro-2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L57 ANSWER 30 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:401654 HCAPLUS Full-text

DOCUMENT NUMBER: 133:43533

TITLE: Preparation of aryl and heterocyclyl substituted pyrimidines as anti-coagulants

INVENTOR(S): Davey, David D.; Phillips, Gary B.

PATENT ASSIGNEE(S): Berlex Laboratories, Inc., USA

SOURCE: PCT Int. Appl., 54 pp.

**Serial#: 10/595,734**

DOCUMENT TYPE:           CODEN: PIXXD2  
LANGUAGE:                Patent  
FAMILY ACC. NUM. COUNT: 1       English  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033844	A1	20000615	WO 1999-US28537	19991203 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6127376	A	20001003	US 1998-205498	19981204 <--
CA 2354040	A1	20000615	CA 1999-2354040	19991203 <--
BR 9915938	A	20010821	BR 1999-15938	19991203 <--
EP 1135131	A1	20010926	EP 1999-965087	19991203 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
SI 20637	A	20020228	SI 1999-20090	19991203 <--
HU 2001004508	A2	20020529	HU 2001-4508	19991203 <--
HU 2001004508	A3	20020729		
JP 2002531506	T	20020924	JP 2000-586336	19991203 <--
EE 2001000298	A	20021216	EE 2001-298	19991203 <--
AU 760370	B2	20030515	AU 2000-31075	19991203 <--
NZ 512104	A	20031031	NZ 1999-512104	19991203 <--
RO 120971	B1	20061030	RO 2001-606	19991203 <--
IL 143347	A	20061210	IL 1999-143347	19991203 <--
US 6372751	B1	20020416	US 2000-539812	20000330 <--
ZA 2001004235	A	20020823	ZA 2001-4235	20010523 <--
NO 2001002701	A	20010725	NO 2001-2701	20010601 <--
BG 105557	A	20011231	BG 2001-105557	20010601 <--
IN 2001MN00631	A	20050304	IN 2001-MN631	20010601 <--
MX 2001005656	A	20020424	MX 2001-5656	20010604 <--
LT 4912	B	20020425	LT 2001-61	20010612 <--
LV 12783	B	20021020	LV 2001-100	20010704 <--
HR 2001000499	A2	20030430	HR 2001-499	20010704 <--
PRIORITY APPLN. INFO.:			US 1998-205498	A 19981204 <--
			WO 1999-US28537	W 19991203 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S):       MARPAT 133:43533

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB     The title compds. [I-III; Z1 = O, NR7, CH2O, SOn (n = 0-2); Z2 = O, NR7, OCH2, SOn (n = 0-2); R1, R4 = H, halo, alkyl, etc.; R2 = C(NH)NH2, C(NH)NHOR7, C(NH)NHCOR7, etc.; R3 = H, halo, alkyl, etc.; R5 = H, halo, alkyl, etc.; R6 = (un)substituted aryl, aralkyl, heterocyclyl, etc.] which inhibit the enzyme, factor Xa and therefore are useful as anti-coagulants, were prepared and formulated. E.g., a multi-step synthesis of I.F3CCO2H [Z1 = Z2 = O; R1 = 2-OH; R2 = 5-C(NH)NH2; R3 = 3-(1-methylimidazolin-2-yl); R4, R5 = H; R6 = Ph] was given. Compds. I demonstrated the selective ability to inhibit human factor Xa and human thrombin, and are effective in treating a 70 kg person at 100-500 mg/day. IPCI A61K0031-495 [ICM]; C07D0239-24 [ICS]; C07D0239-00 [ICS,C\*]

**Serial#: 10/595,734**

IPCR A61K0031-495 [I,A]; C07D0239-00 [I,C\*]; A61K0031-495 [I,C\*]; A61K0031-506 [I,C\*]; A61K0031-506 [I,A]; A61P0003-00 [I,C\*]; A61P0003-10 [I,A]; A61P0007-00 [I,C\*]; A61P0007-02 [I,A]; A61P0009-00 [I,C\*]; A61P0009-10 [I,A]; A61P0011-00 [I,C\*]; A61P0011-00 [I,A]; A61P0031-00 [I,C\*]; A61P0031-04 [I,A]; A61P0035-00 [I,C\*]; A61P0035-02 [I,A]; A61P0043-00 [I,C\*]; A61P0043-00 [I,A]; C07D0239-24 [I,A]; C07D0401-00 [I,C\*]; C07D0401-14 [I,A]; C07D0403-00 [I,C\*]; C07D0403-12 [I,A]; C07D0403-14 [I,A]

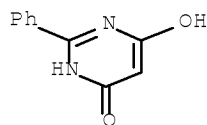
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63

IT 3740-92-9P 13345-09-0P 13566-71-7P,  
4,6-Dihydroxy-2-phenylpyrimidine 26032-72-4P 36822-11-4P  
274673-44-8P 274673-45-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of aryl and heterocyclyl substituted pyrimidines as anti-coagulants)

IT 13566-71-7P, 4,6-Dihydroxy-2-phenylpyrimidine  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of aryl and heterocyclyl substituted pyrimidines as anti-coagulants)

RN 13566-71-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 31 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:115763 HCAPLUS Full-text

DOCUMENT NUMBER: 132:151833

TITLE: Preparation of 4-amino-2-arylpyrimidines as modulators of cyclic guanosine monophosphate production.

INVENTOR(S): Schindler, Ursula; Schoenafinger, Karl; Strobel, Hartmut

PATENT ASSIGNEE(S): Hoechst Marion Roussel Deutschland G.m.b.H., Germany

SOURCE: Ger. Offen., 22 pp.  
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19836697	A1	20000217	DE 1998-19836697	19980813 <--
CA 2340405	A1	20000224	CA 1999-2340405	19990804 <--
CA 2340405	C	20090317		
WO 2000009496	A1	20000224	WO 1999-EP5636	19990804 <--

**Serial#: 10/595,734**

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,  
 CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,  
 IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG,  
 MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,  
 TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9957307 A 20000306 AU 1999-57307 19990804 <--  
 AU 760988 B2 20030529  
 BR 9913003 A 20010508 BR 1999-13003 19990804 <--  
 EP 1112266 A1 20010704 EP 1999-944330 19990804 <--  
 EP 1112266 B1 20030514

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI

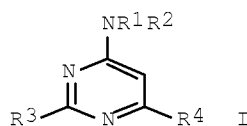
JP 2002522536 T 20020723 JP 2000-564948 19990804 <--  
 AT 240315 T 20030515 AT 1999-944330 19990804 <--  
 PT 1112266 E 20030930 PT 1999-944330 19990804 <--  
 ES 2196849 T3 20031216 ES 1999-944330 19990804 <--  
 KR 971093 B1 20100720 KR 2001-701840 19990804 <--  
 MX 2001001411 A 20010528 MX 2001-1411 20010207 <--  
 US 6844347 B1 20050118 US 2001-762893 20010213 <--

PRIORITY APPLN. INFO.: DE 1998-19836697 A 19980813 <--  
 WO 1999-EP5636 W 19990804 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 132:151833

GI



AB Title compds. [I; R1 = (substituted) alkyl, cycloalkyl, 5-7 membered heterocyclyl; R2 = H, (substituted) alkyl, cycloalkyl, 5-7 membered heterocyclyl; R1R2N = (substituted) 5-7 membered heterocyclyl; R3 = aryl; R4 = alkyl, CF3, aryl], were prepared Thus, 4-chloro-2(4-chlorophenyl)-6-isopropylpyrimidine (preparation given) and 4-amino-2,2,6,6-tetramethylpiperidine were stirred at 150° for 2 h to give 2-(4-chlorophenyl)-6-isopropyl-4-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidine dihydrochloride.

Tested I at 50 µM stimulated guanylate cyclase by >4 to 28-fold. IPCI C07D0239-42 [ICM,6]; C07D0239-00 [ICM,6,C\*]; C07D0401-04 [ICS,6];

C07D0401-00 [ICS,6,C\*]; C07D0403-04 [ICS,6]; C07D0403-00 [ICS,6,C\*];  
 C07D0409-12 [ICS,6]; C07D0409-00 [ICS,6,C\*]; C07D0417-12 [ICS,6];  
 C07D0417-00 [ICS,6,C\*]; A61K0031-505 [ICS,6]

IPCR A61K0031-506 [I,C\*]; A61K0031-506 [I,A]; A61K0031-5375 [I,C\*];  
 A61K0031-5377 [I,A]; A61K0031-541 [I,C\*]; A61K0031-541 [I,A]; A61P0001-00 [I,C\*]; A61P0001-16 [I,A]; A61P0003-00 [I,C\*]; A61P0003-08 [I,A];  
 A61P0007-00 [I,C\*]; A61P0007-02 [I,A]; A61P0009-00 [I,C\*]; A61P0009-00 [I,A]; A61P0009-04 [I,A]; A61P0009-08 [I,A]; A61P0009-10 [I,A];  
 A61P0009-12 [I,A]; A61P0011-00 [I,C\*]; A61P0011-06 [I,A]; A61P0013-00 [I,C\*]; A61P0013-12 [I,A]; A61P0015-00 [I,C\*]; A61P0015-00 [I,A];  
 A61P0025-00 [I,C\*]; A61P0025-00 [I,A]; A61P0025-28 [I,A]; A61P0043-00 [I,C\*]; A61P0043-00 [I,A]; C07D0239-00 [I,C\*]; C07D0239-30 [I,A];  
 C07D0239-36 [I,A]; C07D0239-42 [I,A]; C07D0401-00 [I,C\*]; C07D0401-04 [I,A]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0403-00 [I,C\*];

**Serial#: 10/595,734**

C07D0403-12 [I,A]; C07D0409-00 [I,C\*]; C07D0409-04 [I,A]; C07D0413-00 [I,C\*]; C07D0413-04 [I,A]; C07D0417-00 [I,C\*]; C07D0417-04 [I,A]; C07D0521-00 [I,C\*]; C07D0521-00 [I,A]

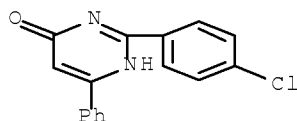
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1

IT ~~36935-59-8P~~ 36935-60-1P 257949-47-6P 257949-48-7P  
257949-49-8P 257949-50-1P 257949-51-2P 257949-52-3P 257949-53-4P  
257949-54-5P 257949-55-6P 257949-58-9P 257949-60-3P 257949-61-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 4-amino-2-arylpyrimidines as modulators of cyclic guanosine monophosphate production)

IT ~~36935-59-8P~~  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 4-amino-2-arylpyrimidines as modulators of cyclic guanosine monophosphate production)

RN 36935-59-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

L57 ANSWER 32 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:375547 HCAPLUS Full-text

DOCUMENT NUMBER: 131:31952

TITLE: Preparation of 2-aryl-8-oxodihydropurine derivatives as anxiolytics

INVENTOR(S): Murata, Teruya; Masumoto, Kaoru; Kondo, Katsunori; Furukawa, Kiyoshi; Oka, Makoto

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 86 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

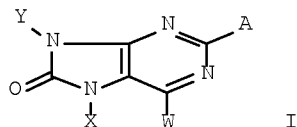
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9928320	A1	19990610	WO 1998-JP5320	19981126 <--
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
ZA 9810490	A	19990520	ZA 1998-10490	19981117 <--
IN 206960	A1	20070629	IN 1998-MA2609	19981118 <--

**Serial#: 10/595,734**

TW 502034	B	20020911	TW 1998-87119571	19981125 <--
CA 2312885	A1	19990610	CA 1998-2312885	19981126 <--
CA 2312885	C	20080129		
AU 9912604	A	19990616	AU 1999-12604	19981126 <--
AU 744014	B2	20020214		
EP 1036794	A1	20000920	EP 1998-955937	19981126 <--
EP 1036794	B1	20030827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9815140	A	20001010	BR 1998-15140	19981126 <--
TR 2000001600	T2	20001121	TR 2000-1600	19981126 <--
HU 2000004422	A2	20010428	HU 2000-4422	19981126 <--
NZ 504457	A	20011221	NZ 1998-504457	19981126 <--
AT 248169	T	20030915	AT 1998-955937	19981126 <--
PT 1036794	E	20031128	PT 1998-955937	19981126 <--
RU 2223272	C2	20040210	RU 2000-117278	19981126 <--
CN 1146566	C	20040421	CN 1998-813451	19981126 <--
ES 2205574	T3	20040501	ES 1998-955937	19981126 <--
IL 135920	A	20060312	IL 1998-135920	19981126 <--
PL 191489	B1	20060531	PL 1998-340925	19981126 <--
JP 3815966	B2	20060830	JP 2000-523212	19981126 <--
SK 285703	B6	20070607	SK 2000-797	19981126 <--
US 6372740	B1	20020416	US 2000-555490	20000601 <--
NO 2000002835	A	20000724	NO 2000-2835	20000602 <--
HK 1028769	A1	20031224	HK 2000-108107	20001215 <--
PRIORITY APPLN. INFO.:			JP 1997-350000	A 19971203 <--
			WO 1998-JP5320	W 19981126 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
GI



AB Title compds. I (W = H, alkyl, halo, alkoxy, amino, alkylamino, Ph, substituted Ph; X = H, alkyl, alkenyl, carbamoyl, etc.; Y = H, alkyl, cycloalkyl, alkenyl, etc.; A = Ph, substituted Ph, heteroaryl, etc.) and their pharmaceutically acceptable salts, useful as anxiolytics (no data), were prepared. Thus, reaction of 7,9-dihydro-9-methyl-2-phenyl-8H-purin-8-one with 2-bromo-N-ethyl-N-phenyl-acetamide in DMF in the presence of NaH at room temperature for 3 h gave N-ethyl-8,9-dihydro-9-methyl-8-oxo-2-phenyl-N-phenyl-7H-purine-7-acetamide. Formulations containing I were given. IPCI C07D0473-00 [ICM,6]; A61K0031-52 [ICS,6]; A61K0031-519 [ICS,6,C\*]

IPCR A61K0031-519 [I,C\*]; A61K0031-52 [I,A]; A61P0025-00 [I,C\*]; A61P0025-00 [I,A]; A61P0025-22 [I,A]; A61P0025-26 [I,A]; A61P0037-00 [I,C\*]; A61P0037-02 [I,A]; C07D0235-00 [N,C\*]; C07D0235-00 [N,A]; C07D0239-00 [N,C\*]; C07D0239-00 [N,A]; C07D0473-00 [I,C\*]; C07D0473-00 [I,A]; C07D0473-28 [I,A]; C07D0473-32 [I,A]; C07D0473-40 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 84-58-2P 927-68-4P, 2-Bromoethyl acetate 3749-46-0P  
13566-71-7P, 4,6-Dihydroxy-2-phenylpyrimidine  
20954-85-2P 24755-82-6P 55613-22-4P 68905-99-7P  
68921-91-5P 76360-57-1P 76360-58-2P 184108-86-9P 184108-88-1P



**Serial#: 10/595,734**

184109-87-3P 226954-82-1P 226954-83-2P 226954-84-3P 226954-85-4P  
226954-86-5P 226954-87-6P 226954-88-7P 226954-89-8P 226954-90-1P  
226954-91-2P 226954-92-3P 226954-93-4P 226954-94-5P 226954-95-6P  
226954-96-7P 226954-97-8P 226954-98-9P 226954-99-0P 226955-00-6P  
226955-01-7P 226955-02-8P 226955-03-9P 226955-04-0P 226955-05-1P  
226955-06-2P 226955-07-3P 226955-08-4P 226955-09-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of 2-aryl-8-oxodihydropurine derivs. as anxiolytics)

IT 13566-71-7P, 4,6-Dihydroxy-2-phenylpyrimidine

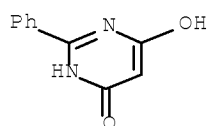
20954-85-2P 68905-99-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of 2-aryl-8-oxodihydropurine derivs. as anxiolytics)

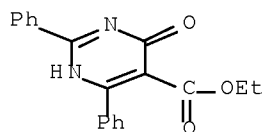
RN 13566-71-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-2-phenyl- (CA INDEX NAME)



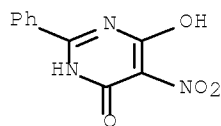
RN 20954-85-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-6-oxo-2,4-diphenyl-, ethyl ester  
(CA INDEX NAME)



RN 68905-99-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-5-nitro-2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD  
(17 CITINGS)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 33 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:136767 HCAPLUS Full-text

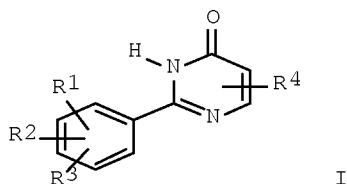
DOCUMENT NUMBER: 130:196663

**Serial#: 10/595,734**

TITLE: Preparation of 2-phenylpyrimidin-4[3H]-ones for treating a patient having precancerous lesions  
INVENTOR(S): Pamukcu, Rifat; Piazza, Gary  
PATENT ASSIGNEE(S): Cell Pathways, Inc., USA  
SOURCE: U.S., 10 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5874440	A	19990223	US 1995-472854	19950607 <--
US 6239136	B1	20010529	US 1998-175805	19981020 <--
PRIORITY APPLN. INFO.:			US 1995-472854	A3 19950607 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): MARPAT 130:196663  
GI



AB The title compds. [I; R1-R3 = H, halo, alkyl, etc.; R4 = alkyl, alkoxy, Ph, etc.], useful for the treatment of patients having precancerous lesions (no data), and also useful to inhibit growth of neoplastic cells, were prepared and formulated. Thus, reaction of Et cyanoacetate with 2-propoxybenzamidine in EtOH afforded I [R1 = 2-PrO; R2 = R3 = H; R4 = 6-NH2].

INCL 514269000

IPCI A61K0031-505 [ICM,6]

IPCR A61K0031-506 [I,C\*]; A61K0031-506 [I,A]; A61K0031-513 [I,C\*]; A61K0031-513 [I,A]

NCL 514/269.000

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63

IT 132736-99-3P 132737-03-2P 132737-04-3P  
132737-06-5P 132737-07-6P 132737-08-7P  
132737-09-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 2-phenylpyrimidin-4[3H]-ones for treating a patient having precancerous lesions)

IT 132737-00-9P 132737-01-0P 132737-02-1P 132737-05-4P 132737-10-1P  
132737-11-2P 132737-12-3P 132737-13-4P  
132737-14-5P 132737-15-6P 132737-16-7P  
132737-17-8P 132758-40-8P 132758-41-9P 132758-42-0P 132758-43-1P  
132758-44-2P 220751-13-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

**Serial#: 10/595,734**

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-phenylpyrimidin-4[3H]-ones for treating a patient having precancerous lesions)

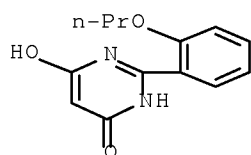
IT 132737-03-2P 132737-06-5P 132737-07-6P  
132737-08-7P 132737-09-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 2-phenylpyrimidin-4[3H]-ones for treating a patient having precancerous lesions)

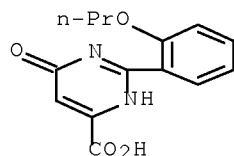
RN 132737-03-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-2-(2-propoxyphenyl)- (CA INDEX NAME)



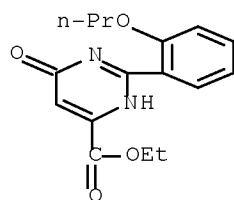
RN 132737-06-5 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-6-oxo-2-(2-propoxyphenyl)- (CA INDEX NAME)



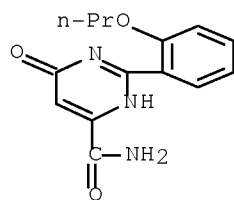
RN 132737-07-6 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-6-oxo-2-(2-propoxyphenyl)-, ethyl ester (CA INDEX NAME)

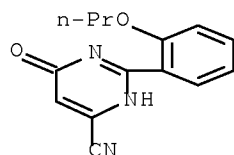


RN 132737-08-7 HCAPLUS

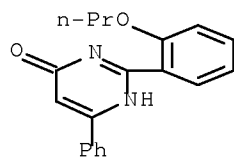
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-6-oxo-2-(2-propoxyphenyl)- (CA INDEX NAME)



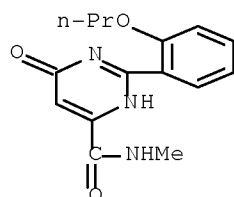
RN 132737-09-8 HCAPLUS  
CN 4-Pyrimidinecarbonitrile, 1,6-dihydro-6-oxo-2-(2-propoxyphenyl)- (CA INDEX NAME)



IT 132737-12-3P 132737-13-4P 132737-14-5P  
132737-15-6P 132737-16-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 2-phenylpyrimidin-4[3H]-ones for treating a patient having precancerous lesions)  
RN 132737-12-3 HCAPLUS  
CN 4(3H)-Pyrimidinone, 6-phenyl-2-(2-propoxyphenyl)- (CA INDEX NAME)

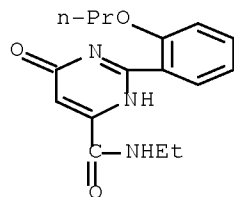


RN 132737-13-4 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-N-methyl-6-oxo-2-(2-propoxyphenyl)- (CA INDEX NAME)

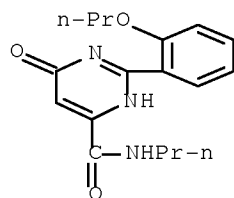


**Serial#: 10/595,734**

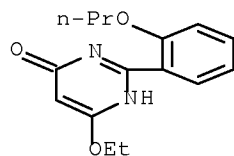
RN 132737-14-5 HCAPLUS  
CN 4-Pyrimidinecarboxamide, N-ethyl-1,6-dihydro-6-oxo-2-(2-propoxyphenyl)-  
(CA INDEX NAME)



RN 132737-15-6 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-6-oxo-2-(2-propoxyphenyl)-N-propyl-  
(CA INDEX NAME)



RN 132737-16-7 HCAPLUS  
CN 4(3H)-Pyrimidinone, 6-ethoxy-2-(2-propoxyphenyl)- (CA INDEX NAME)

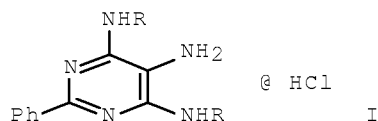


OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS  
RECORD (11 CITINGS)  
REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

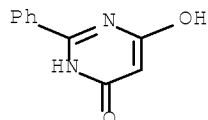
L57 ANSWER 34 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1997:407610 HCAPLUS Full-text  
DOCUMENT NUMBER: 127:121691  
ORIGINAL REFERENCE NO.: 127:23473a,23476a  
TITLE: Synthesis of 4,6-disubstituted and  
4,5,6-trisubstituted 2-phenylpyrimidines and their  
affinity towards A1 adenosine receptors

**Serial#: 10/595,734**

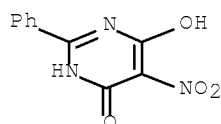
AUTHOR(S): Biagi, Giuliana; Giorgi, Irene; Livi, Oreste;  
Scartoni, Valerio; Lucacchini, Antonio  
CORPORATE SOURCE: Dip. Scienze Farmaceutiche, Univ. Pisa, Pisa, 56126,  
Italy  
SOURCE: Farmaco (1997), 52(1), 61-65  
CODEN: FRMCE8; ISSN: 0014-827X  
PUBLISHER: Societa Chimica Italiana  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



- AB The preparation and assay of the title compds., e.g., I (R = cyclohexyl, pentyl), are reported. The results support our hypothesis about the possibility that mols. characterized by great flexibility, such as 2-phenyl-4,5,6-triaminopyrimidines, can better interact with the receptor sites than rigid mols. such as 2,6,9-trisubstituted 8-azaadenines. The relatively low activity shown by pyrimidine derivs. demonstrated the importance of the bicyclic aromatic system in 8-azaadenines and adenines for a favorable interaction with the A1 adenosine receptors.
- CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1
- IT 3740-92-9P, Pyrimidine, 4,6-dichloro-2-phenyl- 13566-71-7P,  
4,6-Pyrimidinediol, 2-phenyl- 68905-99-7P 68921-91-5P,  
Pyrimidine, 4,6-dichloro-5-nitro-2-phenyl- 192631-70-2P 192631-77-9P  
192631-78-0P 192631-79-1P 192631-80-4P 192631-81-5P 192631-82-6P  
192631-83-7P 192631-84-8P 192631-85-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 4,6-disubstituted and 4,5,6-trisubstituted 2-phenylpyrimidines and their A1 adenosine receptor affinity)
- IT 13566-71-7P, 4,6-Pyrimidinediol, 2-phenyl- 68905-99-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 4,6-disubstituted and 4,5,6-trisubstituted 2-phenylpyrimidines and their A1 adenosine receptor affinity)
- RN 13566-71-7 HCAPLUS
- CN 4(3H)-Pyrimidinone, 6-hydroxy-2-phenyl- (CA INDEX NAME)



- RN 68905-99-7 HCAPLUS
- CN 4(3H)-Pyrimidinone, 6-hydroxy-5-nitro-2-phenyl- (CA INDEX NAME)



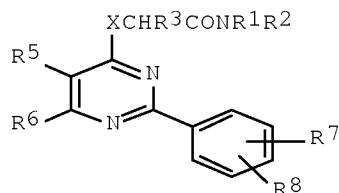
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD  
(7 CITINGS)

L57 ANSWER 35 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1996:753799 HCAPLUS Full-text  
 DOCUMENT NUMBER: 126:18884  
 ORIGINAL REFERENCE NO.: 126:3925a,3928a  
 TITLE: Preparation and formulation of pyrimidine derivatives  
 as agents with effect on the peripheral benzodiazepine  
 receptors  
 INVENTOR(S): Murata, Teruya; Hino, Katsuhiko; Furukawa, Kiyoshi;  
 Oka, Makoto; Itoh, Mari  
 PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 110 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

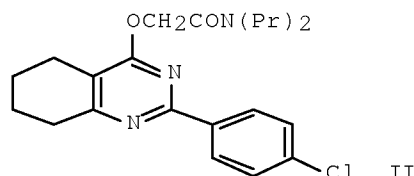
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9632383	A1	19961017	WO 1996-JP977	19960410 <--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
IL 117659	A	20001206	IL 1996-117659	19960326 <--
ZA 9602438	A	19961001	ZA 1996-2438	19960327 <--
CA 2218033	A1	19961017	CA 1996-2218033	19960410 <--
AU 9652874	A	19961030	AU 1996-52874	19960410 <--
AU 694647	B2	19980723		
EP 826673	A1	19980304	EP 1996-909327	19960410 <--
EP 826673	B1	20021120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1186487	A	19980701	CN 1996-194408	19960410 <--
CN 1094929	C	20021127		
BR 9604894	A	19980714	BR 1996-4894	19960410 <--
HU 9801688	A2	19990329	HU 1998-1688	19960410 <--
HU 9801688	A3	20011128		
RU 2160256	C2	20001210	RU 1997-118591	19960410 <--
SK 281840	B6	20010806	SK 1997-1374	19960410 <--
CZ 289093	B6	20011017	CZ 1997-3223	19960410 <--
RO 117532	B1	20020430	RO 1997-1858	19960410 <--
AT 228113	T	20021215	AT 1996-909327	19960410 <--
PT 826673	E	20030228	PT 1996-909327	19960410 <--
ES 2187644	T3	20030616	ES 1996-909327	19960410 <--
TW 450963	B	20010821	TW 1996-85104372	19960412 <--
NO 9704685	A	19971212	NO 1997-4685	19971010 <--

**Serial#: 10/595,734**

NO 310619 B1 20010730  
 US 5972946 A 19991026 US 1997-930604 19971014 <--  
 PRIORITY APPLN. INFO.: JP 1995-113937 A 19950413 <--  
 WO 1996-JP977 W 19960410 <--  
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 126:18884  
 GI



I



II

AB The title compds. I [X represents O or NR<sub>4</sub>; R<sub>1</sub> represents H, lower alkyl, lower alkenyl or cycloalkyl(lower)alkyl; R<sub>2</sub> represents lower alkyl, cycloalkyl, optionally substituted Ph, etc.; R<sub>3</sub> represents H, lower alkyl or hydroxy(lower)alkyl; R<sub>4</sub> represents H, lower alkyl, etc.; R<sub>5</sub> represents hydroxy(lower)alkyl, etc.; R<sub>6</sub> represents H, lower alkyl, CF<sub>3</sub> or optionally substituted Ph, or R<sub>5</sub> and R<sub>6</sub> together form (CH<sub>2</sub>)<sub>n</sub>; n = 3 - 6; R<sub>7</sub> represents H, halogeno, lower alkyl, lower alkoxy, CF<sub>3</sub>, OH, NH<sub>2</sub>, etc.; and R<sub>8</sub> represents H, halogeno, lower alkyl or lower alkoxy] are prepared In an in vitro test for affinity for the peripheral benzodiazepine receptors, the title compound II in vitro showed IC<sub>50</sub> of 0.89 nM. IPCI C07D0239-34 [ICM,6]; C07D0239-42 [ICS,6]; C07D0239-00 [ICS,6,C\*];

A61K0031-505 [ICS,6]

IPCR A61K0031-505 [I,C\*]; A61K0031-505 [I,A]; A61K0031-506 [I,C\*]; A61K0031-506 [I,A]; A61P0025-00 [I,C\*]; A61P0025-08 [I,A]; A61P0037-00 [I,C\*]; A61P0037-02 [I,A]; C07D0239-00 [I,C\*]; C07D0239-34 [I,A]; C07D0239-36 [I,A]; C07D0239-42 [I,A]; C07D0239-90 [I,A]; C07D0239-91 [I,A]; C07D0239-94 [I,A]; C07D0403-00 [I,C\*]; C07D0403-12 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 1544-68-9P 2620-11-3P 3749-46-0P 5439-36-1P 10488-96-7P  
 13514-79-9P 13734-36-6P 15969-46-7P 17709-79-4P  
 19927-82-3P 19927-83-4P 20139-83-7P 24755-82-6P 29509-91-9P  
 29509-92-0P 33280-23-8P 34127-13-4P 36935-59-8P  
 36935-60-1P 55613-22-4P 59721-11-8P 80008-03-3P 86739-33-5P  
 86739-34-6P 87753-17-1P 91397-84-1P 92577-32-7P 98296-24-3P  
 109728-07-6P 157837-30-4P 158715-13-0P 158715-14-1P 172351-32-5P  
 172351-35-8P 177206-60-9P 180606-46-6P 180606-84-2P 184109-72-6P  
 184109-73-7P 184109-74-8P 184109-75-9P 184109-76-0P  
 184109-77-1P 184109-78-2P 184109-79-3P 184109-80-6P 184109-81-7P  
 184109-82-8P 184109-83-9P 184109-84-0P 184109-85-1P 184109-86-2P  
 184109-87-3P 184109-88-4P 184109-89-5P 184109-90-8P 184109-91-9P  
 184109-92-0P 184109-93-1P 184109-94-2P 184109-95-3P 184109-96-4P  
 184109-97-5P 184109-98-6P 184109-99-7P 184110-00-7P 184110-01-8P  
 184110-02-9P 184110-03-0P 184110-04-1P 184110-05-2P 184110-06-3P  
 184110-07-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as agents with effect on peripheral benzodiazepine receptors)

IT 10488-96-7P 15969-46-7P 36935-59-8P  
 184109-76-0P



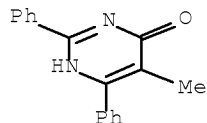
**Serial#: 10/595,734**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as agents with effect on peripheral benzodiazepine receptors)

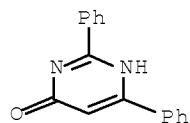
RN 10488-96-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 5-methyl-2,6-diphenyl- (CA INDEX NAME)



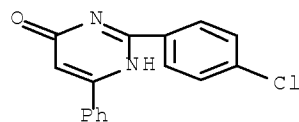
RN 15969-46-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 2,6-diphenyl- (CA INDEX NAME)



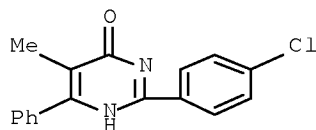
RN 36935-59-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-phenyl- (CA INDEX NAME)



RN 184109-76-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-5-methyl-6-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 36 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

**Serial#: 10/595,734**

ACCESSION NUMBER: 1996:458023 HCAPLUS Full-text  
DOCUMENT NUMBER: 125:105160  
ORIGINAL REFERENCE NO.: 125:19439a,19442a  
TITLE: Inhibitors of cGMP phosphodiesterase for the treatment  
of erectile dysfunction and other disorders  
INVENTOR(S): Campbell, Simon Fraser; Mackenzie, Alexander Roderick;  
Wood, Anthony  
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Research and Development  
Company, N.V./s.A.; Pfizer Inc.  
SOURCE: PCT Int. Appl., 16 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616644	A1	19960606	WO 1995-EP4066	19951016 <--
W: CA, FI, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2203379	A1	19960606	CA 1995-2203379	19951016 <--
CA 2203379	C	20060103		
EP 793486	A1	19970910	EP 1995-936507	19951016 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09512834	T	19971222	JP 1995-517126	19951016 <--
JP 2975990	B2	19991110		
JP 11343238	A	19991214	JP 1999-105626	19951016 <--
US 6300335	B1	20011009	US 1997-836670	19970522 <--
FI 9702205	A	19970523	FI 1997-2205	19970523 <--
US 20010044441	A1	20011122	US 2001-880141	20010613 <--
US 6656945	B2	20031202		
JP 2004091490	A	20040325	JP 2003-308939	20030901 <--
US 20040087599	A1	20040506	US 2003-694644	20031027 <--
PRIORITY APPLN. INFO.:				
			GB 1994-23910	A 19941126 <--
			JP 1996-517126	A3 19951016 <--
			JP 1999-105626	A3 19951016 <--
			WO 1995-EP4066	W 19951016 <--
			US 1997-836670	A3 19970522 <--
			US 2001-880141	A3 20010613 <--

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Compds. which are selective inhibitors of cGMP phosphodiesterase are useful in the treatment of erectile dysfunction (impotence) in male animals, including man. The cGMP phosphodiesterase inhibitors can also be used to treat female sexual dysfunction, premature labor, or dysmenorrhea. Specific compds., as well as compds. from other patents, are claimed. IPCI A61K0031-00 [ICM,6]

IPCR C07D0235-00 [I,C\*]; C07D0235-02 [I,A]; A61K0031-00 [I,C\*]; A61K0031-00 [I,A]; A61K0031-415 [I,C\*]; A61K0031-415 [I,A]; A61K0031-4164 [I,C\*]; A61K0031-4184 [I,A]; A61K0031-44 [I,C\*]; A61K0031-44 [I,A]; A61K0031-445 [I,C\*]; A61K0031-445 [I,A]; A61K0031-4523 [I,C\*]; A61K0031-454 [I,A]; A61K0031-496 [I,C\*]; A61K0031-496 [I,A]; A61K0031-505 [I,C\*]; A61K0031-505 [I,A]; A61K0031-517 [I,C\*]; A61K0031-517 [I,A]; A61K0031-519 [I,C\*]; A61K0031-519 [I,A]; A61K0045-00 [I,C\*]; A61K0045-00 [I,A]; A61P0013-00 [I,C\*]; A61P0013-00 [I,A]; A61P0013-02 [I,A]; A61P0015-00 [I,C\*]; A61P0015-00 [I,A]; A61P0015-10 [I,A]; A61P0043-00 [I,C\*]; A61P0043-00 [I,A]; C07D0403-00 [I,C\*]; C07D0403-04 [I,A]; C07D0473-00 [I,C\*]; C07D0473-00 [I,A]; C07D0487-00 [I,C\*]; C07D0487-04 [I,A]

CC 1-12 (Pharmacology)

IT 51-17-2D, Benzimidazole, derivs. 73-40-5D, Guanine, derivs. 118-92-3D, Anthranilic acid, derivs. 120-73-0D, Purine, derivs. 253-82-7D, Quinazoline, derivs. 254-61-5D, Pyrido[2,3-d]pyrimidine, derivs.

**Serial#: 10/595,734**

275-94-5D, Cycloheptimidazole, derivs. 289-95-2D, Pyrimidine, derivs.  
315-30-0D, derivs. 591-54-8D, 4-Aminopyrimidine, derivs. 6025-68-9D,  
Pyrrolo[1,2-a]quinoxalin-4(5H)-one, derivs. 12766-00-6D, Quinazolinone,  
derivs. 15018-66-3D, 4-Aminoquinazoline, derivs. 19006-82-7D, derivs.  
33643-94-6D, derivs. 37294-42-1D, Imidazoquinazoline, derivs.  
79030-08-3D, Griseolic acid, derivs. 127488-00-0 127957-37-3  
128173-55-7 132737-08-7 134485-90-8 150452-18-9  
152712-47-5 153447-99-5 157863-27-9 158001-76-4 158001-83-3  
159687-16-8 179042-24-1D, derivs. 179042-25-2D, derivs.  
179042-26-3D, Imidazo[1,5-a]quinoxalin-4(5H)-one, derivs. 179042-27-4  
179042-28-5 179042-29-6 179042-30-9 179042-31-0

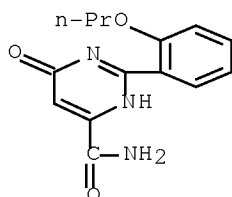
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(cGMP phosphodiesterase inhibitors for treatment of erectile  
dysfunction and other disorders)

IT 132737-08-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(cGMP phosphodiesterase inhibitors for treatment of erectile  
dysfunction and other disorders)

RN 132737-08-7 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-6-oxo-2-(2-propoxyphenyl)- (CA INDEX  
NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS  
RECORD (25 CITINGS)  
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 37 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:984876 HCAPLUS Full-text

DOCUMENT NUMBER: 124:176041

ORIGINAL REFERENCE NO.: 124:32647a,32650a

TITLE: Behavior of terephthaloyl isothiocyanate towards  
carbon and nitrogen reagents

AUTHOR(S): Assy, M. G.; Haiekl, A.; Moustafa, H. Y.

CORPORATE SOURCE: Faculty Science, Zagazig Univ., Zagazig, Egypt

SOURCE: Phosphorus, Sulfur and Silicon and the Related

Elements (1995), 106(1-4), 179-85

CODEN: PSSLEC; ISSN: 1042-6507

PUBLISHER: Gordon & Breach

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Cyclization of terephthaloyl isothiocyanate with nucleophilic compds. either  
spontaneously, or with added reagents is reported. Some compds. thus prepared were  
tested for bactericidal activity.

CC 28-20 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 10

IT 173679-91-9P 173679-92-0P 173679-93-1P

173679-94-2P 173679-95-3P 173679-96-4P 173679-97-5P

**Serial#: 10/595,734**

173679-98-6P 173680-02-9P 173680-03-0P 173680-04-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal activity of terephthaloyl diisothiocyanate-derived heterocyclic compds.)

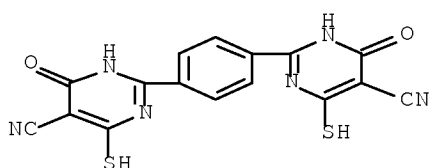
IT 173679-91-9P 173679-94-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal activity of terephthaloyl diisothiocyanate-derived heterocyclic compds.)

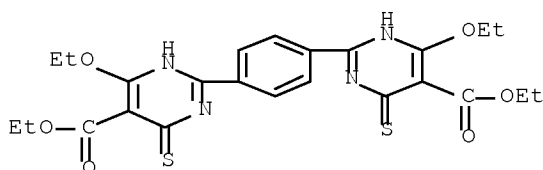
RN 173679-91-9 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2,2'-(1,4-phenylene)bis[1,4-dihydro-6-mercapto-4-oxo- (9CI) (CA INDEX NAME)



RN 173679-94-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2,2'-(1,4-phenylene)bis[6-ethoxy-1,4-dihydro-4-thioxo-, diethyl ester (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L57 ANSWER 38 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:519318 HCAPLUS Full-text

DOCUMENT NUMBER: 123:83295

ORIGINAL REFERENCE NO.: 123:14909a,14912a

TITLE: 6-Imino-1,3-oxazines: a new class of hepatoprotectants

AUTHOR(S): Ram, Vishnu; Nath, Mahendra; Patnaik, G. K.

CORPORATE SOURCE: Medicinal Chem. Division, Central Drug Res. Inst., Lucknow, 226 001, India

SOURCE: Bioorganic & Medicinal Chemistry Letters (1995), 5(7), 695-8

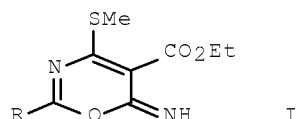
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB A convenient synthesis of polysubstituted 6-imino-1,3-oxazines, e.g., I (R = Me, CF<sub>3</sub>, Ph), from ketene dithioacetals has been described, and their conversion to pyrimidin-6-ones and a pyrazolo[3,4-d]pyrimidinone was studied. The oxazines had significant hepatoprotective activity.

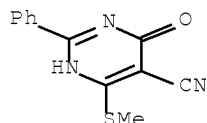
CC 28-13 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1

IT 15908-64-2P 165400-11-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(oxazinimines as hepatoprotectants)

IT 15908-64-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(oxazinimines as hepatoprotectants)

RN 15908-64-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-6-(methylthio)-4-oxo-2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

L57 ANSWER 39 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:655817 HCAPLUS Full-text

DOCUMENT NUMBER: 121:255817

ORIGINAL REFERENCE NO.: 121:46703a,46706a

TITLE: Process for preparation of cycloalkyl- and azacycloalkylpyrrolopyrimidines useful as GABA<sub>A</sub> receptor ligands

INVENTOR(S): Thurkauf, Andrew; Hutchison, Alan; Singh, Vinod

PATENT ASSIGNEE(S): Neurogen Corp., USA

SOURCE: Braz. Pedido PI, 101 pp.

CODEN: BPXXDX

DOCUMENT TYPE: Patent

LANGUAGE: Portuguese

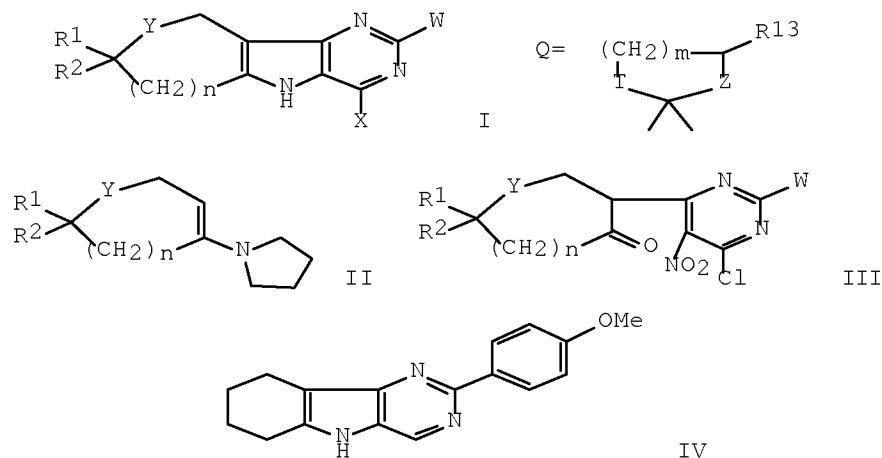
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BR 9201262	A	19931013	BR 1992-1262	19920408 <--

**Serial#: 10/595,734**

RU 2055077	C1	19960227	RU 1992-5011467	19920406 <--
RO 109942	B1	19950728	RO 1992-478	19920407 <--
PRIORITY APPLN. INFO.:			SU 1992-5011467	A 19920406 <--
			BR 1992-1262	19920408 <--
OTHER SOURCE(S):	CASREACT 121:255817; MARPAT 121:255817			
GI				



AB Title compds. I [ $n = 0, 1, 2$ ;  $R_1, R_2 = H, \text{alkyl}$ ;  $X = H, OH$ ;  $W = (\text{un})\text{substituted Ph, thienyl, pyridyl}$ ;  $Y = NR_3, CO, CR_6(OR_5), CR_6(COR_5), CR_6(CO_2R_5), CR_6(OCOR_5), CR_5R_6, CR_6(CONR_7R_8), CR_6[(CH_2)_nNR_7R_8], CR_6(NR_9CO_2R_{10}), CR_6[C(OH)R_{11}R_{12}]$ , cyclic group Q;  $R_3 = H, \text{alkyl, Ph, pyridyl, phenylalkyl, (di)(alkyl)aminoalkyl, (un)substituted 1-indanyl, 4-(thio)chromanyl, or 1,2,3,4-tetrahydro-1-naphthyl, COR_4, SO_2R_4$ ;  $R_4 = \text{alkyl, Ph, phenylalkyl, phenylalkoxy}$ ;  $R_5, R_8, R_9, R_{10}, R_{13}, R_{14} = H, \text{alkyl, Ph, pyridyl, phenylalkyl}$ ;  $R_6, R_7 = H, \text{alkyl}$ ; or  $NR_7R_8 = \text{morpholino, piperidino, pyrrolidino, or N-alkylpiperazino}$ ;  $R_{11}, R_{12} = \text{alkyl, Ph, phenylalkyl}$ ;  $m = 0, 1, 2$ ;  $Z = CH_2, O, NR_{14}, CHCONR_{14}$  (sic);  $T = CH_2, O$ ] were prepared (77 examples). I are highly selective agonists, antagonists, inverse agonists, or prodrugs for cerebral GABA<sub>A</sub> receptors, and are useful for diagnosis or treatment of anxiety, convulsions, sleep disturbances, or benzodiazepine overdose, or for improving activity (sic). Preparation of I involves 5 steps: (1) reaction of dialkyl malonates with arylamidines  $WC(:NH)NH_2$  to give 2-aryl-4,6-dihydroxypyrimidines; (2) nitration of these in the 5-position; (3) treatment of the resultant arylnitro-dihydroxypyrimidines with  $POCl_3$  to give 2-aryl-5-nitro-4,6-dichloropyrimidines; (4) coupling of these with cyclic pyrrolidine enamines II to give 4-substituted 5-nitro-6-chloropyrimidines III; and (5) catalytic hydrogenation of III with cyclization. In tests for binding to rat cortical GABA<sub>A</sub> receptors in vitro, 12 selected I had  $IC_{50}$  of  $0.009\text{--}1.00\text{ }\mu\text{M}$ , e.g.,  $0.039\text{ }\mu\text{M}$  for IV, a preferred compound IPCI C07D0487-00 [ICM,5]; C07D0487-12 [ICS,5]; C07D0487-00 [ICS,5,C\*] IPCR C07D0487-00 [I,C\*]; C07D0487-00 [I,A]; C07D0487-12 [I,A]

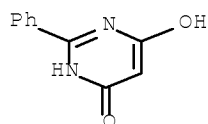
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

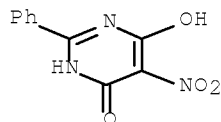
IT 13566-71-7P, 4(1H)-Pyrimidinone, 6-hydroxy-2-phenyl-  
 68905-99-7P, 4(1H)-Pyrimidinone, 6-hydroxy-5-nitro-2-phenyl-  
 68921-91-5P, 2-Phenyl-5-nitro-4,6-dichloropyrimidine 87151-60-8P,  
 1-Oxaspiro[4.5]decan-8-one 90214-47-4P,  
 1,4-Dioxaspiro[4.5]decane-8-propanol, 8-(hydroxy)- 142283-60-1P  
 142283-61-2P, 1,4-Dioxaspiro[4.5]decan-8-ol, 8-(3-butenyl)-  
 142283-62-3P, 4-(3-Hydroxybutyl)-4-hydroxycyclohexanone ethylene ketal

**Serial#: 10/595,734**

142283-64-5P 142283-65-6P 142283-66-7P,  
1-Azaspiro[4.5]decane-2,8-dione, 1-methyl- 142283-68-9P 142283-69-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reaction of, in preparation of GABAergic cycloalkyl- and  
azacycloalkylpyrrolopyrimidines)  
IT 13566-71-7P, 4(1H)-Pyrimidinone, 6-hydroxy-2-phenyl-  
68905-99-7P, 4(1H)-Pyrimidinone, 6-hydroxy-5-nitro-2-phenyl-  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reaction of, in preparation of GABAergic cycloalkyl- and  
azacycloalkylpyrrolopyrimidines)  
RN 13566-71-7 HCAPLUS  
CN 4(3H)-Pyrimidinone, 6-hydroxy-2-phenyl- (CA INDEX NAME)



RN 68905-99-7 HCAPLUS  
CN 4(3H)-Pyrimidinone, 6-hydroxy-5-nitro-2-phenyl- (CA INDEX NAME)



L57 ANSWER 40 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1994:655782 HCAPLUS Full-text  
DOCUMENT NUMBER: 121:255782  
ORIGINAL REFERENCE NO.: 121:46695a,46698a  
TITLE: Preparation of aryl heterocyclyl pyrimidines as GABA  
brain receptor ligands  
INVENTOR(S): Thurkauf, Andrew; Hutchison, Alan  
PATENT ASSIGNEE(S): Neurogen Corp., USA  
SOURCE: U.S., 17 pp. Cont. of U.S. Ser. No. 865,129,  
abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5326868	A	19940705	US 1993-106193	19930812 <--
WO 9425463	A1	19941110	WO 1993-US3917	19930430 <--
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, RO, RU, SD, SE, SK, UA, US, UZ, VN				

**Serial#: 10/595,734**

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,

BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9341174 A 19941121 AU 1993-41174 19930430 <--

US 5463054 A 19951031 US 1994-269667 19940701 <--

PRIORITY APPLN. INFO.: US 1992-865129 B1 19920408 <--

WO 1993-US3917 W 19930430 <--

US 1993-106193 A1 19930812 <--

OTHER SOURCE(S): CASREACT 121:255782; MARPAT 121:255782

GI For diagram(s), see printed CA Issue.

AB Title compds. I (X = H, halo, HO; W = (substituted) aryl; A, B, C, D, E = C or N substituted with H or various organic and inorg. substituents; R3, R4 = organic and inorg. substituents), are prepared 2-(2-Fluoro-4-methoxyphenyl)6,7,8,9-tetrahydro-5H-indolo[3,2-d]pyrimidine and Pd black in mesitylene was stirred at 230° to give the title compound 2-(2-fluoro-4-methoxyphenyl)5H-indolo[3,2-d]pyrimidine. I demonstrated GABAA receptor activity.

INCL 544250000

IPCI C07D0495-14 [ICM,5]; C07D0495-00 [ICM,5,C\*]; C07D0487-14 [ICS,5];

C07D0487-00 [ICS,5,C\*]; C07D0491-147 [ICS,5]; C07D0491-00 [ICS,5,C\*]

IPCR C07D0471-00 [I,C\*]; C07D0471-14 [I,A]; C07D0487-00 [I,C\*]; C07D0487-04

[I,A]; C07D0487-14 [I,A]; C07D0491-00 [I,C\*]; C07D0491-147 [I,A];

C07D0495-00 [I,C\*]; C07D0495-14 [I,A]

NCL 544/250.000; 544/115.000; 544/251.000; 544/280.000; 544/319.000;

544/322.000; 546/289.000; 548/483.000; 558/414.000

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 13566-71-7P 42242-11-5P 68905-99-7P 68921-91-5P

87223-76-5P 87223-77-6P 110963-25-2P 142282-86-8P 142283-10-1P

142283-11-2P 142283-60-1P 142283-69-0P 158183-99-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of aryl heterocyclyl pyrimidines as GABA brain receptor ligands)

IT 13566-71-7P 68905-99-7P

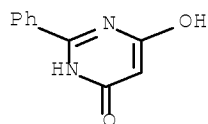
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of aryl heterocyclyl pyrimidines as GABA brain receptor ligands)

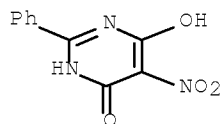
RN 13566-71-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-2-phenyl- (CA INDEX NAME)



RN 68905-99-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-5-nitro-2-phenyl- (CA INDEX NAME)

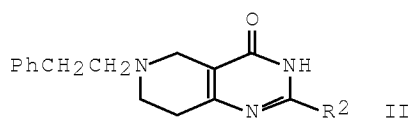
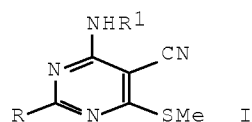




**Serial#: 10/595,734**

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)  
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 41 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1993:625911 HCAPLUS Full-text  
DOCUMENT NUMBER: 119:225911  
ORIGINAL REFERENCE NO.: 119:40327a,40330a  
TITLE: Chemotherapeutic agents. Part XXIII. Synthesis of  
 $\pi$ -deficient pyrimidines and fused pyrimidines as  
leishmanicides  
AUTHOR(S): Ram, Vishnu J.; Haque, Navedul; Nath, Mahendra  
CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226  
001, India  
SOURCE: Indian Journal of Chemistry, Section B: Organic  
Chemistry Including Medicinal Chemistry (1993  
, 32B(7), 754-9  
CODEN: IJSBDB; ISSN: 0376-4699  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 119:225911  
GI



AB Various  $\pi$ -deficient pyrimidines, e.g., I (R = Me, Ph, 4-pyridyl; R<sup>1</sup> = H, aryl) and  
fused pyrimidines, e.g., II (R<sup>2</sup> = 4-pyridyl, morpholino, SCH<sub>2</sub>Ph) have been  
synthesized and evaluated for their leishmanicidal activity against L. donovani.  
None of the compds. showed significant activity.  
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1  
IT 1049-63-4P 15908-64-2P 19796-51-1P 78318-46-4P  
135158-59-7P 150807-98-0P 150807-99-1P 150808-00-7P 150808-01-8P  
150808-02-9P 150808-03-0P 150808-04-1P 150808-05-2P 150808-06-3P  
150808-07-4P 150808-08-5P 150808-09-6P 150808-10-9P 150808-11-0P  
150808-12-1P 150808-13-2P 150808-14-3P 150808-15-4P 150808-16-5P  
150808-17-6P 150808-18-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
IT 15908-64-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 15908-64-2 HCAPLUS  
CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-6-(methylthio)-4-oxo-2-phenyl- (CA  
INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L57 ANSWER 42 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1992:543023 HCAPLUS Full-text

DOCUMENT NUMBER: 117:143023

ORIGINAL REFERENCE NO.: 117:24576h,24577a

TITLE: 5-Fluoro-(3H)-pyrimidine-4-ones: synthesis,  
reactivity and pharmacological properties

AUTHOR(S): De Melo, S. J.; Luu-Duc, C.; Thomasson, F.; Narcisse,  
G.; Gaultier, C.

CORPORATE SOURCE: Dep. Antibiot., Univ. Fed. Pernambuco, Recife, 50000,  
Brazil

SOURCE: Annales Pharmaceutiques Francaises (1992),  
50(1), 39-51

CODEN: APFRAD; ISSN: 0003-4509

DOCUMENT TYPE: Journal

LANGUAGE: French

AB Fourteen fluoro pyrimidine-4-ones, 4 fluoro bispyrimidine-4-ones and 2 fluoro  
pyrimidine-4-ones with fused ring have been prepared The reactivity of the carbonyl  
group of 2 pyrimidine-4-ones phosphorus oxychloride was studied. The 4-chloro  
pyrimidines reacted with ammonia or morpholine giving 4-substituted pyrimidines.  
Eight compds. are evaluated for their anti-inflammatory and anticonvulsant  
properties: they were found to be weakly active against edema and 3 of them  
protected rats from tonic convulsions.

CC 1-7 (Pharmacology)

Section cross-reference(s): 28

IT 132901-22-5P 132901-23-6P 132901-24-7P 139670-61-4P 143328-93-2P  
143329-00-4P 143501-89-7P

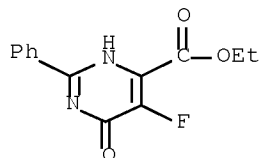
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and antiinflammatory and anticonvulsant activity of)

IT 143329-00-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and antiinflammatory and anticonvulsant activity of)

RN 143329-00-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 5-fluoro-1,6-dihydro-6-oxo-2-phenyl-, ethyl  
ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

**Serial#: 10/595,734**

L57 ANSWER 43 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1992:448538 HCAPLUS Full-text

DOCUMENT NUMBER: 117:48538

ORIGINAL REFERENCE NO.: 117:8663a,8666a

TITLE: Preparation of  
6,7,8,9-tetrahydro-5H-indolo[3.2-d]pyrimidines and  
analogs as GABA receptor ligands

INVENTOR(S): Thurkauf, Andrew; Hutchison, Alan; Singh, Vinod

PATENT ASSIGNEE(S): Neurogen Corp., USA

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

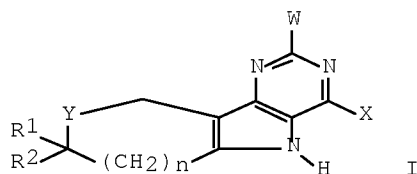
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9206094	A1	19920416	WO 1991-US7195	19911008 <--
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2091986	A1	19920410	CA 1991-2091986	19911008 <--
CA 2091986	C	19951128		
AU 9187326	A	19920428	AU 1991-87326	19911008 <--
AU 652968	B2	19940915		
EP 552237	A1	19930728	EP 1991-918267	19911008 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06502147	T	19940310	JP 1991-517025	19911008 <--
EP 738717	A1	19961023	EP 1996-105337	19911008 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5216159	A	19930601	US 1991-800885	19911127 <--
CZ 281084	B6	19960612	CZ 1992-779	19920316 <--
CN 1076929	A	19931006	CN 1992-102339	19920331 <--
IN 175034	A1	19950422	IN 1992-CA218	19920401 <--
US 5585490	A	19961217	US 1993-30468	19930405 <--
PRIORITY APPLN. INFO.:				
			US 1990-594712	A2 19901009 <--
			EP 1991-918267	A3 19911008 <--
			WO 1991-US7195	A 19911008 <--

OTHER SOURCE(S): MARPAT 117:48538

GI



AB Title compds. I [n = 0-2; R1,R2 = H, C1-6 alkyl; X = H, OH; W = (substituted) Ph, -thienyl, -pyridyl; Y = NR3, CO, CR6OR5, CR6COR5, CR6CO2R5, CR6OCOR5R6, etc.; R3 = H, C1-6 alkyl, Ph, pyridyl, phenyl-C1-6 alkyl, aminoalkyl, 1-indanyl, etc.; R5 = H, C1-6 alkyl, Ph, pyridyl, phenyl-C1-6 alkyl; R6 = H, C1-6 alkyl] and related compds. were prepared as GABA receptor ligands. Thus, the enamine formed from cyclohexanone and pyrrolidine was

**Serial#: 10/595,734**

arylated by treatment with 2-phenyl-5-nitro-4,6-dichloropyrimidine (preparation given) and (Me<sub>2</sub>CH)<sub>2</sub>EtN in CH<sub>2</sub>Cl<sub>2</sub> and the product formed was hydrogenated in EtOH containing Et<sub>3</sub>N and 10% Pd/C to give 2-phenyl-6,7,8,9-tetrahydro-5H-indolo[3,2-d]-pyrimidine (II). II had IC<sub>50</sub> of 0.100 μM against 3H-flumazenil binding to GABA receptors.

IPCI C07D0471-14 [ICM,5]; C07D0487-04 [ICS,5]; C07D0491-20 [ICS,5]; C07D0491-00 [ICS,5,C\*]; C07D0487-20 [ICS,5]; C07D0487-00 [ICS,5,C\*]; A61K0031-495 [ICS,5]; C07D0471-14 [ICI,5]; C07D0471-00 [ICI,5,C\*]; C07D0239-00 [ICI,5]; C07D0221-00 [ICI,5]; C07D0209-00 [ICI,5]

IPCR A61K0031-505 [I,C\*]; A61K0031-505 [I,A]; A61K0031-495 [I,C\*]; A61K0031-495 [I,A]; A61K0031-55 [I,C\*]; A61K0031-55 [I,A]; A61P0025-00 [I,C\*]; A61P0025-00 [I,A]; A61P0025-20 [I,A]; A61P0039-00 [I,C\*]; A61P0039-02 [I,A]; A61P0043-00 [I,C\*]; A61P0043-00 [I,A]; C07D0471-00 [I,C\*]; C07D0471-14 [I,A]; C07D0471-20 [I,A]; C07D0487-00 [I,C\*]; C07D0487-04 [I,A]; C07D0487-14 [I,A]; C07D0487-20 [I,A]; C07D0491-00 [I,C\*]; C07D0491-052 [I,A]; C07D0491-107 [I,A]; C07D0491-113 [I,A]; C07D0491-147 [I,A]; C07D0491-20 [I,A]

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 1125-99-1P 13566-71-7P 68905-99-7P 68921-91-5P,  
2-Phenyl-5-nitro-4,6-dichloropyrimidine 77528-40-6P 87151-60-8P,  
1-Oxaspiro[4.5]decan-8-one 90214-47-4P 142283-60-1P 142283-61-2P  
142283-62-3P 142283-63-4P 142283-64-5P 142283-65-6P 142283-66-7P  
142283-67-8P 142283-68-9P 142283-69-0P

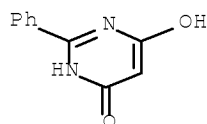
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate for GABA receptor ligands)

IT 13566-71-7P 68905-99-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate for GABA receptor ligands)

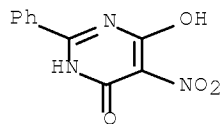
RN 13566-71-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-2-phenyl- (CA INDEX NAME)



RN 68905-99-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-5-nitro-2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

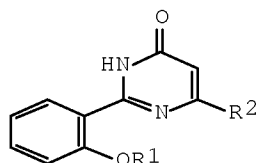
L57 ANSWER 44 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1991:143440 HCAPLUS Full-text  
DOCUMENT NUMBER: 114:143440

**Serial#: 10/595,734**

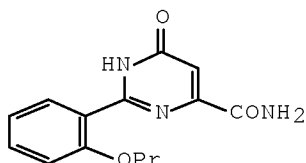
ORIGINAL REFERENCE NO.: 114:24349a,24352a  
 TITLE: Preparation of 2-phenylpyrimidin-4-ones as inhibitors of calmodulin insensitive cyclic GMP phosphodiesterase  
 INVENTOR(S): Coates, William John; Rawlings, Derek Anthony  
 PATENT ASSIGNEE(S): Smith Kline and French Laboratories Ltd., UK  
 SOURCE: Eur. Pat. Appl., 19 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 395328	A2	19901031	EP 1990-304312	19900423 <--
EP 395328	A3	19910320		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2014760	A1	19901026	CA 1990-2014760	19900418 <--
AU 9053674	A	19901101	AU 1990-53674	19900419 <--
AU 623512	B2	19920514		
JP 02295978	A	19901206	JP 1990-111607	19900425 <--
ZA 9003128	A	19911224	ZA 1990-3128	19900425 <--
US 5118686	A	19920602	US 1990-514788	19900425 <--
PRIORITY APPLN. INFO.:			GB 1989-9560	A 19890426 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 114:143440  
 GI



I



II

AB The title compds. [I; R1 = (fluoro)alkyl, alkenyl, cycloalkylalkyl, phenylalkyl; R2 = alkyl, Ph, OH, alkoxy, halo, carbamoyl, acylamino, 5-tetrazolyl, cyano, amino, CO2H, alkoxy-carbonyl], were prepared. Thus, 2-propoxybenzamidinium methanesulfonate, Et 4-oxalacetate, and NaOH were stirred 42 h in H2O to give 6-hydroxy-2-(2-propoxyphenyl)pyrimidine-4-carboxylic acid, which was converted to amide II via the Et ester. II inhibited methanoxepoxy-PGH2-induced bronchoconstriction in guinea pigs with a BD50 of 6.03 µmol/kg. I inhibited calmodulin insensitive cyclic GMP phosphodiesterase with IC50's of 0.5-88 µM. Capsules were prepared containing 6-N'-methylureido-2-(2-propoxyphenyl)pyrimidin-4[3H]-one. IPCI C07D0239-36 [ICM,5]; C07D0239-54 [ICS,5]; C07D0239-46 [ICS,5]; C07D0239-00 [ICS,5,C\*]; C07D0403-04 [ICS,5]; C07D0403-00 [ICS,5,C\*]; A61K0031-505 [ICS,5]  
 IPCR A61K0031-505 [I,C\*]; A61K0031-505 [I,A]; A61P0009-00 [I,C\*]; A61P0009-08 [I,A]; A61P0011-00 [I,C\*]; A61P0011-08 [I,A]; C07D0239-00 [I,C\*]; C07D0239-36 [I,A]; C07D0239-46 [I,A]; C07D0239-47 [I,A]; C07D0239-52 [I,A]; C07D0239-54 [I,A]; C07D0403-00 [I,C\*]; C07D0403-04 [I,A]  
 CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
 Section cross-reference(s): 1, 63  
 IT 132736-99-3P 132737-00-9P 132737-01-0P 132737-02-1P  
 132737-03-2P 132737-04-3P 132737-05-4P 132737-06-5P

**Serial#: 10/595,734**

132737-07-6P 132737-08-7P 132737-09-8P  
132737-10-1P 132737-11-2P 132737-12-3P  
132737-13-4P 132737-14-5P 132737-15-6P  
132737-16-7P 132737-17-8P 132758-40-8P 132758-41-9P  
132758-42-0P 132758-43-1P 132758-44-2P

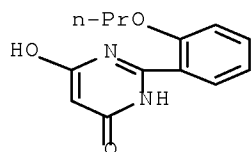
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as calmodulin insensitive cyclic GMP phosphodiesterase inhibitor)

IT 132737-03-2P 132737-06-5P 132737-07-6P  
132737-08-7P 132737-09-8P 132737-12-3P  
132737-13-4P 132737-14-5P 132737-15-6P  
132737-16-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as calmodulin insensitive cyclic GMP phosphodiesterase inhibitor)

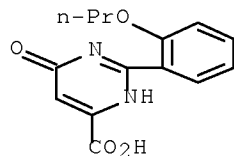
RN 132737-03-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-2-(2-propoxyphenyl)- (CA INDEX NAME)



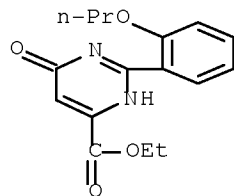
RN 132737-06-5 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-6-oxo-2-(2-propoxyphenyl)- (CA INDEX NAME)



RN 132737-07-6 HCAPLUS

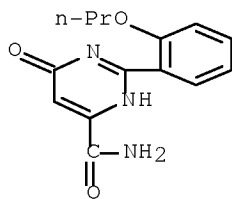
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-6-oxo-2-(2-propoxyphenyl)-, ethyl ester (CA INDEX NAME)



RN 132737-08-7 HCAPLUS

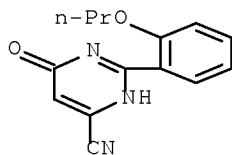
**Serial#: 10/595,734**

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-6-oxo-2-(2-propoxyphenyl)- (CA INDEX NAME)



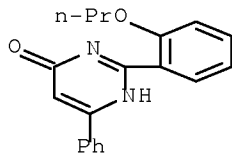
RN 132737-09-8 HCAPLUS

CN 4-Pyrimidinecarbonitrile, 1,6-dihydro-6-oxo-2-(2-propoxyphenyl)- (CA INDEX NAME)



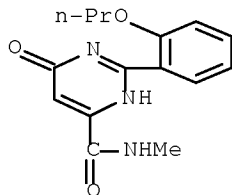
RN 132737-12-3 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-phenyl-2-(2-propoxyphenyl)- (CA INDEX NAME)



RN 132737-13-4 HCAPLUS

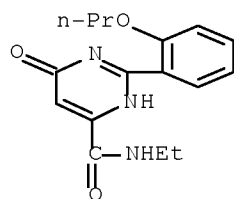
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-N-methyl-6-oxo-2-(2-propoxyphenyl)- (CA INDEX NAME)



RN 132737-14-5 HCAPLUS

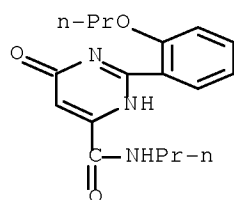
**Serial#: 10/595,734**

CN 4-Pyrimidinecarboxamide, N-ethyl-1,6-dihydro-6-oxo-2-(2-propoxyphenyl)-  
(CA INDEX NAME)



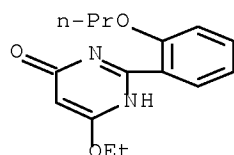
RN 132737-15-6 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-6-oxo-2-(2-propoxyphenyl)-N-propyl-  
(CA INDEX NAME)



RN 132737-16-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-ethoxy-2-(2-propoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 31 THERE ARE 31 CAPLUS RECORDS THAT CITE THIS  
RECORD (31 CITINGS)

L57 ANSWER 45 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1990:611932 HCAPLUS Full-text

DOCUMENT NUMBER: 113:211932

ORIGINAL REFERENCE NO.: 113:35815a,35818a

TITLE: (Pyrimidinyloxy)acetic acids and pyrimidineacetic  
acids as a novel class of aldose reductase inhibitors  
AUTHOR(S): Ellingboe, John; Alessi, Thomas; Millen, Jane; Sredy,  
Janet; King, Andrew; Prusiewicz, Candace; Guzzo,  
Frieda; VanEngen, Donna; Bagli, Jehan

CORPORATE SOURCE: Div. Explor. Chem. Exp. Ther., Wyeth-Ayerst Res.,  
Princeton, NJ, 08543-8000, USA

SOURCE: Journal of Medicinal Chemistry (1990),



DOCUMENT TYPE:

Journal

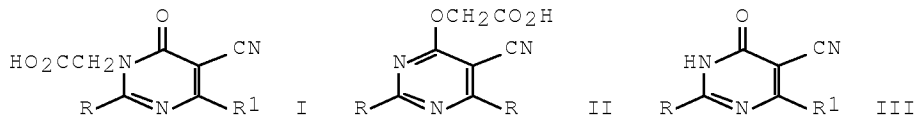
LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 113:211932

GI



AB Pyrimidineacetic acids I (R = Me, CHMe<sub>2</sub>, 1-C<sub>10</sub>H<sub>7</sub>, cyclohexyl; R<sub>1</sub> = SPh, SMe, SCHMe<sub>2</sub>, Ph) and (pyrimidinyloxy)acetic acids II (R = Me, CMe<sub>3</sub>, Ph, 1-C<sub>16</sub>H<sub>7</sub>; R<sub>1</sub> = SMe, SCH<sub>2</sub>Ph, SCHMe<sub>2</sub>) were synthesized by alkylation of pyrimidinone III with BrCH<sub>2</sub>CO<sub>2</sub>R<sub>2</sub> (R<sub>2</sub> = Me, CMe<sub>3</sub>). N-Alkylation was favored in ethereal solvents, e.g. THF and dimethoxyethane, whereas O-alkylation was predominant in DMF. These compds. were tested in vitro to determine their ability to inhibit bovine lens aldose reductase. Selected compds. were assayed in vivo, in a 4-day galactose-fed rat model. The decrease in galactitol from the control was determined in lens, nerve, and diaphragm. Several compds. were found to be potent inhibitors of bovine lens aldose reductase. The in vitro transport behavior of selected compds. in the isolated rat sciatic nerve was also studied. A discussion of the structure-activity relationship of this class of compds. with reference to their intrinsic biochem. activity is reported. It is concluded that the ability of a compound to penetrate the tissue membrane plays an important role in the genesis of in vivo inhibitory activity.

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 75

IT 15908-63-1P 15908-64-2P 82114-04-3P 96823-92-6P  
 96823-94-8P 119491-93-9P 119491-97-3P 119896-59-2P 119923-05-6P  
 130168-83-1P 130168-84-2P 130168-89-7P 130168-90-0P 130168-91-1P  
 130168-92-2P 130168-93-3P 130168-94-4P 130168-95-5P 130168-96-6P  
 130168-97-7P 130168-98-8P 130168-99-9P 130169-00-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and regioselective alkylation of, with bromoacetate)

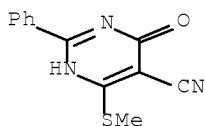
IT 15908-64-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and regioselective alkylation of, with bromoacetate)

RN 15908-64-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-6-(methylthio)-4-oxo-2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT:

12

THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

**Serial#: 10/595,734**

L57 ANSWER 46 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1990:406031 HCAPLUS Full-text  
DOCUMENT NUMBER: 113:6031  
ORIGINAL REFERENCE NO.: 113:1167a,1170a  
TITLE: Preparation of  
3-[(arylcaboxamido)methyl]cephemcarboxylates and  
analogs as antibiotics  
INVENTOR(S): Davies, Gareth Morse; Strawson, Colin John; Lohmann,  
Jean Jaques  
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; ICI-Pharma S. A.  
SOURCE: Eur. Pat. Appl., 32 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 341948	A2	19891115	EP 1989-304621	19890508 <--
EP 341948	A3	19910522		
EP 341948	B1	19950111		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 01319486	A	19891225	JP 1989-115243	19890510 <--
US 5055462	A	19911008	US 1989-349662	19890510 <--
US 5149803	A	19920922	US 1991-732478	19910718 <--
PRIORITY APPLN. INFO.:			GB 1988-11055	A 19880510 <--
			US 1989-349662	A3 19890510 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 113:6031

GI For diagram(s), see printed CA Issue.

AB Cephalosporins substituted at the 3-position by Q1 [A = (un)substituted phenylenediyl, 5- or 6-heterocyclylenediyl; Q = (un)substituted benzene ring optionally fused to 5- or 6-membered heterocycle or naphthyl bearing R2 and R3 on adjacent C-atoms, N-hydroxypyridonyl group Q2, hydroxypyranonyl or hydroxydihydropyridonyl group Q3; M = O, (alkyl)imino; R1 = H, alkenyl, (un) substituted alkyl; R2, R3 = OH or metabolically labile ester thereof; Y = CO, SO2; Z = bond, alkylene, alkenylene, CO, etc.] were prepared Thus, nipecotate Q4OH (R4R5 = CMe2) (preparation given) was condensed with cephemcarboxylate I (R = H) to give, after deprotection, I (R = Q4, R4 = R5 = H) which had MIC of 4 µg/mL against Staphylococcus aureus 147N (A8601052).

IPCI C07D0501-46 [ICM,4]; C07D0501-00 [ICM,4,C\*]; A61K0031-545 [ICS,4]

IPCR A01N0043-90 [I,C\*]; A01N0043-90 [I,A]; A61K0031-545 [I,C\*]; A61K0031-545 [I,A]; C07D0501-00 [I,C\*]; C07D0501-18 [I,A]; C07D0501-38 [I,A]; C07D0501-42 [I,A]; C07D0501-44 [I,A]; C07D0501-46 [I,A]; C07D0501-52 [I,A]; C07D0501-54 [I,A]; C07D0501-56 [I,A]

CC 26-5 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s): 1

IT 127431-45-2P 127431-46-3P 127431-47-4P 127431-48-5P  
127431-49-6P 127431-50-9P 127431-51-0P 127431-52-1P 127431-53-2P  
127431-54-3P 127431-55-4P 127431-62-3P 127450-27-5P 127450-28-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as antibiotic)

IT 127431-46-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

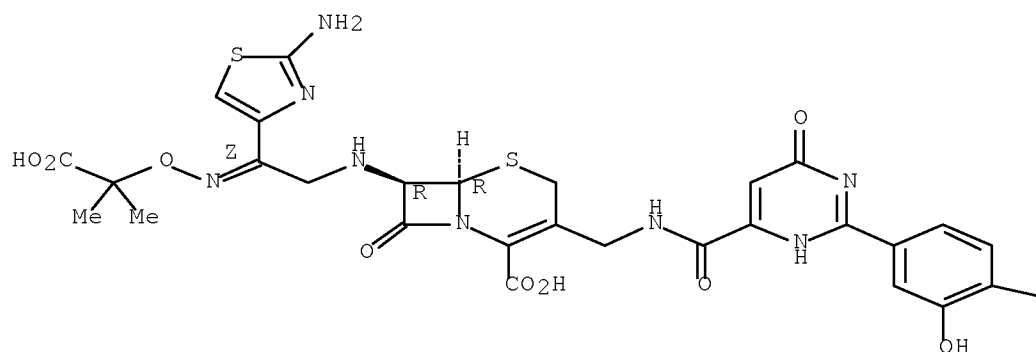
(preparation of, as antibiotic)

**Serial#: 10/595,734**

RN 127431-46-3 HCAPLUS  
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[2-(2-amino-4-thiazolyl)-2-[(1-carboxy-1-  
methylethoxy)imino]ethyl]amino]-3-[[[2-(3,4-dihydroxyphenyl)-1,4-dihydro-  
4-oxo-5-pyrimidinyl]carbonyl]amino]methyl]-8-oxo-,  
[6R-[6 $\alpha$ , 7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

—OH

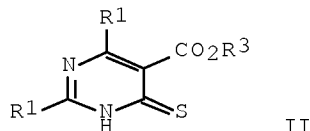
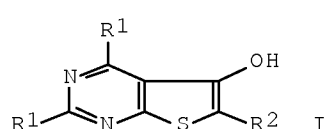
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L57 ANSWER 47 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1989:75556 HCAPLUS Full-text  
DOCUMENT NUMBER: 110:75556  
ORIGINAL REFERENCE NO.: 110:12497a,12500a  
TITLE: Preparation of  
2,4-diaryl-5-hydroxythieno[2,3-d]pyrimidines as drugs  
and drug intermediates  
INVENTOR(S): Briel, Detlef; Wagner, Guenther; Lohmann, Dieter;  
Laban, Gunter  
PATENT ASSIGNEE(S): Karl-Marx-Universitaet Leipzig, Ger. Dem. Rep.  
SOURCE: Ger. (East), 4 pp.  
CODEN: GEXXA8

**Serial#: 10/595,734**

DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 258012	A1	19880706	DD 1987-300319	19870302 <--
PRIORITY APPLN. INFO.:			DD 1987-300319	19870302 <--
OTHER SOURCE(S):	CASREACT 110:75556; MARPAT 110:75556			
GI				



AB The title compds. [I; R1 = (substituted) aryl; R2 = acyl, aroyl, (modified) carboxylate], useful as biol. active compds. or drug intermediates, were prepared by cyclocondensation of thioxopyrimidinecarboxylate II (R3 = C1-6 alkyl) with XCH2R2 (X = halo) in the presence of bases such as amines (e.g. Et3N), alkali alcoholates, or alkali hydroxides. II (R1 = Ph, R3 = Et) and ClCH2CO2Me were refluxed 5 min in MeOH to give 48% I (R1 = Ph, R2 = CO2Me). IPCI C07D0495-04 [ICM,4]; C07D0495-00 [ICM,4,C\*]  
 IPCR C07D0495-00 [I,C\*]; C07D0495-04 [I,A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
 Section cross-reference(s): 1

IT 118879-55-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation of, with Me chloroacetate)

IT 83610-02-0

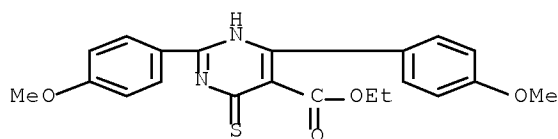
RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation of, with methylchloroacetate)

IT 118879-55-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation of, with Me chloroacetate)

RN 118879-55-3 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2,4-bis(4-methoxyphenyl)-6-thioxo-, ethyl ester (CA INDEX NAME)



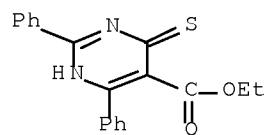
IT 83610-02-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation of, with methylchloroacetate)

RN 83610-02-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2,4-diphenyl-6-thioxo-, ethyl

ester (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L57 ANSWER 48 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1988:611073 HCAPLUS Full-text

DOCUMENT NUMBER: 109:211073

ORIGINAL REFERENCE NO.: 109:34923a,34926a

TITLE: Preparation and testing of  
5-amino-6-(2-hydroxyphenyl)pyrimidin-4(3H)-one  
derivatives as analgesics

INVENTOR(S): Tanaka, Masaaki; Ogura, Kuniyoshi; Morita, Hikari;  
Aozuka, Tomoshi; Nakada, Naoki; Takagi, Kaname

PATENT ASSIGNEE(S): Zeria Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

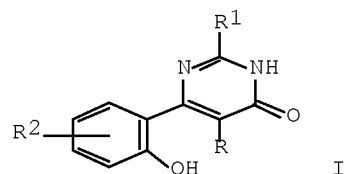
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63030473	A	19880209	JP 1986-173555	19860725 <--
PRIORITY APPLN. INFO.:			JP 1986-173555	19860725 <--
OTHER SOURCE(S):	MARPAT	109:211073		

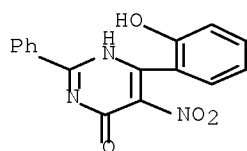
GI



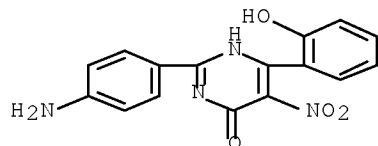
AB The title compds. [I; R = NH<sub>2</sub>, R<sub>1</sub> = lower alkyl, (un)substituted Ph, NH<sub>2</sub>, cyclic amino; R<sub>2</sub> = H, lower alkyl, lower alkoxy, halo] (II) were prepared as analgesics. MeC(:NH)NH<sub>2</sub>·HCl was added to a solution of Na in EtOH and the mixture was stirred at room temperature for 10 min. To the mixture, 4-methoxy-3-nitrocoumarin was added and the mixture was refluxed for 1 h to give 70% I (R = NO<sub>2</sub>, R<sub>1</sub> = Me, R<sub>2</sub> = H) which was hydrogenated over 5% Pd/C in EtOH to give 50% I (R = NH<sub>2</sub>, R<sub>1</sub> = Me, R<sub>2</sub> = H) (IV). IV at 30 mg/kg p.o. exhibited 68.3% inhibition of AcOH-induced writhing in mice whereas aminopyrine showed 38.9% inhibition. IPCI C07D0239-47 [ICM,4]; C07D0239-48 [ICS,4]; C07D0239-00 [ICS,4,C\*];

**Serial#: 10/595,734**

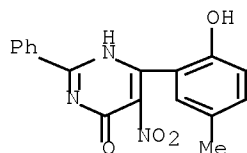
A61K0031-505 [ICA, 4]  
IPCR C07D0239-00 [I,C\*]; C07D0239-47 [I,A]; A61K0031-505 [I,C\*]; A61K0031-505 [I,A]; A61P0025-00 [I,C\*]; A61P0025-04 [I,A]; C07D0239-48 [I,A]  
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1  
IT 29378-61-8P 29378-63-0P 110566-11-5P 110566-12-6P  
110566-13-7P 110566-14-8P 116252-69-8P 116252-70-1P  
116252-71-2P 116252-72-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as analgesic intermediate)  
IT 110566-12-6P 110566-13-7P 116252-72-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as analgesic intermediate)  
RN 110566-12-6 HCAPLUS  
CN 4(3H)-Pyrimidinone, 6-(2-hydroxyphenyl)-5-nitro-2-phenyl- (CA INDEX NAME)



RN 110566-13-7 HCAPLUS  
CN 4(3H)-Pyrimidinone, 2-(4-aminophenyl)-6-(2-hydroxyphenyl)-5-nitro- (CA INDEX NAME)



RN 116252-72-3 HCAPLUS  
CN 4(3H)-Pyrimidinone, 6-(2-hydroxy-5-methylphenyl)-5-nitro-2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L57 ANSWER 49 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1988:150414 HCAPLUS Full-text  
DOCUMENT NUMBER: 108:150414

**Serial#: 10/595,734**

ORIGINAL REFERENCE NO.: 108:24701a,24704a  
TITLE: Chemistry and positive inotropic effect of pelrinone and related derivatives. A novel class of 2-methylpyrimidones as inotropic agents  
AUTHOR(S): Bagli, Jehan; Bogri, T.; Palameta, B.; Rakhit, S.; Peseckis, S.; McQuillan, J.; Lee, D. K. H.  
CORPORATE SOURCE: Ayerst Lab. Res., Inc., Princeton, NJ, 08543-9990, USA  
SOURCE: Journal of Medicinal Chemistry (1988), 31(4), 814-23  
CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 108:150414  
GI



AB Novel pyrimidine derivs. (e.g., I; R = cyano, R<sup>1</sup> = 3-pyridylmethyl) were synthesized and evaluated for pos. inotropic activity. Thus, (MeS)<sub>2</sub>C:C(CN)CO<sub>2</sub>Me cyclocondensed with MeC(:NH)NH<sub>2</sub>·HCl to give cyano methyl(methylthio)dihydropyrimidinone II, which was treated with 3-(aminomethyl)pyridine to give 48% I (R = cyano, R<sup>1</sup> = 3-pyridylmethyl). Inotropic and chronotropic effects were determined in vitro in cat papillary muscle and right atrium, resp. Selected compds. were then evaluated in vivo in a dog heart failure model. Changes in ventricular dP/dt, heart rate, and blood pressure were monitored. Several of these agents produced relatively minor changes in heart rate. This class of agents demonstrated a varying degree of vasodilator effects concomitant with increases in ventricular contractility. The most potent analogs, I (R = cyano, R<sup>1</sup> = 3-pyridylmethyl; R = Br, R<sup>1</sup> = Et, 3-pyridylmethyl), were evaluated orally in conscious dogs with implanted Konisberg pressure transducers, and their effect on left ventricular dP/dt was compared with that of milrinone. Mechanistically, the agents of this novel class appear not to mediate their effect either via  $\beta$ -receptors or inhibition of Na<sup>+</sup>/K<sup>+</sup>-ATPase. A major component of their inotropic effect is mediated by the inhibition of cardiac phosphodiesterase (PDE)-Fr. III. This was clearly demonstrated by I. Compound I (R = Br, R<sup>1</sup> = 3-pyridylmethyl) was found to be the most potent inhibitor of PDE-Fr. III from among the compds. tested in this assay. The crystal structure of I (R = cyano, 3-pyridylmethyl)·HBF<sub>4</sub> is also reported.

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 75

IT 15908-64-2 17823-69-7 96823-92-6 96823-93-7 96823-94-8  
96823-96-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(amination of, with aminomethylpyridine)

IT 15908-64-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(amination of, with aminomethylpyridine)

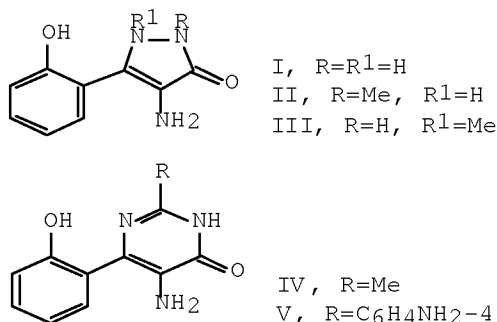
RN 15908-64-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-6-(methylthio)-4-oxo-2-phenyl- (CA  
INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD  
(8 CITINGS)

L57 ANSWER 50 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1987:547168 HCAPLUS Full-text  
 DOCUMENT NUMBER: 107:147168  
 ORIGINAL REFERENCE NO.: 107:23529a,23532a  
 TITLE: Synthesis and analgesic activity of  
 4-amino-1,2-dihydro-5-(2-hydroxyphenyl)-3H-pyrazol-3-  
 ones and 5-amino-6-(2-hydroxyphenyl)pyrimidin-4(3H)-  
 ones  
 AUTHOR(S): Takagi, Kaname; Tanaka, Masaaki; Morita, Hikari;  
 Ogura, Kuniyoshi; Ishii, Katsuyuki; Nakata, Naoki;  
 Ozeki, Masayuki  
 CORPORATE SOURCE: Cent. Res. Lab., Zeria Pharm. Co., Saitama, 360-01,  
 Japan  
 SOURCE: European Journal of Medicinal Chemistry (1987  
 ), 22(3), 239-42  
 CODEN: EJMCA5; ISSN: 0223-5234  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 107:147168  
 GI



AB Three 4-amino-1,2-dihydro-5-(2-hydroxyphenyl)-3-H-pyrazol-3-ones and six 5-amino-6-(2-hydroxyphenyl)pyrimidin-4(3H)-ones were synthesized from 4-methoxy- and 4-hydroxy-3-nitrocumarins, and tested for analgesic activity upon oral administration to mice. Most of the compds. prepared exhibited analgesic activity which was superior to that of aminopyrine. In particular, the pyrazolones I, II, and III and the pyrimidinones IV and V, showed prominent activity, which was 3-4.5 times as potent as that of aminopyrine.

CC 1-11 (Pharmacology)

Section cross-reference(s): 28

IT 29378-61-8P 29378-63-0P 110566-07-9P 110566-08-0P 110566-10-4P  
 110566-11-5P 110566-12-6P 110566-13-7P



**Serial#: 10/595,734**

110566-14-8P

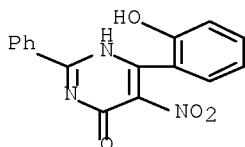
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reduction of)

IT 110566-12-6P 110566-13-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reduction of)

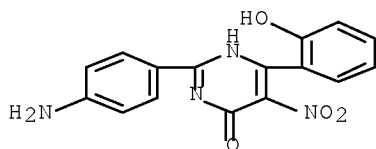
RN 110566-12-6 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-(2-hydroxyphenyl)-5-nitro-2-phenyl- (CA INDEX NAME)



RN 110566-13-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-aminophenyl)-6-(2-hydroxyphenyl)-5-nitro- (CA  
INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L57 ANSWER 51 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1985:504995 HCAPLUS Full-text

DOCUMENT NUMBER: 103:104995

ORIGINAL REFERENCE NO.: 103:16821a,16824a

TITLE: Pyrimidine-5-acetic acids

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

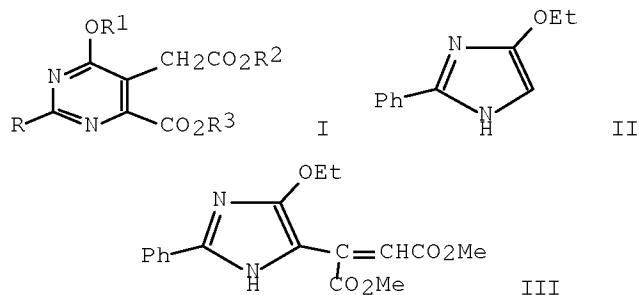
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
JP 60036465	A	19850225	JP 1983-145198	19830808 <--
PRIORITY APPLN. INFO.: GI			JP 1983-145198	19830808 <--



AB The title derivs. I [R = (substituted) alkyl, Ph; R1-3 = H, alkyl] or their salts were prepared and showed chronic inflammation inhibition at 50 mg/kg in rats. Thus, refluxing 50 g II and 38 g C2(CO2Me)2 gave 90 g (E,Z)-III, which was then refluxed in HCO2H, H2O and dioxane to give 63 g I (R = Ph; R1 = H; R2 = Me; R3 = Et). IPCI C07D0239-34 [ICM, 4]; C07D0239-36 [ICS, 4]; C07D0239-00 [ICS, 4, C\*];

A61K0031-505 [ICA, 4]

IPCR C07D0239-00 [I, C\*]; C07D0239-36 [I, A]; A61K0031-505 [I, C\*]; A61K0031-505 [I, A]; A61P0029-00 [I, C\*]; A61P0029-00 [I, A]; C07D0239-34 [I, A]

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 97914-74-4P 97914-75-5P 97914-76-6P  
97914-77-7P 97914-78-8P 97914-79-9P  
97914-80-2P 97914-81-3P 97914-82-4P 97914-83-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antiinflammatory activity of)

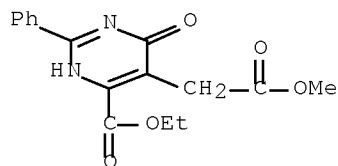
IT 97914-74-4P 97914-75-5P 97914-76-6P  
97914-77-7P 97914-78-8P 97914-79-9P  
97914-80-2P 97914-81-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antiinflammatory activity of)

RN 97914-74-4 HCAPLUS

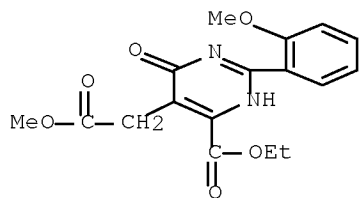
CN 5-Pyrimidineacetic acid, 4-(ethoxycarbonyl)-1,6-dihydro-6-oxo-2-phenyl-, methyl ester (CA INDEX NAME)



RN 97914-75-5 HCAPLUS

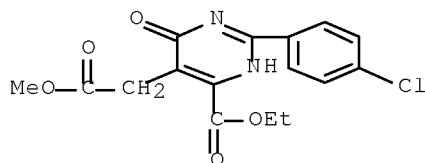
CN 5-Pyrimidineacetic acid, 4-(ethoxycarbonyl)-1,6-dihydro-2-(2-methoxyphenyl)-6-oxo-, methyl ester (CA INDEX NAME)

**Serial#: 10/595,734**



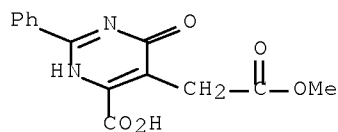
RN 97914-76-6 HCAPLUS

CN 5-Pyrimidineacetic acid, 2-(4-chlorophenyl)-4-(ethoxycarbonyl)-1,6-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



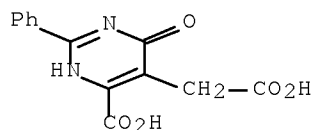
RN 97914-77-7 HCAPLUS

CN 5-Pyrimidineacetic acid, 4-carboxy-1,6-dihydro-6-oxo-2-phenyl-, 5-methyl ester (CA INDEX NAME)



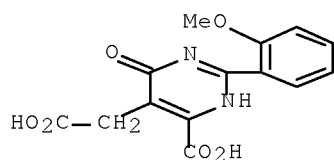
RN 97914-78-8 HCAPLUS

CN 5-Pyrimidineacetic acid, 4-carboxy-1,6-dihydro-6-oxo-2-phenyl- (CA INDEX NAME)



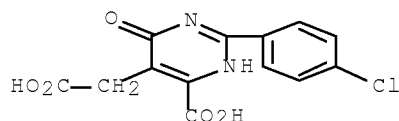
RN 97914-79-9 HCAPLUS

CN 5-Pyrimidineacetic acid, 4-carboxy-1,6-dihydro-2-(2-methoxyphenyl)-6-oxo- (CA INDEX NAME)



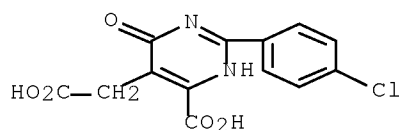
RN 97914-80-2 HCAPLUS

CN 5-Pyrimidineacetic acid, 4-carboxy-2-(4-chlorophenyl)-1,6-dihydro-6-oxo-  
(CA INDEX NAME)



RN 97914-81-3 HCAPLUS

CN 5-Pyrimidineacetic acid, 4-carboxy-2-(4-chlorophenyl)-1,6-dihydro-6-oxo-,  
sodium salt (1:2) (CA INDEX NAME)



●2 Na

L57 ANSWER 52 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1984:103286 HCAPLUS Full-text

DOCUMENT NUMBER: 100:103286

ORIGINAL REFERENCE NO.: 100:15697a,15700a

TITLE: Anticancer agents. XVII. Synthesis and antitumor activity of 2-aryl-4-oxo-2,3-dihydrobenzopyrano[2,3-d]pyrimidines and 4-substituted 2-aryl-5H-benzopyrano[2,3-d]pyrimidines

AUTHOR(S): O'Callaghan, C. N.; Conalty, M. L.

CORPORATE SOURCE: Lab. Med. Res. Counc. Ireland, Trinity Coll., Dublin, Ire.

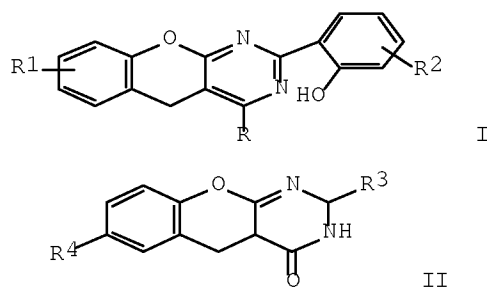
SOURCE: Proceedings of the Royal Irish Academy, Section B: Biological, Geological and Chemical Science (1983), 83 B(19), 241-9

CODEN: PRIBAN; ISSN: 0035-8983

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Benzopyranopyrimidines I (R = Me, 4-MeC<sub>6</sub>H<sub>4</sub>, Ph; R<sub>1</sub> = H, 7-Cl, 7-NO<sub>2</sub>, 9-OMe, 8-OMe, 7-OMe, 9-OEt; R<sub>2</sub> = H, 5-Cl, 5-NO<sub>2</sub>, 3-OMe, 4-OMe, 5-OMe, 3-OEt) were prepared by treating H<sub>2</sub>NCR:CHCN with salicylaldehydes. Benzopyranopyrimidinones II (R<sub>3</sub> = Ph, MeC<sub>6</sub>H<sub>4</sub>, R<sub>4</sub> = H; R<sub>3</sub> = 3,4-methylenedioxyphenyl, R<sub>4</sub> = H, OMe) have antileukemic activity in mice.

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1

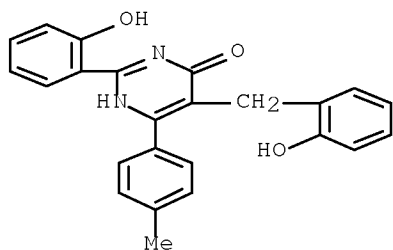
IT 21537-68-8P 82587-72-2P 89048-89-5P 89048-90-8P 89048-91-9P  
89048-92-0P 89048-93-1P 89048-94-2P 89048-95-3P 89048-97-5P  
89048-98-6P 89048-99-7P 89049-00-3P 89049-01-4P 89049-02-5P  
89049-03-6P 89049-04-7P 89049-06-9P 89049-07-0P  
89049-09-2P 89049-10-5P 89049-11-6P 89049-12-7P 89049-13-8P  
89049-14-9P 89049-15-0P 89049-16-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 89049-04-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 89049-04-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(2-hydroxyphenyl)-5-[(2-hydroxyphenyl)methyl]-6-(4-methylphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L57 ANSWER 53 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1983:215537 HCAPLUS Full-text

DOCUMENT NUMBER: 98:215537

ORIGINAL REFERENCE NO.: 98:32773a, 32776a

TITLE: Studies on antiallergic agents. I.  
Phenyl-substituted heterocycles with a 5-tetrazolyl or

**Serial#: 10/595,734**

AUTHOR(S): N-(5-tetrazolyl)carbamoyl group  
Honma, Yasushi; Sekine, Yasuo; Hashiyama, Tomiki;  
Takeda, Mikio; Ono, Yasutoshi; Tsuzurahara, Kei

CORPORATE SOURCE: Org. Chem. Res. Lab., Tanabe Seiyaku Co., Ltd., Toda,  
Japan

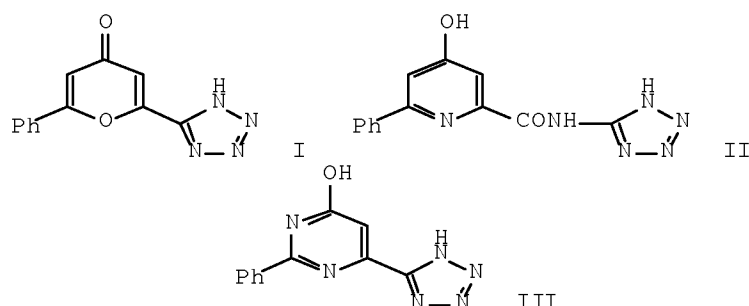
SOURCE: Chemical & Pharmaceutical Bulletin (1982),  
30(12), 4314-24  
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 98:215537

GI



AB Phenyl substituted pyrones, pyridines, and pyrimidines bearing a 5-tetrazolyl or 5-tetrazolylcarbamoyl group (e.g. I, II, and III) were prepared by amidation of the corresponding carboxylic acid or acid chloride with 5-aminotetrazole or by cyclization of nitriles with NaN<sub>3</sub>. The compds. were tested for antiallergic activity by passive cutaneous anaphylaxis assay in rats after oral administration. N-(5-Tetrazolyl)-6-phenylpyridine-2-carboxamides possessed high potency.

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 27

IT 76782-15-5P 76782-16-6P 78296-38-5P 78296-45-4P 78296-74-9P  
78296-84-1P 85101-81-1P 85815-11-8P 85815-12-9P 85815-13-0P  
85815-14-1P 85815-15-2P 85815-16-3P 85815-17-4P 85815-18-5P  
85815-19-6P 85815-20-9P 85815-21-0P 85815-22-1P  
85815-23-2P 85815-24-3P 85815-25-4P 85815-26-5P 85815-27-6P  
85815-28-7P 85830-28-0P 85830-29-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antiallergic activity of)

IT 43083-14-3P 76782-13-3P 76782-14-4P 85814-92-2P 85814-99-9P  
85830-27-9P

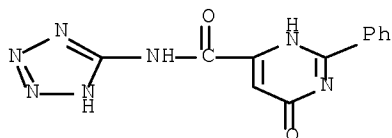
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and cyclization with sodium azide, tetrazole derivative from)

IT 85815-22-1P

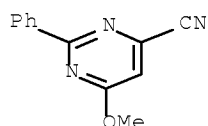
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antiallergic activity of)

RN 85815-22-1 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-6-oxo-2-phenyl-N-2H-tetrazol-5-yl-  
(CA INDEX NAME)



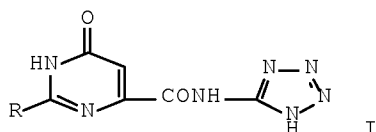
IT 85830-27-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and cyclization with sodium azide, tetrazole derivative from)  
 RN 85830-27-9 HCAPLUS  
 CN 4-Pyrimidinecarbonitrile, 6-methoxy-2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
 (6 CITINGS)

L57 ANSWER 54 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1983:89382 HCAPLUS Full-text  
 DOCUMENT NUMBER: 98:89382  
 ORIGINAL REFERENCE NO.: 98:13651a,13654a  
 TITLE: Dihydropyrimidine derivatives and pharmaceutical  
 composition comprising them  
 INVENTOR(S): Teraji, Tsutomu; Oku, Teruo; Namiki, Takayuki;  
 Shimazaki, Norihiko  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , UK  
 SOURCE: Brit. UK Pat. Appl., 15 pp.  
 CODEN: BAXXDU  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2095240	A	19820929	GB 1982-5929	19820301 <--
JP 57158779	A	19820930	JP 1982-35017	19820304 <--
JP 03028433	B	19910419		
PRIORITY APPLN. INFO.:			GB 1981-6902	A 19810305 <--
OTHER SOURCE(S):		CASREACT 98:89382; MARPAT 98:89382		
GI				



AB     Pyrimidinylcarboxamides I (R = pyridyl, thienyl, aryl) were prepared Thus, 4-PhCH<sub>2</sub>OC<sub>6</sub>H<sub>4</sub>C(:NH)NH<sub>2</sub> was treated with (MeO)<sub>2</sub>CHCOCH<sub>2</sub>CO<sub>2</sub>Me to give the pyrimidinecarboxaldehyde acetal which was hydrolyzed with aldehyde, oxidized to acid, amidated, and hydrogenolyzed to give I (R = 4-HOC<sub>6</sub>H<sub>4</sub>). At 1 mg/kg i.v. in rats I (R = 4-HOC<sub>6</sub>H<sub>4</sub>) gave 100% inhibition of passive cutaneous anaphylaxis.

IPCI C07D0403-12 [ICM]; C07D0403-00 [ICM,C\*]; A61K0031-505 [ICS]; C07D0239-36 [ICS]; C07D0239-00 [ICS,C\*]

IPCR C07D0239-00 [I,C\*]; C07D0239-36 [I,A]

CC     28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT     84660-48-0P     ~~84660-70-8P~~

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and acetal cleavage of)

IT     84659-93-8P     84659-98-3P     84660-02-6P  
84660-06-0P     84660-10-6P     84660-14-0P     ~~84660-18-4P~~  
84660-25-3P     84660-29-7P     84660-34-4P  
84660-38-8P     84660-42-4P     84660-46-8P  
84660-49-1P     84660-52-6P     84660-56-0P  
84660-60-6P     84660-64-0P     84660-68-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and amidation of)

IT     84659-95-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and antianaphylactic activity of)

IT     84659-94-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and hydrogenolysis of)

IT     84660-51-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and methylation of)

IT     84659-92-7P     84659-97-2P     84660-01-5P  
84660-05-9P     84660-09-3P     84660-13-9P     ~~84660-17-3P~~  
84660-21-9P     84660-24-2P     84660-28-6P  
84660-33-3P     84660-37-7P     84660-41-3P  
84660-45-7P     84660-55-9P     84660-59-3P  
84660-63-9P     84660-67-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and oxidation of)

IT     84660-30-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reduction of)

IT     84659-99-4P     84660-03-7P     84660-07-1P     84660-11-7P  
84660-15-1P     84660-19-5P     84660-22-0P  
84660-26-4P     84660-31-1P     84660-35-5P  
84660-39-9P     84660-43-5P     84660-47-9P  
84660-50-4P     84660-53-7P     84660-57-1P  
84660-61-7P     84660-65-1P     84660-69-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

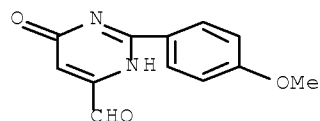
IT     84660-70-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and acetal cleavage of)

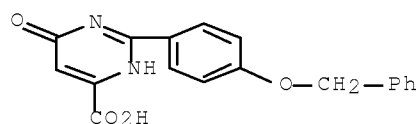


**Serial#: 10/595,734**

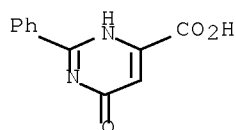
RN 84660-70-8 HCAPLUS  
CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-(4-methoxyphenyl)-6-oxo- (CA INDEX NAME)



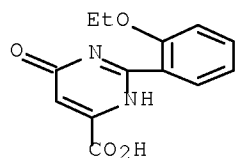
IT 84659-93-8P 84659-98-3P 84660-02-6P  
84660-18-4P 84660-25-3P 84660-29-7P  
84660-34-4P 84660-38-8P 84660-46-8P  
84660-49-1P 84660-52-6P 84660-56-0P  
84660-60-6P 84660-64-0P 84660-68-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and amidation of)  
RN 84659-93-8 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-6-oxo-2-[4-(phenylmethoxy)phenyl]- (CA INDEX NAME)



RN 84659-98-3 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-6-oxo-2-phenyl- (CA INDEX NAME)



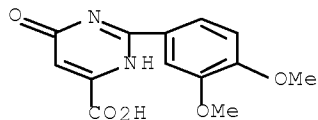
RN 84660-02-6 HCAPLUS  
CN 4-Pyrimidinecarboxylic acid, 2-(2-ethoxyphenyl)-1,6-dihydro-6-oxo- (CA INDEX NAME)



**Serial#: 10/595,734**

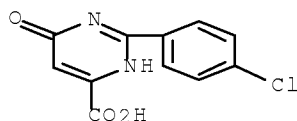
RN 84660-18-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(3,4-dimethoxyphenyl)-1,6-dihydro-6-oxo-  
(CA INDEX NAME)



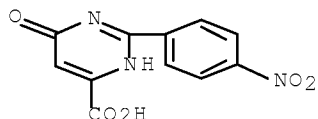
RN 84660-25-3 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(4-chlorophenyl)-1,6-dihydro-6-oxo- (CA  
INDEX NAME)



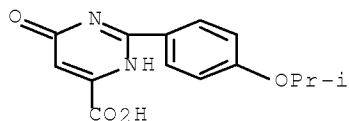
RN 84660-29-7 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-2-(4-nitrophenyl)-6-oxo- (CA  
INDEX NAME)



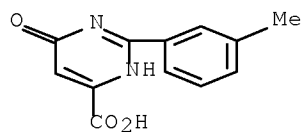
RN 84660-34-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-2-[4-(1-methylethoxy)phenyl]-6-  
oxo- (CA INDEX NAME)



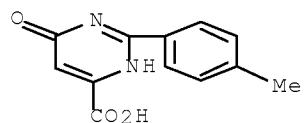
RN 84660-38-8 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-2-(3-methylphenyl)-6-oxo- (CA  
INDEX NAME)



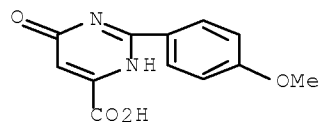
RN 84660-46-8 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



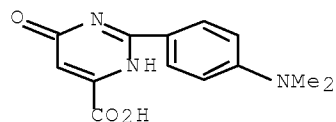
RN 84660-49-1 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-2-(4-methoxyphenyl)-6-oxo- (CA INDEX NAME)



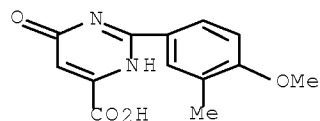
RN 84660-52-6 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[4-(dimethylamino)phenyl]-1,6-dihydro-6-oxo- (CA INDEX NAME)



RN 84660-56-0 HCAPLUS

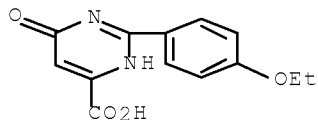
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-2-(4-methoxy-3-methylphenyl)-6-oxo- (CA INDEX NAME)



**Serial#: 10/595,734**

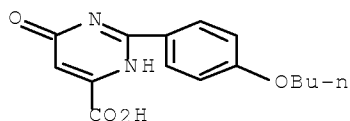
RN 84660-60-6 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(4-ethoxyphenyl)-1,6-dihydro-6-oxo- (CA INDEX NAME)



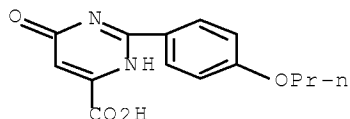
RN 84660-64-0 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(4-butoxyphenyl)-1,6-dihydro-6-oxo- (CA INDEX NAME)



RN 84660-68-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-6-oxo-2-(4-propoxyphenyl)- (CA INDEX NAME)

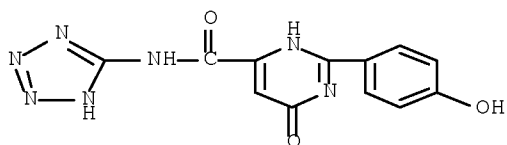


IT 84659-95-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and antianaphylactic activity of)

RN 84659-95-0 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-2-(4-hydroxyphenyl)-6-oxo-N-2H-tetrazol-5-yl-, sodium salt (1:1) (CA INDEX NAME)



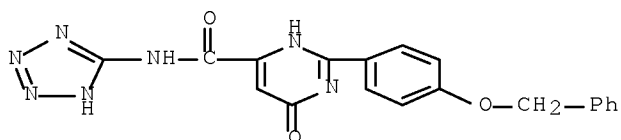
● Na

**Serial#: 10/595,734**

IT 84659-94-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and hydrogenolysis of)

RN 84659-94-9 HCAPLUS

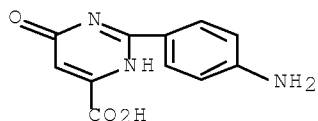
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-6-oxo-2-[4-(phenylmethoxy)phenyl]-N-  
2H-tetrazol-5-yl- (CA INDEX NAME)



IT 84660-51-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and methylation of)

RN 84660-51-5 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(4-aminophenyl)-1,6-dihydro-6-oxo- (CA  
INDEX NAME)

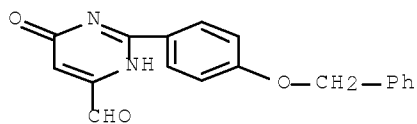


IT 84659-92-7P 84659-97-2P 84660-01-5P  
84660-17-3P 84660-21-9P 84660-24-2P  
84660-28-6P 84660-33-3P 84660-37-7P  
84660-45-7P 84660-55-9P 84660-59-3P  
84660-63-9P 84660-67-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and oxidation of)

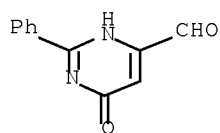
RN 84659-92-7 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-6-oxo-2-[4-(phenylmethoxy)phenyl]-  
(CA INDEX NAME)



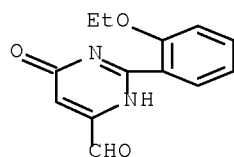
RN 84659-97-2 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-6-oxo-2-phenyl- (CA INDEX NAME)



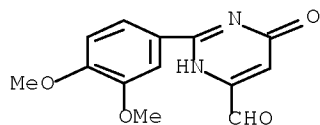
RN 84660-01-5 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 2-(2-ethoxyphenyl)-1,6-dihydro-6-oxo- (CA INDEX NAME)



RN 84660-17-3 HCAPLUS

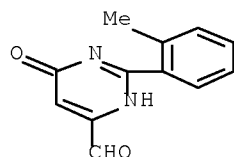
CN 4-Pyrimidinecarboxaldehyde, 2-(3,4-dimethoxyphenyl)-1,6-dihydro-6-oxo-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

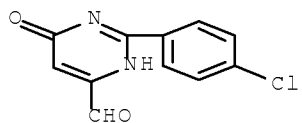
RN 84660-21-9 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



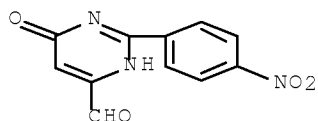
RN 84660-24-2 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 2-(4-chlorophenyl)-1,6-dihydro-6-oxo- (CA INDEX NAME)



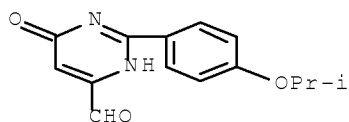
RN 84660-28-6 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-(4-nitrophenyl)-6-oxo- (CA INDEX NAME)



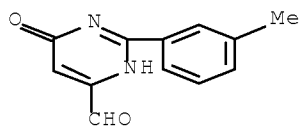
RN 84660-33-3 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-[4-(1-methylethoxy)phenyl]-6-oxo- (CA INDEX NAME)



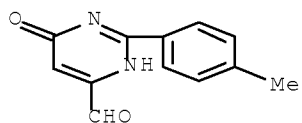
RN 84660-37-7 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-(3-methylphenyl)-6-oxo- (CA INDEX NAME)



RN 84660-45-7 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



**Serial#: 10/595,734**

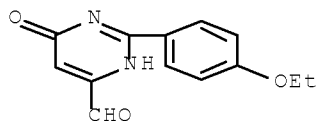
RN 84660-55-9 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-(4-methoxy-3-methylphenyl)-6-oxo-  
(CA INDEX NAME)



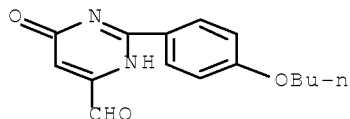
RN 84660-59-3 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 2-(4-ethoxyphenyl)-1,6-dihydro-6-oxo- (CA  
INDEX NAME)



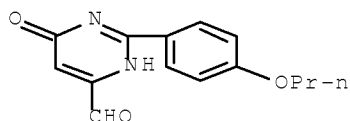
RN 84660-63-9 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 2-(4-butoxyphenyl)-1,6-dihydro-6-oxo- (CA  
INDEX NAME)



RN 84660-67-3 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-6-oxo-2-(4-propoxyphenyl)- (CA  
INDEX NAME)



IT 84660-30-0P

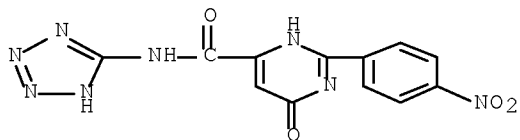
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reduction of)

RN 84660-30-0 HCAPLUS



**Serial#: 10/595,734**

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-2-(4-nitrophenyl)-6-oxo-N-2H-tetrazol-5-yl- (CA INDEX NAME)

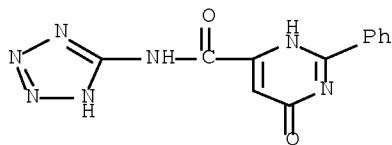


IT 84659-99-4P 84660-03-7P 84660-19-5P  
84660-22-0P 84660-26-4P 84660-31-1P  
84660-35-5P 84660-39-9P 84660-47-9P  
84660-50-4P 84660-53-7P 84660-57-1P  
84660-61-7P 84660-65-1P 84660-69-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 84659-99-4 HCAPLUS

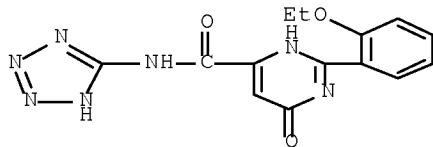
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-6-oxo-2-phenyl-N-2H-tetrazol-5-yl-,  
sodium salt (1:1) (CA INDEX NAME)



● Na

RN 84660-03-7 HCAPLUS

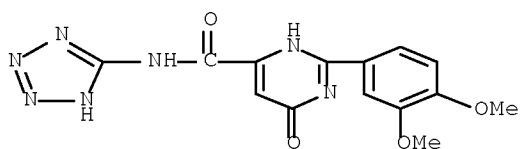
CN 4-Pyrimidinecarboxamide, 2-(2-ethoxyphenyl)-1,6-dihydro-6-oxo-N-2H-tetrazol-5-yl- (CA INDEX NAME)



RN 84660-19-5 HCAPLUS

CN 4-Pyrimidinecarboxamide, 2-(3,4-dimethoxyphenyl)-1,6-dihydro-6-oxo-N-2H-tetrazol-5-yl-, sodium salt (1:2) (CA INDEX NAME)

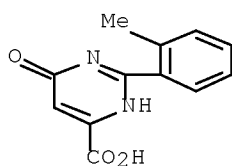
**Serial#: 10/595,734**



●2 Na

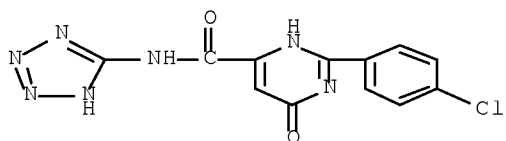
RN 84660-22-0 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-2-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



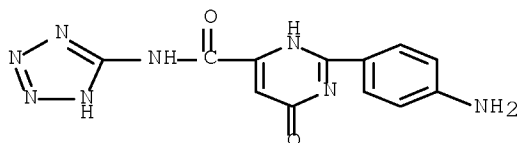
RN 84660-26-4 HCAPLUS

CN 4-Pyrimidinecarboxamide, 2-(4-chlorophenyl)-1,6-dihydro-6-oxo-N-2H-tetrazol-5-yl- (CA INDEX NAME)



RN 84660-31-1 HCAPLUS

CN 4-Pyrimidinecarboxamide, 2-(4-aminophenyl)-1,6-dihydro-6-oxo-N-2H-tetrazol-5-yl-, sodium salt (1:1) (CA INDEX NAME)

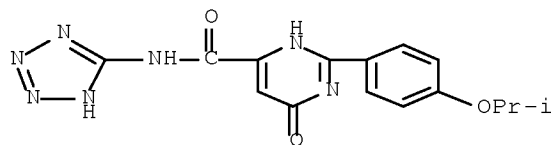


● Na

RN 84660-35-5 HCAPLUS

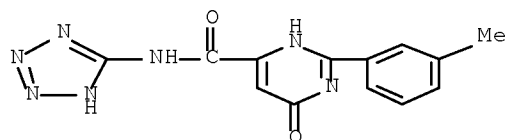
**Serial#: 10/595,734**

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-2-[4-(1-methylethoxy)phenyl]-6-oxo-N-2H-tetrazol-5-yl-, sodium salt (1:1) (CA INDEX NAME)



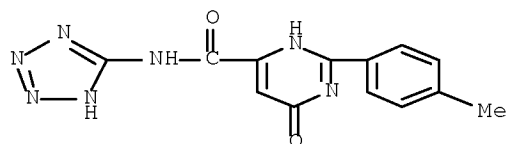
RN 84660-39-9 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-2-(3-methylphenyl)-6-oxo-N-2H-tetrazol-5-yl- (CA INDEX NAME)



RN 84660-47-9 HCAPLUS

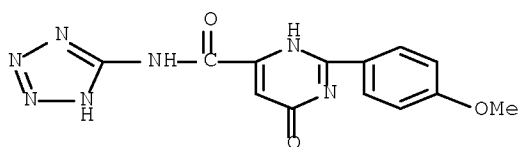
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-2-(4-methylphenyl)-6-oxo-N-2H-tetrazol-5-yl-, sodium salt (1:1) (CA INDEX NAME)



RN 84660-50-4 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-2-(4-methoxyphenyl)-6-oxo-N-2H-tetrazol-5-yl-, sodium salt (1:1) (CA INDEX NAME)

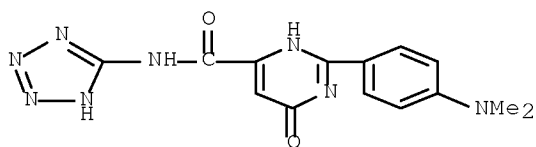
**Serial#: 10/595,734**



● Na

RN 84660-53-7 HCAPLUS

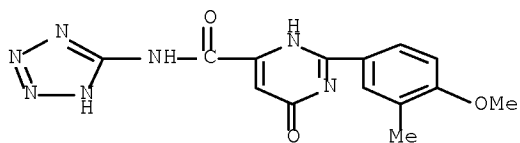
CN 4-Pyrimidinecarboxamide, 2-[4-(dimethylamino)phenyl]-1,6-dihydro-6-oxo-N-2H-tetrazol-5-yl-, sodium salt (1:1) (CA INDEX NAME)



● Na

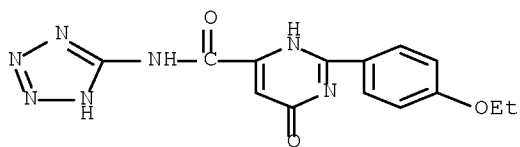
RN 84660-57-1 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-2-(4-methoxy-3-methylphenyl)-6-oxo-N-2H-tetrazol-5-yl- (CA INDEX NAME)



RN 84660-61-7 HCAPLUS

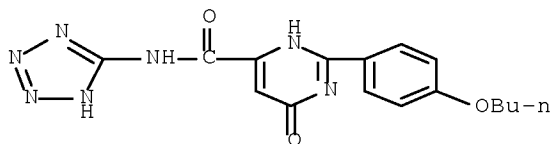
CN 4-Pyrimidinecarboxamide, 2-(4-ethoxyphenyl)-1,6-dihydro-6-oxo-N-2H-tetrazol-5-yl-, sodium salt (1:1) (CA INDEX NAME)



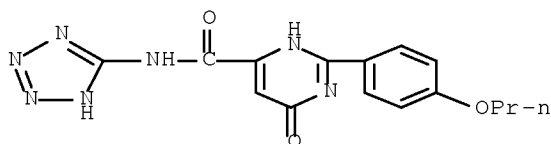
● Na

**Serial#: 10/595,734**

RN 84660-65-1 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 2-(4-butoxyphenyl)-1,6-dihydro-6-oxo-N-2H-tetrazol-5-yl-, sodium salt (1:1) (CA INDEX NAME)

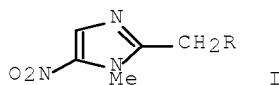


RN 84660-69-5 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-6-oxo-2-(4-propoxyphenyl)-N-2H-tetrazol-5-yl-, sodium salt (1:1) (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L57 ANSWER 55 OF 55 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1978:443236 HCAPLUS Full-text  
DOCUMENT NUMBER: 89:43236  
ORIGINAL REFERENCE NO.: 89:6713a,6716a  
TITLE: Chemotherapeutically active nitro compounds. 4.  
5-Nitroimidazoles. Part II  
AUTHOR(S): Winkelmann, E.; Raether, W.; Sinharay, A.  
CORPORATE SOURCE: Hoechst A.-G., Frankfurt/Main, Fed. Rep. Ger.  
SOURCE: Arzneimittel-Forschung (1978), 28(3), 351-66  
CODEN: ARZNAD; ISSN: 0004-4172  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



Serial#: 10/595,734

AB About 190 nitroimidazoles I [R = morpholino, 4-methylpiperazino, N3, p-ClC6H4COCH2S, PhS, MeOCS2, H2NC(:NH)S, MeNH, etc.; R1 = Me, Et] were prepared. Thus, I (R = Cl, R1 = Me) was treated with 2-mercaptopyridine to give 80% I (R = 2-pyridylthio, R1 = Me). 1-Methyl-2-(S-isothiuroniummethyl)-5-nitroimidazole-HCl and 2-bromo-5-nitrofuran gave 65% I (R = 5-nitro-2-furylthio, R1 = Me). The chemotherapeutic effect against several protozoa species was tabulated. Some I were also bactericidal, nematocidal, and fungicidal.

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT	443-48-1P	14934-68-0P	19387-91-8P	34970-61-1P	54237-05-7P
	54387-29-0P	54469-36-2P	54485-95-9P	55432-15-0P	55432-16-1P
	55432-17-2P	55432-18-3P	55546-06-0P	55546-07-1P	55546-08-2P
	55546-09-3P	56673-35-9P	56713-45-2P	56727-24-3P	56738-26-2P
	56738-27-3P	56738-28-4P	56738-29-5P	56738-30-8P	56738-31-9P
	56750-12-0P	56750-13-1P	56750-18-6P	56750-19-7P	56750-20-0P
	56750-21-1P	57235-18-4P	57235-19-5P	57235-20-8P	57235-21-9P
	57235-22-0P	57235-23-1P	57235-24-2P	57235-28-6P	57235-29-7P
	57235-30-0P	57235-31-1P	57235-32-2P	57235-33-3P	57235-34-4P
	57561-47-4P	57561-48-5P	57561-49-6P	57561-50-9P	57561-51-0P
	57878-70-3P	57878-75-8P	57878-77-0P	57987-85-6P	57987-88-9P
	57987-89-0P	57987-90-3P	57987-91-4P	57987-92-5P	57987-93-6P
	57987-94-7P	58152-51-5P	60099-28-7P	60336-84-7P	60336-85-8P
	60336-86-9P	60336-87-0P	60768-59-4P	61532-40-9P	61532-41-0P
	61591-14-8P	61591-15-9P	61609-88-9P	61609-89-0P	61717-38-2P
	61717-41-7P	65962-64-3P	66961-37-3P	66961-38-4P	66961-39-5P
	66961-40-8P	66961-41-9P	66961-42-0P	66961-43-1P	66961-44-2P
	66961-45-3P	66961-46-4P	66961-47-5P	66961-48-6P	66961-49-7P
	66961-50-0P	66961-51-1P	66961-52-2P	66961-53-3P	66961-54-4P
	66961-55-5P	66961-56-6P	66961-57-7P	66961-58-8P	66961-59-9P
	66961-60-2P	66961-61-3P	66961-62-4P	66961-63-5P	66961-64-6P
	66961-65-7P	66961-66-8P	66961-67-9P	66961-68-0P	66961-69-1P
	66961-70-4P	66961-71-5P	66961-72-6P	66961-73-7P	66961-74-8P
	66961-75-9P	66961-76-0P	66961-77-1P	66961-78-2P	66961-79-3P
	<del>66961-80-6P</del>	66961-81-7P	66961-82-8P	66961-83-9P	
	66961-84-0P	66961-85-1P	66961-86-2P	66961-87-3P	66961-88-4P
	66961-89-5P	66961-90-8P	66961-91-9P	66961-92-0P	66961-93-1P
	66961-94-2P	66961-95-3P	66961-96-4P	66961-97-5P	66961-98-6P
	66961-99-7P	66962-00-3P	66962-01-4P	66962-02-5P	66962-03-6P
	66962-04-7P	66962-05-8P	66962-06-9P	66962-07-0P	66962-08-1P
	66962-09-2P	66962-10-5P	66962-19-4P	66962-20-7P	66962-21-8P
	66962-22-9P	66962-23-0P	66962-24-1P	66962-25-2P	66962-26-3P
	66962-27-4P	66962-28-5P	66962-29-6P	66962-30-9P	66962-31-0P
	66962-32-1P	66962-33-2P	66962-34-3P	66962-35-4P	66962-36-5P
	66962-37-6P	66962-38-7P	66962-39-8P	66962-40-1P	66962-41-2P
	66962-42-3P	66962-43-4P	66962-44-5P	66962-45-6P	66962-46-7P
	66962-47-8P	66962-48-9P	66962-49-0P	66962-50-3P	66962-51-4P
	66986-01-4P	66986-02-5P	67012-62-8P		

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and protozoacidal activity of)

IT	50-44-2	56-04-2	60-56-0	79-19-6	79-45-8	86-93-1	96-45-7
	96-53-7	98-91-9	98-99-7	103-83-3	106-54-7	106-55-8	108-02-1
	108-98-5, reactions	109-06-8	110-85-0, reactions	110-89-4, reactions			
	121-44-8, reactions	124-28-7	141-90-2	147-84-2, reactions	147-93-3		
	149-30-4	150-75-4	151-01-9	288-94-8	371-42-6	463-56-9, reactions	
	504-24-5	534-13-4	583-39-1	645-48-7	756-80-9	767-17-9	937-00-8
	1074-36-8	1076-98-8	1121-31-9	1122-97-0	1193-02-8	1430-25-7	
	1445-58-5	1450-85-7	1450-86-8	1450-88-0	1514-09-6	1849-36-1	
	2042-42-4	2055-46-1	2127-09-5	2349-67-9	2382-96-9	2637-34-5	
	2637-37-8	3179-31-5	3337-86-8	3581-30-4	3695-77-0	3696-23-9	

**Serial#: 10/595,734**

4344-85-8	4556-23-4	5351-51-9	5351-69-9	5430-77-3	5685-05-2
5685-06-3	5788-47-6	5897-94-9	6297-19-4	6303-54-4	7027-97-6
7151-89-5	7271-44-5	7274-58-0	7526-03-6	10124-57-9	13183-79-4
13882-58-1	16133-26-9	16691-43-3	16953-49-4	17356-08-0	
17624-07-6	18368-57-5	18368-65-5	18686-82-3	22325-27-5	
23003-22-7	23152-97-8	25769-03-3	26245-60-3	27386-01-2	
27885-58-1	28544-77-6	29490-19-5	30020-46-3	30886-14-7	
31130-17-3	31408-19-2	32446-32-5	33486-07-6	34772-97-9	
34803-66-2	35071-17-1	36801-01-1	36988-21-3	37469-24-2	
37482-68-1	38240-29-8	38521-06-1	39923-99-4	40045-94-1	
42783-64-2	42783-71-1	42956-80-9	<del>42956-81-0</del>	51793-95-4	
56673-34-8	57235-36-6	66962-12-7	66962-13-8	66962-15-0	
66962-16-1	66962-17-2	66962-18-3	66962-52-5		

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with methyl(chloromethyl)nitroimidazole)

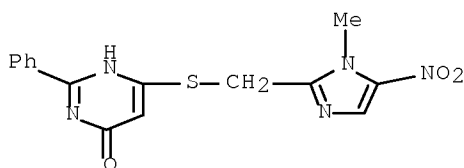
IT ~~66961-80-6P~~

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and protozoacidal activity of)

RN ~~66961-80-6~~ HCAPLUS

CN 4(1H)-Pyrimidinone, 6-[[ (1-methyl-5-nitro-1H-imidazol-2-yl)methyl]thio]-2-phenyl- (CA INDEX NAME)



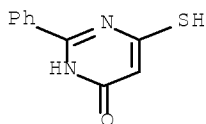
IT ~~42956-81-0~~

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with methyl(chloromethyl)nitroimidazole)

RN ~~42956-81-0~~ HCAPLUS

CN 4(3H)-Pyrimidinone, 6-mercapto-2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

**SEARCH HISTORY**

FILE 'HCAPLUS' ENTERED AT 13:49:12 ON 01 SEP 2010  
L1 1 SEA SPE=ON ABB=ON PLU=ON US2007-595734/APPS  
D SCAN

FILE 'REGISTRY' ENTERED AT 13:49:21 ON 01 SEP 2010  
ACT JAI734REGL6/A  
-----  
L2 STR  
L3 233050 SEA SSS FUL L2  
-----  
ACT JAI734REGL11/A  
-----  
L4 STR  
L5 ( 233050)SEA SSS FUL L4  
L6 STR  
L7 126361 SEA SUB=L5 SSS FUL L6  
-----  
D L6  
L8 STRUCTURE UPLOADED  
D  
L9 50 SEA SUB=L7 SSS SAM L8  
L10 23761 SEA SUB=L7 SSS FUL L8  
L11 STRUCTURE UPLOADED  
D  
L12 25 SEA SUB=L10 SSS SAM L11  
L13 592 SEA SUB=L10 SSS FUL L11

FILE 'HCAPLUS' ENTERED AT 14:15:06 ON 01 SEP 2010  
L14 100 SEA SPE=ON ABB=ON PLU=ON L13  
L15 70 SEA SPE=ON ABB=ON PLU=ON L14 AND (PRY<=2003 OR AY<=2003 OR  
PY<=2003 OR PD<=2003)

FILE 'STNGUIDE' ENTERED AT 14:17:23 ON 01 SEP 2010

FILE 'HCAPLUS' ENTERED AT 14:25:38 ON 01 SEP 2010  
SEL RN L1

FILE 'REGISTRY' ENTERED AT 14:25:46 ON 01 SEP 2010  
L16 143 SEA SPE=ON ABB=ON PLU=ON (103-90-2/BI OR 11041-12-6/BI OR  
1247-42-3/BI OR 134523-00-5/BI OR 1406-18-4/BI OR 141907-41-7/B  
I OR 14417-88-0/BI OR 15687-27-1/BI OR 23187-87-3/BI OR  
23288-49-5/BI OR 25812-30-0/BI OR 299406-55-6/BI OR 300359-06-2  
/BI OR 300359-07-3/BI OR 300359-08-4/BI OR 300719-05-5/BI OR  
300837-31-4/BI OR 303147-11-7/BI OR 303147-12-8/BI OR 303147-40  
-2/BI OR 303147-41-3/BI OR 303147-45-7/BI OR 306980-56-3/BI OR  
306980-58-5/BI OR 307332-77-0/BI OR 307332-78-1/BI OR 312499-77  
-7/BI OR 312626-14-5/BI OR 312626-15-6/BI OR 315194-30-0/BI OR  
320418-43-7/BI OR 320418-48-2/BI OR 320418-49-3/BI OR 320421-36  
-1/BI OR 329077-80-7/BI OR 329900-75-6/BI OR 329967-85-3/BI OR  
330221-00-6/BI OR 330819-79-9/BI OR 330981-36-7/BI OR 330981-37  
-8/BI OR 330981-38-9/BI OR 330981-39-0/BI OR 330981-41-4/BI OR  
330981-42-5/BI OR 330981-45-8/BI OR 330981-47-0/BI OR 330981-49  
-2/BI OR 330981-52-7/BI OR 330981-53-8/BI OR 330981-54-9/BI OR  
330981-55-0/BI OR 330981-59-4/BI OR 330981-60-7/BI OR 330981-61  
-8/BI OR 330981-63-0/BI OR 330981-64-1/BI OR 330981-65-2/BI OR  
330981-70-9/BI OR 330993-01-6/BI OR 330993-02-7/BI OR 331648-43  
-2/BI OR 331648-44-3/BI OR 331848-81-8/BI OR 331971-30-3/BI OR



**Serial#: 10/595,734**

332374-83-1/BI OR 333415-58-0/BI OR 337488-96-7/BI OR 338395-36  
-1/BI OR 338960-71-7/BI OR 338960-72-8/BI OR 338960-73-9/BI OR  
338960-74-0/BI OR 338960-75-1/BI OR 338960-76-2/BI OR 338960-93  
-3/BI OR 338960-99-9/BI OR 338967-63-8/BI OR 339279-05-9/BI OR  
339279-06-0/BI OR 339279-07-1/BI OR 339279-08-2/BI OR 339279-21  
-9/BI OR 339279-27-5/BI OR 371199-20-1/BI OR 371199-57-4/BI OR  
380472-88-8/BI OR 380571-66-4/BI OR 381683-04-1/BI OR 383146-83  
-6/BI OR 415699-44-4/BI OR 41859-67-0/BI OR 419548-22-4/BI OR  
420104-18-3/BI OR 477710-02-4/BI OR 477886-15-0/BI OR 477886-16  
-1/BI OR 477886-19-4/BI OR 478031-54-8/BI OR 478031-59-3/BI OR

L17 0 SEA SPE=ON ABB=ON PLU=ON L13 AND L16  
L18 63 SEA SPE=ON ABB=ON PLU=ON L10 AND L16  
L19 STRUCTURE UPLOADED  
D  
L20 50 SEA SUB=L10 SSS SAM L19  
L21 1687 SEA SUB=L10 SSS FUL L19  
L22 0 SEA SPE=ON ABB=ON PLU=ON L16 AND L21

FILE 'HCAPLUS' ENTERED AT 14:46:39 ON 01 SEP 2010  
L23 377 SEA SPE=ON ABB=ON PLU=ON L21  
L24 297 SEA SPE=ON ABB=ON PLU=ON L23 AND (PRY<=2003 OR AY<=2003 OR  
PY<=2003 OR PD<=2003)

FILE 'STNGUIDE' ENTERED AT 14:47:19 ON 01 SEP 2010

FILE 'REGISTRY' ENTERED AT 14:52:43 ON 01 SEP 2010  
L25 STRUCTURE UPLOADED  
L26 50 SEA SUB=L21 SSS SAM L25  
L27 1644 SEA SUB=L21 SSS FUL L25

FILE 'HCAPLUS' ENTERED AT 14:54:31 ON 01 SEP 2010  
L28 370 SEA SPE=ON ABB=ON PLU=ON L27

FILE 'STNGUIDE' ENTERED AT 14:54:38 ON 01 SEP 2010

FILE 'REGISTRY' ENTERED AT 15:05:48 ON 01 SEP 2010  
L29 STRUCTURE UPLOADED

FILE 'HCAPLUS' ENTERED AT 15:10:30 ON 01 SEP 2010  
L30 293 SEA SPE=ON ABB=ON PLU=ON L28 AND (PRY<=2003 OR AY<=2003 OR  
PY<=2003 OR PD<=2003)  
L31 ANALYZE PLU=ON L30 1- RN HIT : 785 TERMS  
D L31

FILE 'REGISTRY' ENTERED AT 15:12:08 ON 01 SEP 2010  
L32 1 SEA SPE=ON ABB=ON PLU=ON 13566-71-7  
L33 1 SEA SPE=ON ABB=ON PLU=ON 15969-46-7  
L34 1 SEA SPE=ON ABB=ON PLU=ON 15908-64-2

FILE 'HCAPLUS' ENTERED AT 15:13:03 ON 01 SEP 2010  
L35 43 SEA SPE=ON ABB=ON PLU=ON L32  
L36 33 SEA SPE=ON ABB=ON PLU=ON L33  
L37 16 SEA SPE=ON ABB=ON PLU=ON L34  
L38 40 SEA SPE=ON ABB=ON PLU=ON L30 AND L35  
L39 27 SEA SPE=ON ABB=ON PLU=ON L30 AND L36  
L40 13 SEA SPE=ON ABB=ON PLU=ON L30 AND L37  
L41 78 SEA SPE=ON ABB=ON PLU=ON (L38 OR L39 OR L40)

FILE 'REGISTRY' ENTERED AT 15:18:47 ON 01 SEP 2010  
L42 1 SEA SPE=ON ABB=ON PLU=ON 13996-08-2

**Serial#: 10/595,734**

FILE 'HCAPLUS' ENTERED AT 15:18:52 ON 01 SEP 2010  
L43 13 SEA SPE=ON ABB=ON PLU=ON L42  
L44 10 SEA SPE=ON ABB=ON PLU=ON L30 AND L43  
L45 88 SEA SPE=ON ABB=ON PLU=ON L41 OR L44  
L46 205 SEA SPE=ON ABB=ON PLU=ON L30 NOT L45  
D SCAN L1  
L47 38 SEA SPE=ON ABB=ON PLU=ON L46 AND 1/SC,SX  
L48 55 SEA SPE=ON ABB=ON PLU=ON L30 AND 1/SC,SX  
L49 7676 SEA SPE=ON ABB=ON PLU=ON MARTIN R?/AU  
L50 855 SEA SPE=ON ABB=ON PLU=ON MOHAN R?/AU  
L51 36 SEA SPE=ON ABB=ON PLU=ON ORDENTLICH P?/AU  
L52 0 SEA SPE=ON ABB=ON PLU=ON L48 AND ((L49 OR L50 OR L51))  
L53 5 SEA SPE=ON ABB=ON PLU=ON L49 AND L50 AND L51  
L54 21 SEA SPE=ON ABB=ON PLU=ON L49 AND ((L50 OR L51))  
L55 5 SEA SPE=ON ABB=ON PLU=ON L50 AND L51  
L56 21 SEA SPE=ON ABB=ON PLU=ON (L53 OR L54 OR L55)

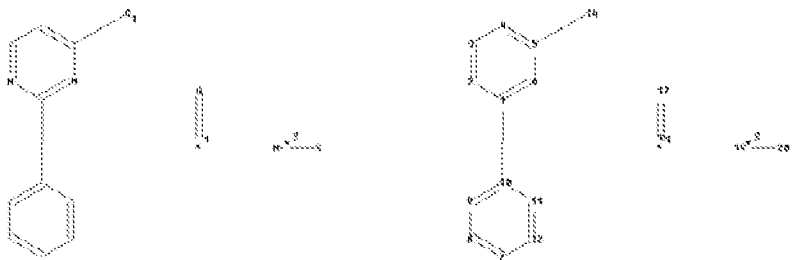
FILE 'REGISTRY' ENTERED AT 15:31:55 ON 01 SEP 2010

FILE 'HCAPLUS' ENTERED AT 15:31:57 ON 01 SEP 2010  
D STAT QUE L56  
D L56 IBIB ABS HITIND HITSTR 1-21

FILE 'HCAPLUS' ENTERED AT 15:33:27 ON 01 SEP 2010  
D STAT QUE L48  
L57 55 SEA SPE=ON ABB=ON PLU=ON L48 NOT L56  
D L57 IBIB ABS HITIND HITSTR 1-55

=>

Uploading L8.str



chain nodes :  
14 16 17 19 20  
ring nodes :  
1 2 3 4 5 6 7 8 9 10 11 12  
chain bonds :  
1-10 5-14 16-17 19-20  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12  
exact/norm bonds :  
5-14 16-17 19-20  
exact bonds :

## Serial#: 10/595,734

1-10

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

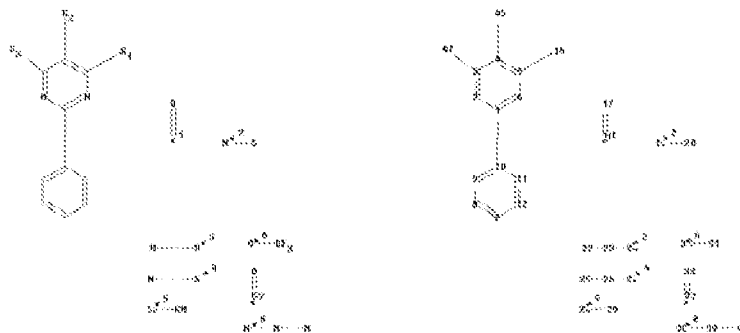
G1:O,Cb,S, [\*1], [\*2]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:Atom 14:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS

Uploading L19.str



chain nodes :

14 16 17 19 20 22 23 24 25 26 27 28 29 30 31 32 33 38 39 40 45  
47

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

chain bonds :

1-10 3-47 4-45 5-14 16-17 19-20 22-23 23-24 25-26 26-27 28-29 30-31 32-33  
38-39 39-40

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

3-47 4-45 5-14 16-17 19-20 22-23 23-24 25-26 26-27 28-29 32-33 38-39  
39-40

exact bonds :

1-10 30-31

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

G1:O,Cb,S, [\*1], [\*2]

G2:OH,SH,CN,NO2,X,H,Ak, [\*3], [\*4], [\*5], [\*6], [\*7], [\*8]

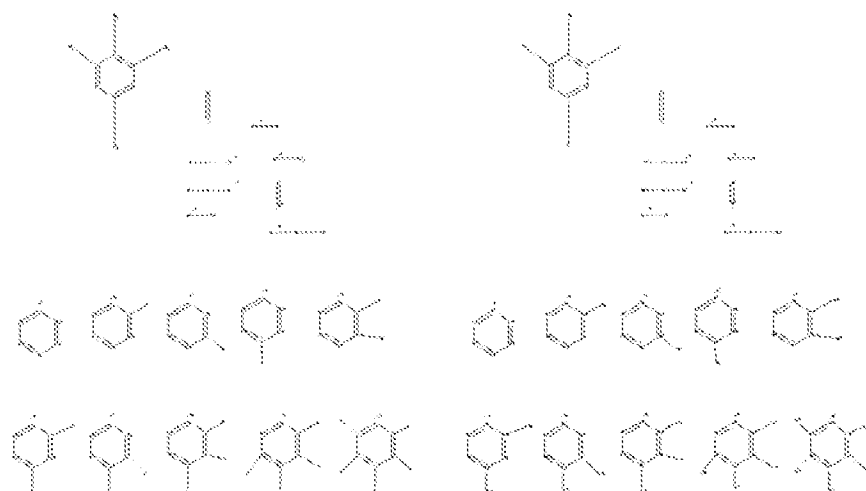
**Serial#: 10/595,734**

G3:CN,NO2,OH,SH, [\*3], [\*4], [\*5], [\*6], [\*7], [\*8]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 14:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS 22:CLASS 23:CLASS  
24:CLASS 25:CLASS  
26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 38:CLASS  
39:CLASS 40:CLASS 45:CLASS 47:CLASS

Uploading L25.str



chain nodes :

7 9 11 12 14 15 17 18 19 20 21 22 23 24 25 26 27 28 33 34 35  
40 42

ring nodes :

1 2 3 4 5 6 43 44 45 46 47 48 49 50 51 52 53 54 55 56 57 58  
59 60 61 62 63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79 80  
81 82 83  
84 85 86 87 88 89 90 91 92 93 94 95 96 97 98 99 100 101 102

ring/chain nodes :

103 104 105 106 107 108 109 110 111 112 113 114 115 116 117 118 119  
120 121 122 123

chain bonds :

1-7 3-42 4-40 5-9 11-12 14-15 17-18 18-19 20-21 21-22 23-24 25-26 27-28  
33-34 34-35 53-103 60-104 61-105 71-106 72-107 73-109 77-108 79-111 84-110 85-  
114 89-112  
90-113 91-117 92-118 95-115 96-116 97-121 98-122 99-123 101-119 102-120

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 43-44 43-48 44-45 45-46 46-47 47-48 49-50 49-54  
50-51 51-52 52-53 53-54 55-56 55-60 56-57 57-58 58-59 59-60 61-62 61-66 62-63  
63-64  
64-65 65-66 67-68 67-72 68-69 69-70 70-71 71-72 73-74 73-78 74-75 75-76 76-77  
77-78  
79-80 79-84 80-81 81-82 82-83 83-84 85-86 85-90 86-87 87-88 88-89 89-90 91-92  
91-96  
92-93 93-94 94-95 95-96 97-98 97-102 98-99 99-100 100-101 101-102

exact/norm bonds :

1-7 3-42 4-40 5-9 11-12 14-15 17-18 18-19 20-21 21-22 23-24 27-28 33-34

**Serial#: 10/595,734**

34-35 53-103 60-104 61-105 71-106 72-107 73-109 77-108 79-111 84-110 85-114  
89-112  
90-113 91-117 92-118 95-115 96-116 97-121 98-122 99-123 101-119 102-120  
exact bonds :  
25-26  
normalized bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 43-44 43-48 44-45 45-46 46-47 47-48 49-50 49-54  
50-51 51-52 52-53 53-54 55-56 55-60 56-57 57-58 58-59 59-60 61-62 61-66 62-63  
63-64  
64-65 65-66 67-68 67-72 68-69 69-70 70-71 71-72 73-74 73-78 74-75 75-76 76-77  
77-78  
79-80 79-84 80-81 81-82 82-83 83-84 85-86 85-90 86-87 87-88 88-89 89-90 91-92  
91-96  
92-93 93-94 94-95 95-96 97-98 97-102 98-99 99-100 100-101 101-102  
isolated ring systems :  
containing 1 :

G1:O,Cb,S, [\*1], [\*2]

G2:OH,SH,CN,NO2,X,H,Ak, [\*3], [\*4], [\*5], [\*6], [\*7], [\*8]

G3:CN,NO2,OH,SH, [\*3], [\*4], [\*5], [\*6], [\*7], [\*8]

G4:[\*9], [\*10], [\*11], [\*12], [\*13], [\*14], [\*15], [\*16], [\*17], [\*18]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 9:CLASS 11:CLASS 12:CLASS  
14:CLASS 15:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS  
24:CLASS  
25:CLASS 26:CLASS 27:CLASS 28:CLASS 33:CLASS 34:CLASS 35:CLASS 40:CLASS 42:CLASS  
43:Atom  
44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom  
54:Atom  
55:Atom 56:Atom 57:Atom 58:Atom 59:Atom 60:Atom 61:Atom 62:Atom 63:Atom 64:Atom  
65:Atom  
66:Atom 67:Atom 68:Atom 69:Atom 70:Atom 71:Atom 72:Atom 73:Atom 74:Atom 75:Atom  
76:Atom  
77:Atom 78:Atom 79:Atom 80:Atom 81:Atom 82:Atom 83:Atom 84:Atom 85:Atom 86:Atom  
87:Atom  
88:Atom 89:Atom 90:Atom 91:Atom 92:Atom 93:Atom 94:Atom 95:Atom 96:Atom 97:Atom  
98:Atom  
99:Atom 100:Atom 101:Atom 102:Atom 103:CLASS 104:CLASS 105:CLASS 106:CLASS  
107:CLASS  
108:CLASS 109:CLASS 110:CLASS 111:CLASS 112:CLASS 113:CLASS 114:CLASS 115:CLASS  
116:CLASS  
117:CLASS 118:CLASS 119:CLASS 120:CLASS 121:CLASS 122:CLASS 123:CLASS